=> file casreact
FILE 'CASREACT' ENTERED AT 11:51:05 ON 27 JUL 2009

FILE CONTENT:1840 - 26 Jul 2009 VOL 151 ISS 5

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* CASREACT now has more than 16.5 million reactions *
*
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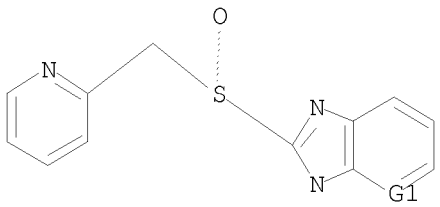
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.
L3 215 SEA FILE=CASREACT SSS FUL L1 (1894 REACTIONS)
L4 17 SEA FILE=CASREACT L3 AND (TUNGSTEN OR VANADIUM)

=> d l4 1-17 ibib abs fcrd

L4 ANSWER 1 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:487761 CASREACT
TITLE: (+)-Enantiomer of 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole and process for preparing it
INVENTOR(S): Kim, Dong-Yeon; Kim, Jae-Gun; Lee, Jun-Yeoun; Cho, Kwi-Hyung; Kim, Jung-Woo; Park, Sung-Tae; Pyun, Doo-Hyuk; Nam, Sang-Don; Yoon, Hwan-Min; Han,

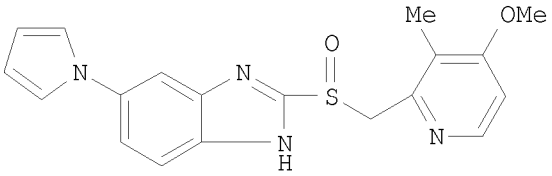
Byoungcheol
PATENT ASSIGNEE(S): TAP Pharmaceutical Products, Inc., USA
SOURCE: PCT Int. Appl., 22pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009061529	A1	20090514	WO 2008-US65517	20080602

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

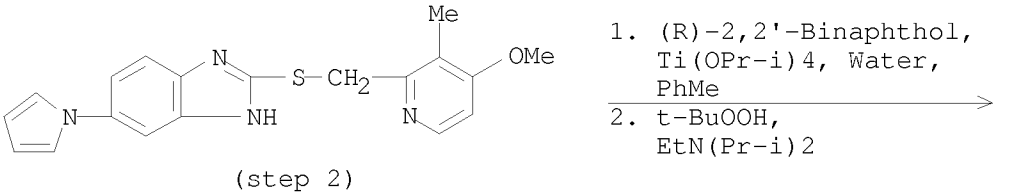
PRIORITY APPLN. INFO.: US 2007-935873 20071106
GI



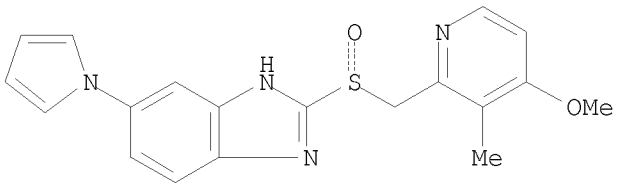
I

AB The invention relates to (+)-enantiomer of 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole, and a method for the preparation thereof. Specifically, the invention relates to the (+)-enantiomer of I and a process for preparing it by using a chiral auxiliary, comprising the step of reacting 2-[[(4-methoxy-3-methyl)-2-pyridinyl]methylthio]-5-(1H-pyrrol-1-yl)-1H-benzimidazole (II) with diisopropyl L-tartrate, which is not used for known proton inhibitory compds. but shows a superior reactivity to II, or the chiral auxiliary (R)-(+)-1,1'-bi-2-naphthol; and the step of crystallizing the product of the above step. The invention also discloses an anti-ulcer composition comprising the enantiomer of the invention.

RX(1) OF 1



(step 2)



61%

NOTE: optimization study, stereoselective
CON: STAGE(1) 1 hour, 25 deg C
STAGE(2) 25 deg C; 15 hours, 25 deg C

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:237602 CASREACT
TITLE: Transition metal mediated oxidation of thioethers to sulfoxides
INVENTOR(S): Piccone, Louis A.; Wheelock, Kenneth S.
PATENT ASSIGNEE(S): Praktikatalyst Pharma, LLC, USA
SOURCE: PCT Int. Appl., 57pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009020454	A1	20090212	WO 2007-US17660	20070809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

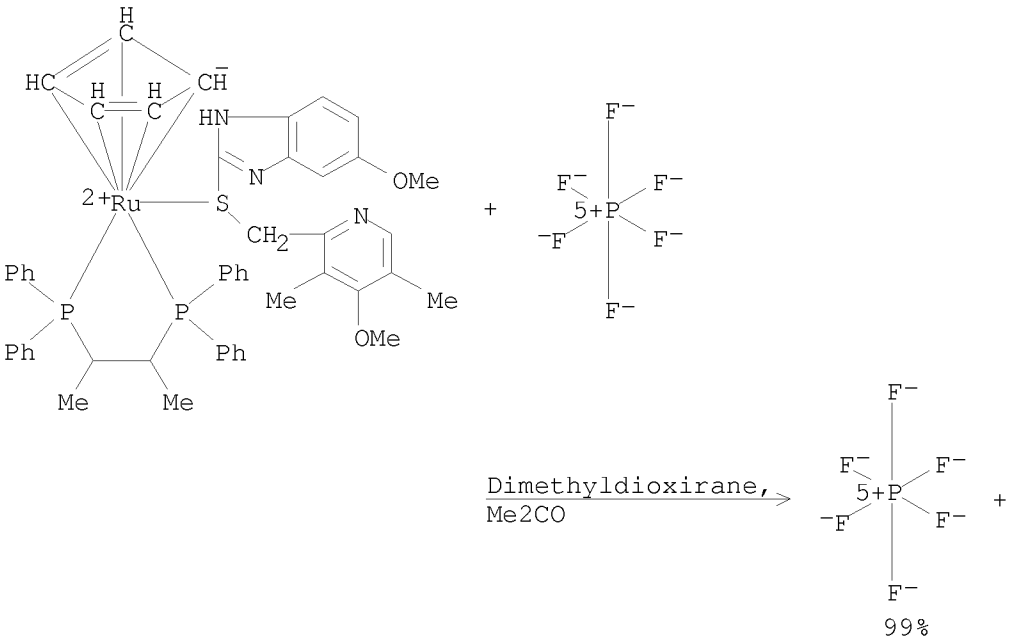
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2007-US17660 20070809

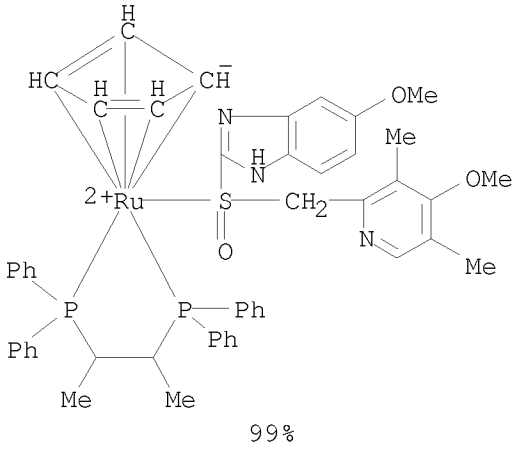
AB The invention is directed to a process for the catalytic oxidation of the thioether, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinylmethyl)methylthio]-1H-benzimidazole, to its sulfoxide, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinylmethyl)methylsulfinyl]-1H-

benzimidazole. The sulfoxide was prepared via coordination of the thioether with ruthenium complex; the resulting ruthenium-thioether complex underwent oxidn and dissociation to give the sulfoxide with either R or S enantiomeric excess.

RX(8) OF 24



RX(8) OF 24



NOTE: stereoselective
CON: STAGE(1) cooled; 45 minutes

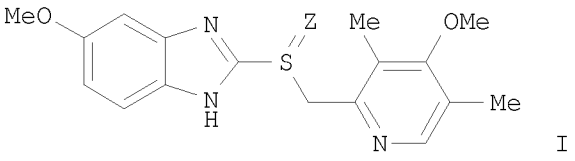
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 150:56154 CASREACT
TITLE: Process for preparation of optically active

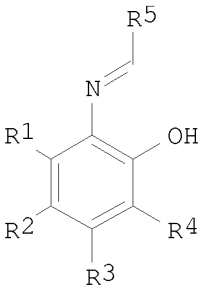
	5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl]-1H-benzimidazole by enantioselective oxidation of the corresponding sulfide with peroxides in presence of chiral vanadium catalyst
INVENTOR(S):	Klimova, E. A.; Khomenko, T. M.; Kurbakova, S. Yu.; Komarova, N. I.; Volcho, K. P.; Salakhutdinov, N. F.; Tolstikov, G. A.; Tolstikov, A. G.
PATENT ASSIGNEE(S):	Novosibirskii Institut Organicheskoi Khimii Im. N. N. Vorozhtsova SO RAN, Russia
SOURCE:	Russ., 8pp. CODEN: RUXXE7
DOCUMENT TYPE:	Patent
LANGUAGE:	Russian
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2341524	C1	20081220	RU 2007-116401	20070502
PRIORITY APPLN. INFO.:			RU 2007-116401	20070502
OTHER SOURCE(S):	MARPAT 150:56154			

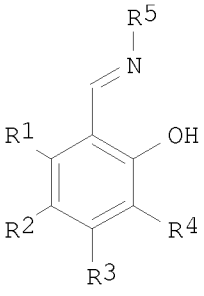
GI



I



III

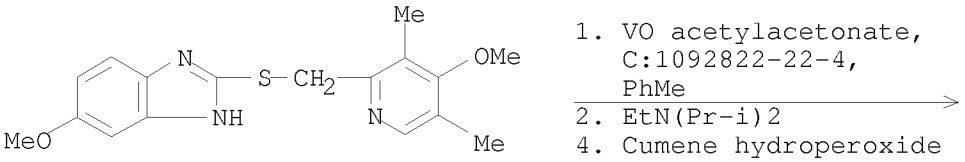


IV

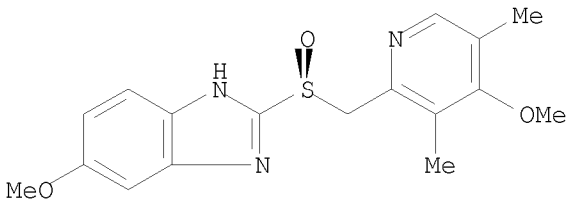
AB Optically active 5-methoxy-2-((4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl)-1H-benzimidazole (I; Z = O), useful as an antiulcer treatment (no data), is prepared by enantioselective oxidation of 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylthio]-1H-benzimidazole I (Z = lone pair) (II) with organic peroxides, preferably cumene hydroperoxide, in presence of catalyst in an organic solvent, preferably PhMe, where the catalyst consists of a catalytic amount, preferably 1% with respect to II, of a complex previously formed in situ from a vanadium salt, preferably vanadyl acetylacetonate, with a chiral Schiff base (III or IV; R1-R4 = H, alkyl or haloalkyl, alkoxy or haloalkoxy, NO2, dialkylamino, halo; R5 = optically active substituent) and the reaction is carried out at lower temps., preferably 0-10°,

possibly in presence of an organic base, preferably iso-Pr2NEt, and subsequent product isolation by usual methods. E.g., (S)-I (Z = O, esomeprazole) is prepared in 81% yield with 31% optical purity by treating 4 + 10-6 mol vanadyl acetylacetonate with 6 + 10-6 mol chiral Schiff base IV [R1-R4 = H, R5H2 = [(1R,2R,3S,5R)-2-amino-2,6-trimethylbicyclo[3.3.1]heptan-3-yl]methanol] in 3 mL PhMe and stirring 10 min at 20° to form the catalytic complex, followed by treatment with 4 + 10-6 mol iso-Pr2NEt and stirring 10 min; to this mixture is added 4 + 10-4 mol II and 4 + 10-4 mol cumene hydroperoxide at 0-5° and then the mixture is stirred 6 h at 0-5° and worked up. Alternatively, use of a chiral Schiff base III (R1 = R3 = Me3C; R2 = R4 = H; R5CHO = chiral myrtenal epoxide) afforded esomeprazole in 100% yield with 6% optical purity.

RX(1) OF 4



(step 3)



81%

NOTE: stereoselective, 31% optical purity, 70% yield and 19% optical purity obtained without Hunig's base in catalyst
CON: STAGE(1) 20 deg C; 10 minutes, 20 deg C
STAGE(2) 20 deg C; 10 minutes, 20 deg C
STAGE(3) 20 deg C -> 0 deg C; 10 minutes, 0 - 5 deg C
STAGE(4) 0 - 5 deg C; 6 hours, 0 - 5 deg C

L4 ANSWER 4 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:33732 CASREACT
TITLE: Processes for the preparation of lansoprazole
INVENTOR(S): Siddiqui, Mohammed Jaweed Mukarram; Kulkarni, Dilip Ganesh; Supekar, Praveen Raosaheb; Shinde, Prakash Sakharam; Deshmukh, Vikas Vitthalrao
PATENT ASSIGNEE(S): Wockhardt Ltd, India
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138468	A2	20071206	WO 2007-IB1437	20070531
WO 2007138468	A3	20090423		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

IN 2006MU00854	A	20080704	IN 2006-MU854	20060601
IN 2006MU00835	A	20090612	IN 2006-MU835	20060601
IN 2006MU00837	A	20090612	IN 2006-MU837	20060601
IN 2006MU00838	A	20090612	IN 2006-MU838	20060601
PRIORITY APPLN. INFO.:			IN 2006-MU835	20060601
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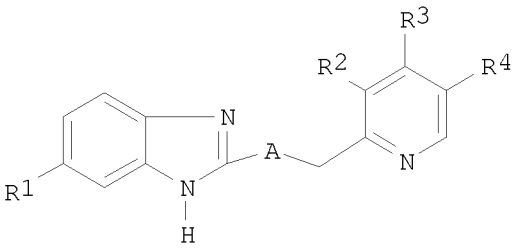
			IN 2006-MU846	20060601
			IN 2006-MU854	20060601

OTHER SOURCE(S): MARPAT 148:33732

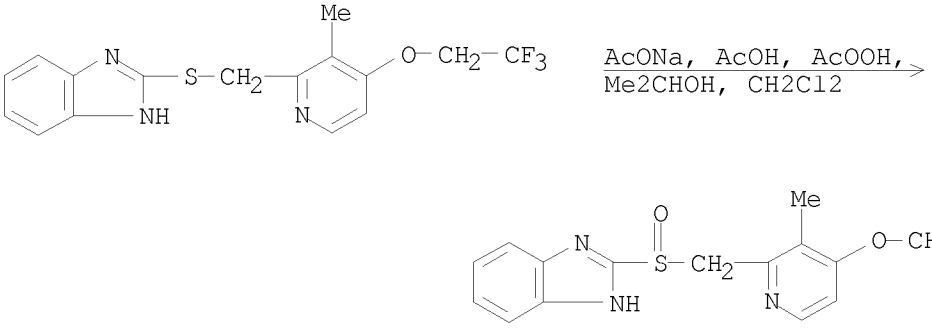
GI



I

AB This document discloses a process for preparing benzimidazole derivs. I [A = SO; R1 = H, OMe, OCHF2; R2 = Me, OMe; R3 = OMe, OCH2CF3, O(CH2)3OMe; R4 = H, Me] by (a) oxidizing I [A = S; R1 - R4 = as defined above] with an oxidizing agent in one or more organic solvents in the presence of an oxygen scavenger (e.g., dimethylsulfoxide, etc.); and (b) isolating the product from the reaction mass. Thus, oxidation of lansoprazole sulfide with peracetic acid in a mixture of dichloromethane and iso-Pr alc. containing dimethylsulfoxide and sodium acetate gave, after workup, lansoprazole.

RX(1) OF 3

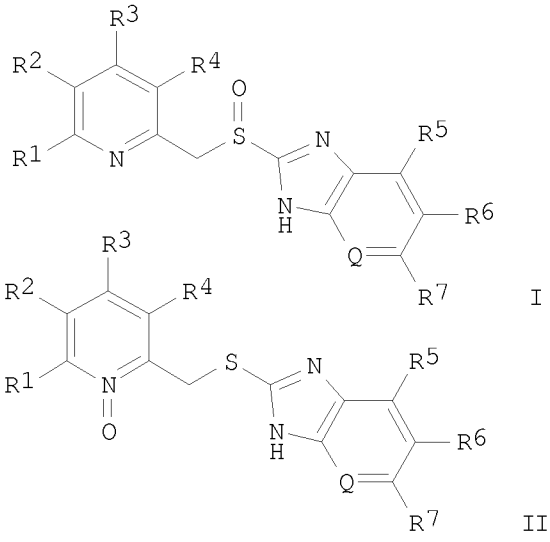


CON: STAGE(1) room temperature -> -10 deg C; 4 - 6 hours, pH 4 - 7

L4 ANSWER 5 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:486439 CASREACT
TITLE: A process for the preparation of ((pyridin-2-ylmethyl)sulfinyl)-1H-benzimidazoles from ((1-oxopyridin-2-ylmethyl)sulfanyl)-1H-benzimidazoles in the presence of transition metal catalysts
INVENTOR(S): Allegrini, Pietro; Rasparini, Marcello; Razzetti, Gabriele; Rossi, Roberto; Ventimiglia, Gianpiero
PATENT ASSIGNEE(S): Dipharma Francis S.r.l., Italy
SOURCE: Eur. Pat. Appl., 12pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1847538	A1	20071024	EP 2007-7754	20070417
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IT 2006MI0787	A1	20060721	IT 2006-MI787	20060421
IT 2006MI1949	A1	20070111	IT 2006-MI1949	20061011
CA 2585602	A1	20071021	CA 2007-2585602	20070420
CN 101058571	A	20071024	CN 2007-10104432	20070420
US 20070249662	A1	20071025	US 2007-737852	20070420
IN 2007KO00622	A	20071102	IN 2007-KO622	20070420
JP 2007291101	A	20071108	JP 2007-111789	20070420
PRIORITY APPLN. INFO.:			IT 2006-MI787	20060421
			IT 2006-MI1949	20061011

OTHER SOURCE(S): MARPAT 147:486439
GI

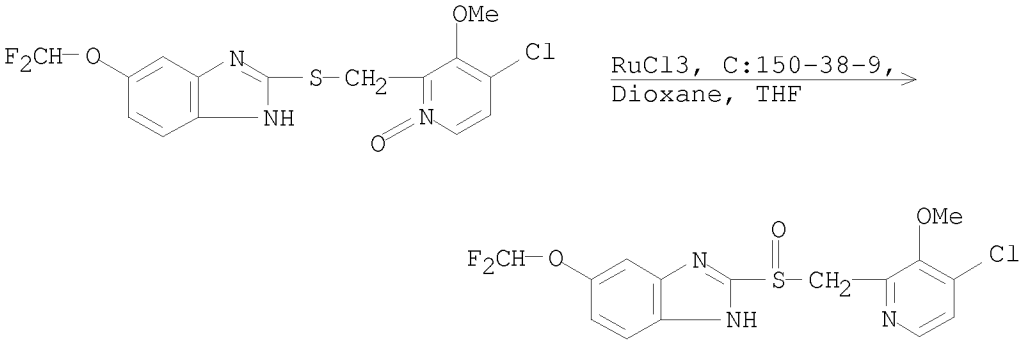


I

II

AB A process for the preparation of ((pyridin-2-ylmethyl)sulfinyl)-1H-benzimidazoles I [wherein Q = (un)substituted CH or N; R1 - R8 = H, halo, OH, nitro, etc.] or its salts were prepared from the corresponding ((1-oxopyridin-2-ylmethyl)sulfanyl)-1H-benzimidazoles II (Q, R1 - R8 = same as above) in the presence of transition metal catalysts.

RX(1) OF 6



CON: STAGE(1) 80 deg C; 1 hour, 80 deg C

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:257772 CASREACT
TITLE: Process for preparation of chiral benzimidazolyl pyridylmethyl sulfoxides from the corresponding sulfides using chiral transition metal complexes and oxidizing agents.
INVENTOR(S): Dubey, Sushil Kumar; Vig, Gaurav; Singh, Anand; Tripathi, Sushil; Paul, Soumendu
PATENT ASSIGNEE(S): Jubilant Organosys Limited, India
SOURCE: PCT Int. Appl., 21pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007088559	A1	20070809	WO 2007-IN35	20070131

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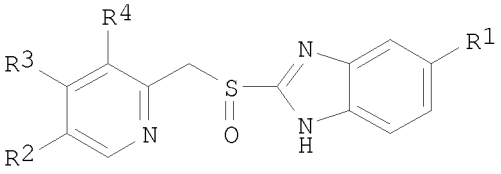
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2006-DE271 20060201

OTHER SOURCE(S): MARPAT 147:257772

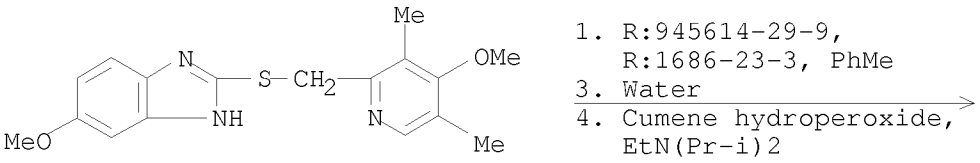
GI



I

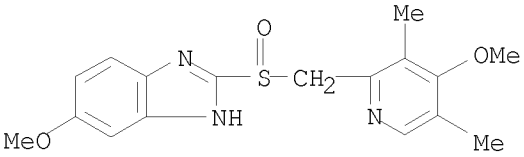
AB Title compds. (I; R1-R4 = H, alkyl, alkoxy, aryl, aryloxy), were prepared by treatment of the corresponding prochiral sulfides with chiral transition metal complexes and oxidizing agents optionally in presence of an organic solvent, wherein the chiral ligands comprise dicyclohexylidene, diacetonide, or benzylidene derivs. of sugars. Thus, vanadium oxytripropoxide and 1,2,4,5-Di-O-cyclohexylidene-D-fructofuranose were stirred together for 10-15 min in PhMe; 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole and H2O were added and the mixture was heated at 50-55° for 1 h; the mixture was cooled to 25-30° followed by addition of diisopropylethylamine and cumene hydroperoxide over 1 h followed by stirring for 45 min. and workup to give 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole, sodium salt in 75% enantiomeric excess.

RX(1) OF 1



(step 2)

1. R:945614-29-9, R:1686-23-3, PhMe
3. Water
4. Cumene hydroperoxide, EtN(Pr-i)2



NOTE: alternative preparation shown, stereoselective

CON: STAGE(1) 10 - 15 minutes, room temperature
STAGE(2) room temperature -> 55 deg C
STAGE(3) 1 hour, 50 - 55 deg C; 55 deg C -> 30 deg C
STAGE(4) 1 hour, 25 - 30 deg C; 45 minutes, 25 - 30 deg C

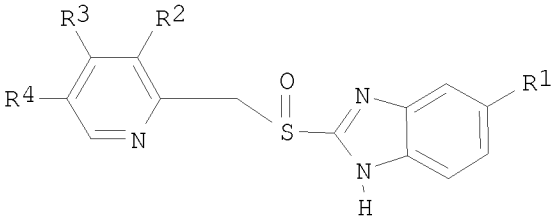
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CASREACT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 147:235177 CASREACT
TITLE: Process for preparation of alkali metal or alkaline earth metal salts of an optically active substituted pyridinylmethyl-sulfinyl-benzimidazole
INVENTOR(S): Muljibhai, Patel Vijay; Ravikant, Soni Rohit; Budhdev, Rehani Rajeev; Rajamannar, Thennati
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Ltd., India
SOURCE: Indian Pat. Appl., 16pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2003MU00503	A	20050211	IN 2003-MU503	20030519

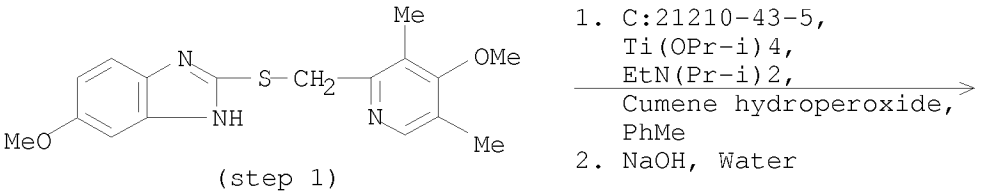
PRIORITY APPLN. INFO.: IN 2003-MU503 20030519
OTHER SOURCE(S): MARPAT 147:235177
GI



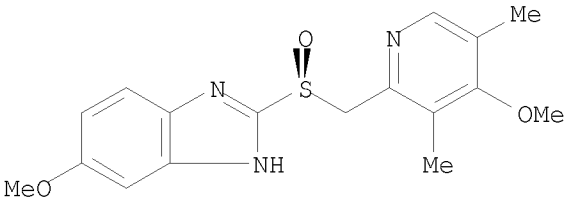
I

AB A process for the preparation of alkali metal or alkaline earth metal salts of an optically active enantiomer or an enantiomerically enriched form of substituted pyridinylmethyl-sulfinyl-benzimidazole. The said process comprises enantioselective catalytic oxidation of a substituted pyridinylmethyl prochiral sulfide derivative of benzimidazole, with an oxidizing agent in an organic solvent in the presence of a base and a catalyst comprising titanium or vanadium complexed with a chiral monodentate ligand to obtain the compound I (R1-R4 = H, linear or branched C1-4 alkyl, alkoxy, aryl, aryloxy, etc.), thereafter treating the compound I with an alkali or alkaline earth metal source.

RX(1) OF 3



(step 1)



Na

NOTE: catalyst prepd. in situ
CON: STAGE(1) 17 hours, 40 deg C; 10 - 15 minutes, 25 - 30 deg C;
2 hours, 25 - 30 deg C
STAGE(2) 15 minutes, room temperature

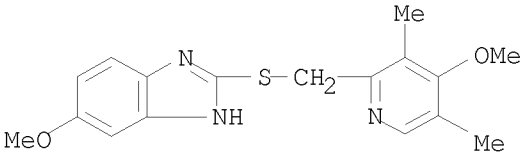
L4 ANSWER 8 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:235162 CASREACT
TITLE: Method for preparing chiral proton pump inhibitor
INVENTOR(S): Wang, Qinghe; Cheng, Maosheng
PATENT ASSIGNEE(S): Shenyang Pharmaceutical University, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 6pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1995037	A	20070711	CN 2006-10172184	20061231

PRIORITY APPLN. INFO.: CN 2006-10172184 20061231

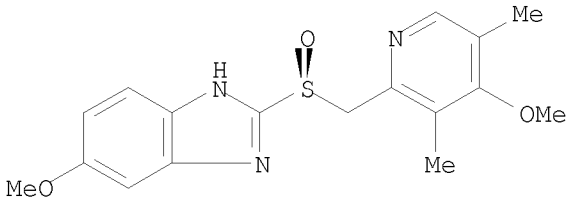
AB The title chiral sulfoxide proton pump inhibitor is prepared by catalytically oxidizing the prochiral sulfide compound in the presence of chiral tartrate derivative and vanadium alkoxide. The obtained single enantiomer (or enantiomer rich) chiral sulfoxide proton pump inhibitor includes: S-omeprazole, S-lansoprazole, S-pantoprazole, S-rabeprazole, S-tenatoprazole and their basic salts (pharmaceutically acceptable). This method has the advantages of high raw material utilization, and simple preparation process.

RX(1) OF 5



(step 1)

1. C:42355-65-7, C:63126-52-3, CH2Cl2
2. Cumene hydroperoxide, EtN(Pr-i)2
3. NaOH, Water



50%

NOTE: stereoselective
CON: STAGE(1) 2 hours, reflux
STAGE(2) 6 hours, -10 - 0 deg C
STAGE(3) 2 hours

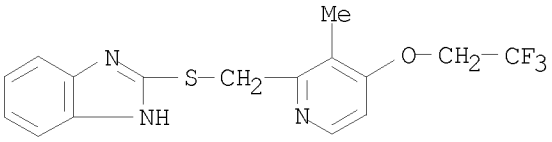
L4 ANSWER 9 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:152725 CASREACT
TITLE: Process for preparing lansoprazole
INVENTOR(S): Kotar-Jordan, Berta; Vrecer, Franc; Segula Zakelj, Mojca; Ritlop, Gregor
PATENT ASSIGNEE(S): Krka, Tovarna Zdravil, D.D., Novo Mesto, Slovenia
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006074952	A1	20060720	WO 2006-EP285	20060113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1681056	A1	20060719	EP 2005-663	20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
US 20070259049	A1	20071108	US 2005-269211	20051108

AU 2006205818	A1	20060720	AU 2006-205818	20060113
CA 2594821	A1	20060720	CA 2006-2594821	20060113
EP 1838314	A1	20071003	EP 2006-706233	20060113
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007DN05884	A	20070817	IN 2007-DN5884	20070727
NO 2007004086	A	20071010	NO 2007-4086	20070807
CN 101137371	A	20080305	CN 2006-80007798	20070910
PRIORITY APPLN. INFO.:			EP 2005-663	20050114
			US 2005-269211	20051108
			WO 2006-EP285	20060113

AB The invention relates to a process for preparing lansoprazole. It is also directed to lansoprazole having a sp. surface area and a pharmaceutical composition comprising lansoprazole. For example, polyvinylpyrrolidone K-30 66.0 g were dissolved in of purified water 500.0 g. Disodium hydrogen phosphate dihydrate 57.8 g were dissolved in purified water 500.0 g and then added to the solution of polyvinylpyrrolidone. Then, lansoprazole 247.5 g, sucrose 279.7 g and maize starch 174.0 g were added to the resulting solution and this dispersion was homogenized with an appropriate mixer/homogenizer until a substantially homogeneous suspension was obtained. Finally, sodium dodecyl sulfate 25.0 g were dissolved in purified water 160.0 g and added into the suspension while gently stirring. The obtained suspension was then sprayed onto 1100.00 g of inert cores in a Wurster fluidized-bed equipment to form cores having a first layer. Such coated cores were addnl. coated with a dispersion containing 1500.0 g of Eudragit L-30D, 45.0 g of polyethylene glycol 6000, 144.0 g of talc, 43.5 g of titanium dioxide and 1500.0 g of water.

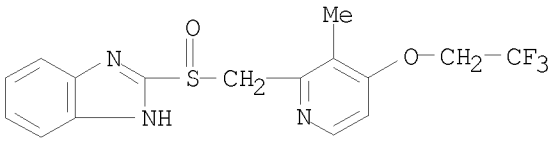
RX(11) OF 64



1. C:5588-84-1, NMEP
2. R:35220-04-3
3. Et3N, R:10102-17-7, Water

x H_2O

(step 1)



NOTE: optimization study
CON: STAGE(1) room temperature -> 0 deg C
STAGE(2) 0.5 hours, 0 - 10 deg C; 10 - 15 deg C

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

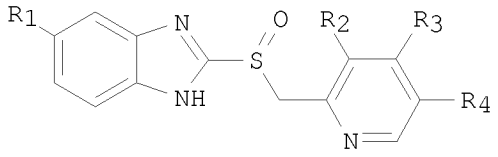
L4 ANSWER 10 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:390922 CASREACT

10/551,037

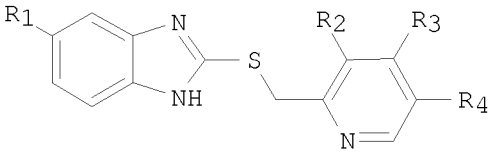
TITLE: Stereoselective oxidation processes for the preparation of chiral substituted sulfoxides from the racemic sulfides
INVENTOR(S): Kumar, Neela Praveen; Khanna, Mahavir Singh; Prasad, Mohan; Kumar, Yatendra
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040635	A1	20060420	WO 2005-IB2946	20051004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1802584	A1	20070704	EP 2005-790107	20051004
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN03340	A	20070831	IN 2007-DN3340	20070503
US 20080275245	A1	20081106	US 2008-576867	20080220
PRIORITY APPLN. INFO.:			IN 2004-DE1957	20041011
			WO 2005-IB2946	20051004

OTHER SOURCE(S): MARPAT 144:390922
GI



I

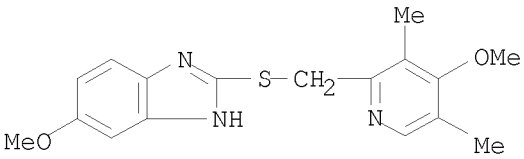


II

AB An enantioselective catalytic oxidation process for the preparation of an optically active enantiomer or an enantiomerically enriched form of a substituted pyridinylmethylsulfinylbenzimidazole [I; R1-R4 = H, C1-4 (un)branched alkyl, C1-4 (un)branched alkoxy, aryl, aryloxy], or its pharmaceutically acceptable salts (e.g., esomeprazole potassium),

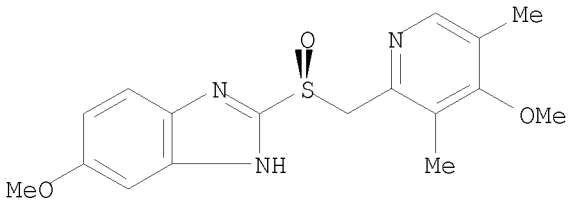
comprises oxidizing a prochiral sulfide (II; e.g., omeprazole sulfide) in the presence of a chiral transition metal complex [e.g., titanium isopropoxide and L-(+)-diethyl tartrate] and a base (e.g., diisopropylethylamine) in the absence of an organic solvent with an oxidant (e.g., cumene hydroperoxide) followed by an optional salification (e.g., potassium hydroxide).

RX(1) OF 3



(step 2)

1. Ti(OPr-i)4, Di-Et L-tartrate
2. Cumene hydroperoxide, Di-Et L-tartrate, EtN(Pr-i)2
3. KOH, MeOH



K

NOTE: optimization study, stereoselective
CON: STAGE(1) room temperature -> 50 deg C; 1.5 hours; 25 - 30 deg C
STAGE(2) 25 - 30 deg C; 3 hours, 25 - 30 deg C
STAGE(3) 25 - 35 deg C; 15 - 16 hours, 25 - 35 deg C

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

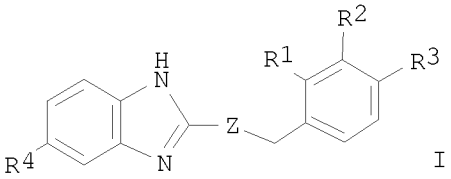
L4 ANSWER 11 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:106473 CASREACT
TITLE: Processes for the production of substituted 2-(2-pyridylmethyl) sulfinyl-1H-benzimidazoles
INVENTOR(S): Avrutov, Ilya; Mendelovici, Marioara; Finkelstein, Nina
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S. Ser. No. 66,850.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040138466	A1	20040715	US 2003-655645	20030904
US 20030036554	A1	20030220	US 2002-66850	20020204
US 7129358	B2	20061031		
CN 1781918	A	20060607	CN 2005-10086094	20020204
CN 1876647	A	20061213	CN 2006-10081920	20020204

EP 1970374	A1	20080917	EP 2008-10970	20020204
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
US 20060293363	A1	20061228	US 2006-514964	20060905
US 20080091024	A1	20080417	US 2007-973744	20071009
PRIORITY APPLN. INFO.:			US 2001-266162P	20010202
			US 2002-66850	20020204
			US 2002-408163P	20020904
			CN 2002-804485	20020204
			EP 2002-706135	20020204
			US 2006-514964	20060905

OTHER SOURCE(S): MARPAT 141:106473

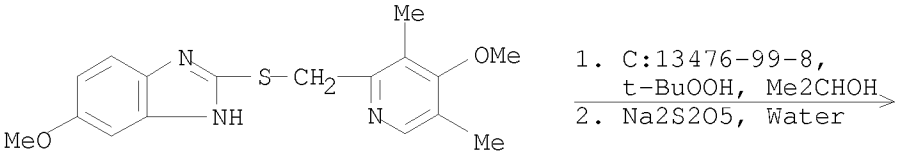
GI



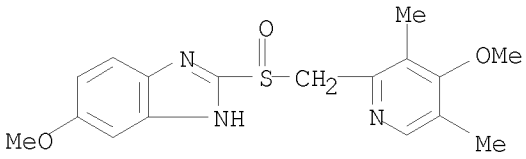
I

AB The present invention discloses improved processes for preparing substituted 2-(2-pyridylmethyl)sulfinyl-1H-benzimidazoles, such as I [R1, R2, R4 = H, alkyl, alkoxy; R3 = H, alkyl; Z = SO], via selective oxidation of a thioether compound II (Z = S), with an oxidizing agent selected from the group consisting of tert-Bu hydroperoxide in the presence of a catalyst, vanadium acetylacetonate, oxone and potassium peroxymonosulfate.

RX(1) OF 5



(step 1)



84%

NOTE: optimization study
CON: STAGE(1) 5 - 7 deg C; 7 deg C -> 22 deg C; 3 hours

L4 ANSWER 12 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:357338 CASREACT
TITLE: Preparation of sulfinyl-containing drugs by catalytic oxidation of thioether compounds
INVENTOR(S): Yang, Guangzhong

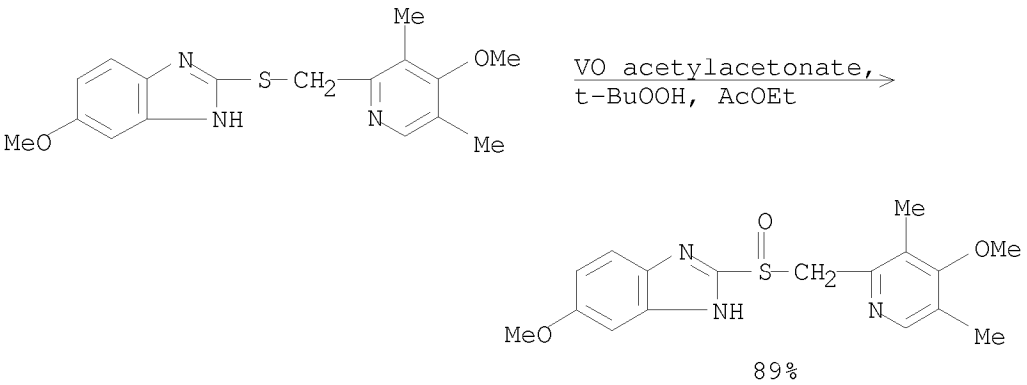
PATENT ASSIGNEE(S): Institute of Pharmacy, Chinese Academy of Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 12 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1381443	A	20021127	CN 2001-109783	20010420
CN 1215056	C	20050817		

PRIORITY APPLN. INFO.: CN 2001-109783 20010420

AB The thioether compds., such as 5-methoxy-2-(3,5-dimethyl-4-methoxy-2-pyridylmethylthio)-1H-benzimidazole, 2-[3-methyl-4--2-pyridylmethylthio]-1H-benzimidazole, 5-difluoromethoxy-2-(3,4-dimethoxy-2-pyridylmethylthio)-1H-benzimidazole, 2-[4-(3-methoxypropoxy)-3-methyl-2-pyridylmethylthio]-1H-benzimidazole, or (diphenylmethyl)thioacetamide, were oxidized to sulfoxide by using tert-Bu hydroperoxide (tert-Bu hypochlorite, NaClO, H2O2, perbenzoic acid, or 3-chloroperbenzoic acid) in nonprotic solvent (such as dichloromethane, chloroform, CCl4, acetone, Et acetate, etc) in the presence of catalyst (0.5-10%) at 0-25°. The catalyst is titanium tetraisopropoxide, bis(pentane-2,4-dionato)vanadium oxide, bis(pentane-2,4-dionato)copper(II), bis(pentane-2,4-dionato)cobalt(II), tris(pentane-2,4-dionato)iron(III), bis(pentane-2,4-dionato)manganese(II), or tris(pentane-2,4-dionato)chromium(III).

RX(5) OF 8



89%

CON: 30 minutes, room temperature

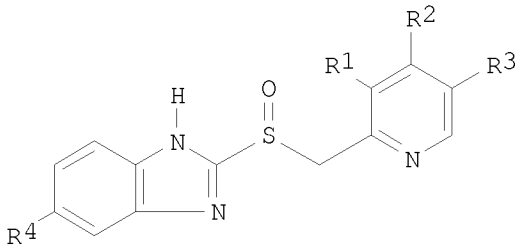
L4 ANSWER 13 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:146140 CASREACT
TITLE: Preparation of lansoprazole and related compounds
INVENTOR(S): Finkelstein, Nina
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

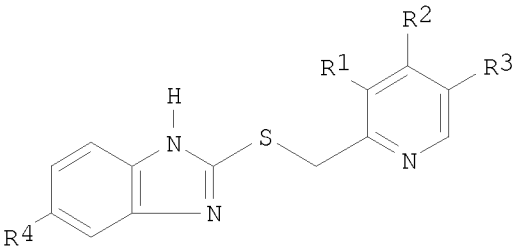
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011455	A1	20040205	WO 2003-US23588	20030728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003268034	A1	20040216	AU 2003-268034	20030728
EP 1467987	A1	20041020	EP 2003-748985	20030728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-398686P 20020726
WO 2003-US23588 20030728

OTHER SOURCE(S): MARPAT 140:146140
GI



I

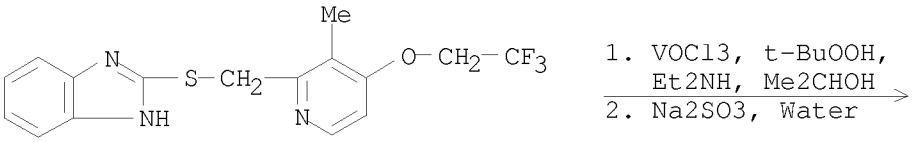


II

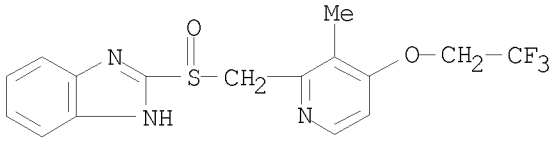
AB The present invention provides a process for preparing lansoprazole (LNP) and related compds. I (R1, R2, R4 = H, alkyl, alkoxy; R3 = H, alkyL) having a high yield and a low level of impurities by oxidation of corresponding sulfides II with tert-Bu hydroperoxide (TBHP), catalyzed by a catalyst vanadium oxytrichloride in an organic solvent selected from the group consisting of a C1-C5 alkanol, decane, nonane, toluene and a mixture of the organic solvent and water, preferably in the presence of a base. Thus, oxidation of 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benimidazole with TBPH in isopropanal in the

presence of Et2NH and VOCl3 at 10° for 16 h gave 90% lansoprazole.

RX(1) OF 1



(step 1)



90%

CON: STAGE(1) 16 hours, 10 deg C
STAGE(2) 1 hour, room temperature

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

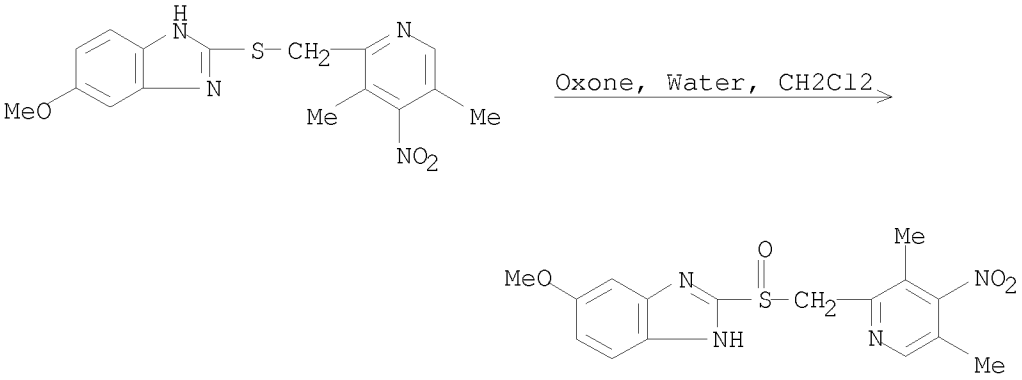
L4 ANSWER 14 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:395935 CASREACT
TITLE: New method for the preparation of the anti-ulcer compounds omeprazole, lansoprazole and pantoprazole
INVENTOR(S): Correia, Pedro Brito; Romao, Carlos Crispim; Correia, Luis Brito; Pereira, Maria Florbela; Fernandes, Ana Cristina; Borges, Jose Enrique; Tavares, Regina; Costa, Maria Do Ceu; Teixeira, Fatima
PATENT ASSIGNEE(S): Herbex, Produtos Quimicos Sa, Port.; Saragga, Jose Manuel
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097606	A1	20031127	WO 2000-IB1057	20000728
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000258410	A	20031202	AU 2000-258410	20000728
PRIORITY APPLN. INFO.:			WO 2000-IB1057	20000728

OTHER SOURCE(S): MARPAT 139:395935
AB The present invention describes a new process for the intermediate preparation of omeprazole, lansoprazole and pantoprazole , and which involves the formation of pyridines N-oxide using a rhenium compound as a catalyst,

followed by nitration of the 4-position with nitric acid fuming in presence of a claycop. The chlorination of the 2-Me group of pyridine was achieved by using the POCI3/Et3N, which allowed the preparation of the derivs. 2-chloromethylpyridines in only one step. These derivs. reacted with the mercaptobenzimidazolic derivs. in presence of ultra-sonic radiation, giving the thioethers. The oxidation of these thioethers was done with several oxidizing agents and the required anti-ulcer compds. were obtained after the substitution of nitro group by the corresponding OR groups. Thus, Omeprazole was prepared by oxidation of 2,3,5-colidine with hydrogen peroxide in presence of methyltrioxorhenium catalyst; nitration; chlorination to form 2-chloromethyl-3,5-dimethyl-4-nitropyridine; reaction with 5-methoxy-2-mercaptobenzimidazole; oxidation; and reaction with sodium methoxide.

RX(5) OF 21



CON: STAGE(1) 0 deg C; 2 hours, 0 - 5 deg C

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:350735 CASREACT
TITLE: Preparation of optically active substituted pyridinylmethylsulfinylbenzimidazoles and salts
INVENTOR(S): Thennati, Rajamannar; Rehani, Rajeev Budhdev; Soni, Rohit Ravikant; Chhabada, Vijay Chhangamal; Patel, Vijaykumar Muljibhai
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

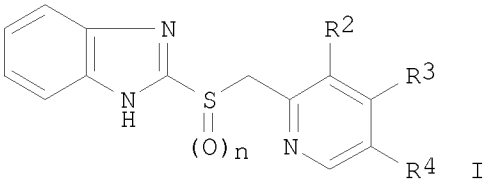
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003089408	A2	20031030	WO 2003-IN164	20030421
WO 2003089408	A3	20040205		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IN 194216	A1	20041002	IN 2002-MU299	20020422	
IN 2002MU00365	A	20050304	IN 2002-MU365	20020422	
AU 2003262375	A1	20031103	AU 2003-262375	20030421	
PRIORITY APPLN. INFO.:			IN 2002-MU299	20020422	
			IN 2002-MU365	20020422	
			WO 2003-IN164	20030421	

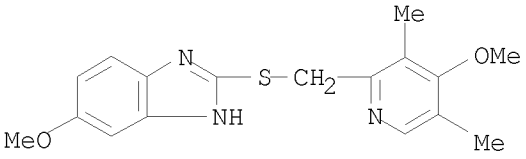
OTHER SOURCE(S): MARPAT 139:350735
GI



I

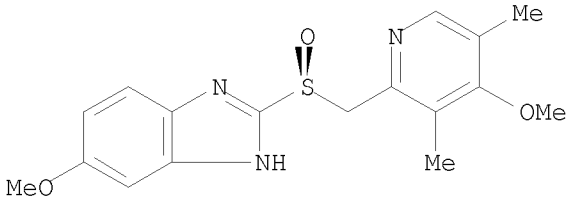
AB Optically active enantiomers of the title compds. I [R1-R4 = H, (un)substituted alkyl, alkoxy, aryl, aryloxy; n = 1] are prepared by stereoselective oxidation of I [n = 0] with an oxidizing agent in an organic solvent in the presence of a base and a catalyst comprising titanium or vanadium complexed with a chiral monodentate ligand. The process yields alkali or alkaline earth metal salts of 5-methoxy-2-[(S)-(4-methoxy-3,5-dimethyl-2-pyridinylmethyl)sulfinyl]-1H-benzimidazole substantially free of sulfone impurity, optionally after purification in a ketone or nitrile solvent. Thus, omeprazole sulfide is oxidized with cumene hydroperoxide in presence of EtN(CHMe2)2, Me (S)-(+)-mandelate, and Ti(OCHMe2)4 in PhMe, followed by washing with MeCN to give esomeprazole sodium with >985 ee.

RX(1) OF 1



(step 2)

1. C:21210-43-5, Ti(OPr-i)4, PhMe
2. EtN(Pr-i)2
3. Cumene hydroperoxide, S:98-82-8



Na

NOTE: stereoselective
CON: STAGE(1) room temperature -> 40 deg C; 17 hours, 40 deg C; 40 deg C -> 30 deg C
STAGE(2) 25 - 30 deg C; 10 - 15 minutes, 25 - 30 deg C
STAGE(3) 25 - 30 deg C; 2 hours, 25 - 30 deg C

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 17 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 137:169521 CASREACT
TITLE: Processes for the production of substituted 2-(2-pyridinylmethyl) sulfinyl-1H-benzimidazoles using tert-butyl hydroperoxide or oxone
INVENTOR(S): Avrutov, Ilya; Mendelovici, Marioara
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceutical USA, Inc.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

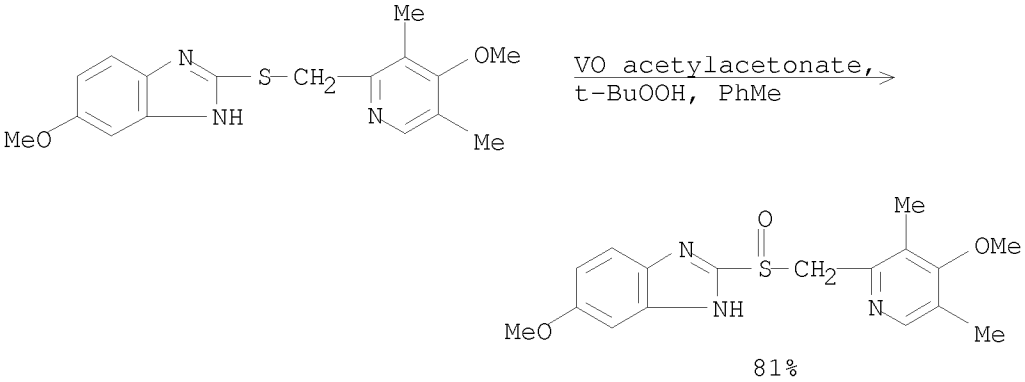
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062786	A1	20020815	WO 2002-US3225	20020204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2436467	A1	20020815	CA 2002-2436467	20020204

AU 2002240242	A1	20020819	AU 2002-240242	20020204
EP 1363901	A1	20031126	EP 2002-706135	20020204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003003144	A2	20040301	HU 2003-3144	20020204
HU 2003003144	A3	20070828		
CN 1489585	A	20040414	CN 2002-804485	20020204
CN 100347167	C	20071107		
ZA 2003005652	A	20040722	ZA 2003-5652	20020204
JP 2004524303	T	20040812	JP 2002-563139	20020204
CN 1781918	A	20060607	CN 2005-10086094	20020204
CN 1876647	A	20061213	CN 2006-10081920	20020204
EP 1970374	A1	20080917	EP 2008-10970	20020204
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
IN 2003MN00726	A	20050429	IN 2003-MN726	20030724
MX 2003006904	A	20041206	MX 2003-6904	20030731
NO 2003003433	A	20030925	NO 2003-3433	20030801
IN 2006MN00528	A	20070608	IN 2006-MN528	20060509
US 20080091024	A1	20080417	US 2007-973744	20071009
PRIORITY APPLN. INFO.:			US 2001-266162P	20010202
			CN 2002-804485	20020204
			EP 2002-706135	20020204
			US 2002-66850	20020204
			WO 2002-US3225	20020204
			IN 2003-MN726	20030724
			US 2006-514964	20060905

OTHER SOURCE(S): MARPAT 137:169521

AB RZR1 (I; Z = SO) [R = (un)substituted 1H-benzimidazol-2-yl; R1 = (un)substituted 2-pyridinyl] were prepared by selective oxidation of I (Z = S) with tert-Bu hydroperoxide or oxone. Oxidation with tert-Bu hydroperoxide were performed in the presence of VO(acac)2, silica bound V2O5 and NaVO3.

RX(1) OF 5



81%

NOTE: optimization study

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 17 CASREACT COPYRIGHT 2009 ACS on STN

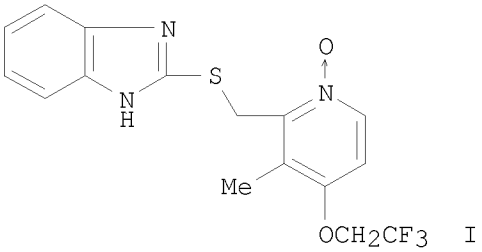
ACCESSION NUMBER: 122:290859 CASREACT

TITLE: Process and catalysts for the preparation of 2-[[(1H-benzimidazol-2-yl)thio]methyl]-3-methyl-4-(2,2,2-trifluoroethoxy)pyridinium N-oxide as an

intermediate for lansoprazole bulk manufacture
INVENTOR(S): Monserrat Vidal, Carlos; Serra, Marcia, Xavier
PATENT ASSIGNEE(S): Laboratorios S.A.L.V.A.T., S.A., Spain
SOURCE: Span., 13 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2063705	A1	19950101	ES 1993-1312	19930614
ES 2063705	B1	19950716		
PRIORITY APPLN. INFO.:			ES 1993-1312	19930614

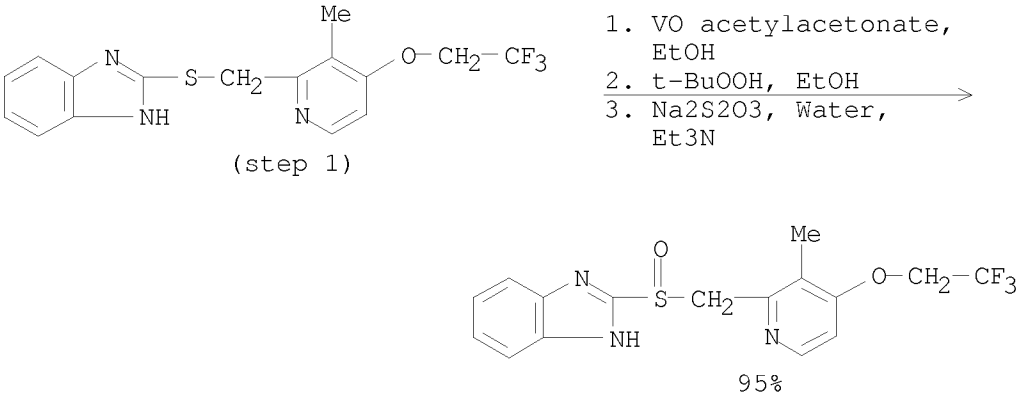
GI



I

AB The title compound, I, is prepared from 2,3-dimethyl-4-nitropyridinium N-oxide in 3 steps and is used as an intermediate for the industrial-scale preparation of lansoprazole.

RX(6) OF 13



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FILE COVERS 1907 - 27 Jul 2009 VOL 151 ISS 5
FILE LAST UPDATED: 26 Jul 2009 (20090726/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family
of databases have been updated to include new citing references
information. This enhancement may impact record import into
database management software. For additional information, refer
to NEWS 22.

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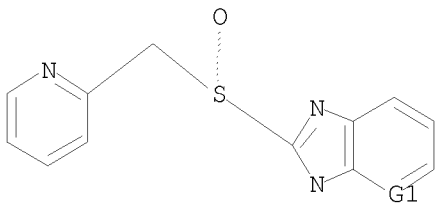
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.
L5 5880 SEA FILE=REGISTRY SSS FUL L1
L8 31 SEA FILE=CAPLUS L5 AND (TUNGSTEN OR VANADIUM)

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L8 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:649681 CAPLUS
DOCUMENT NUMBER: 150:563829
TITLE: Process for preparation of optically active benzimidazolyl sulfoxide compounds via asymmetric oxidation of prochiral sulfides using chiral

transition metal complexes in water.

INVENTOR(S): Kumar, Ashok; Singh, Dharmendra; Nellithanath, Thankachen Byju; Kadam, Prasad Shankar; Vishwakarma, Harishankar Prahladkumar; Ojha, Vijay; Ninawe, Umeshkumar

PATENT ASSIGNEE(S): IPCA Laboratories Limited, India

SOURCE: PCT Int. Appl., 29pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

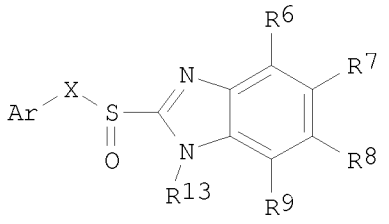
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009066321	A2	20090528	WO 2008-IN637	20081003

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

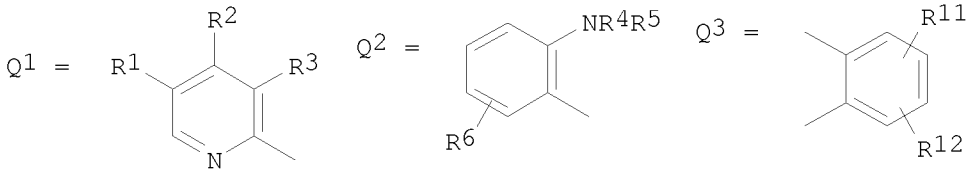
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2007-MU1967 A 20071003
IN 2007-MU1968 A 20071003
IN 2007-MU1969 A 20071003

GI



I



AB Title compds. [I; R1-R3 = H, halo, NO2, alkyl, alkylthio, alkoxy, fluoroalkoxy, alkoxyalkoxy, dialkylamino, piperidino, morpholino, phenylalkyl, phenylalkoxy; R4, R5 = H, alkyl, aralkyl; R6-R9 = H, alkyl, alkoxy, halo, haloalkoxy, alkylcarbonyl, alkoxycarbonyl, oxazolyl, trifluoroalkyl; adjacent pairs of R6-R9 = atoms to form (substituted) rings; R10 = H; R3R10 = alkylene; R11, R12 = H, halo, alkyl; R13 = H, protecting group; Ar = Q1, Q2; X = CHR10, Q3], were prepared Thus, di-Et D-tartrate, diisopropylethylamine, Ti(OiPr)4, and H2O were heated together

at 65-70° for 1 h; after cooling to room temperature, pyrmetazole was added followed by heating, cooling, and treatment with cumene hydroperoxide. For isolation, MeOH, KI, and KOMe were added followed by stirring and addition of PhMe to give 65-70% esomeprazole potassium comprising 97.18% sulfoxide, 2.70% sulfone, and 0.20% sulfide starting material with an S/R ratio of 99.7/0.30.

IT 87-91-2 87-92-3 608-68-4 2217-15-4 7440-32-6, Titanium, uses 7440-58-6, Hafnium, uses 7440-62-2, Vanadium, uses 7440-67-7, Zirconium, uses 13171-64-7 13811-71-7 26549-65-5 62563-15-9 62961-64-2 63126-10-3 63126-52-3 63976-72-7 102197-56-8 111606-71-4 117384-45-9 117384-46-0 393138-26-6 708272-61-1 708272-62-2 708272-63-3 708272-64-4 708272-65-5 708272-66-6 708272-67-7 708272-68-8 708272-69-9 708272-70-2 708272-71-3
RL: CAT (Catalyst use); USES (Uses)
(preparation of optically active benzimidazolyl sulfoxide compds. via asym. oxidation of prochiral sulfides using chiral transition metal complexes in water)

IT 161796-78-7P, Esomeprazole sodium 161796-84-5P, Esomeprazole potassium 161796-85-6P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of optically active benzimidazolyl sulfoxide compds. via asym. oxidation of prochiral sulfides using chiral transition metal complexes in water)

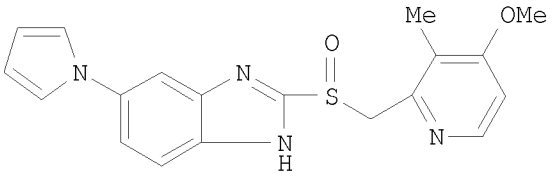
IT 73590-58-6P, Omeprazole 102625-70-7P, Pantoprazole 103577-45-3P, Lansoprazole 113712-98-4P, Tenatoprazole 117976-89-3P, Rabeprazole 119141-88-7P 161973-10-0P 793668-06-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of optically active benzimidazolyl sulfoxide compds. via asym. oxidation of prochiral sulfides using chiral transition metal complexes in water)

L8 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:585662 CAPLUS
DOCUMENT NUMBER: 150:487761
TITLE: (+)-Enantiomer of 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole and process for preparing it
INVENTOR(S): Kim, Dong-Yeon; Kim, Jae-Gun; Lee, Jun-Yeoun; Cho, Kwi-Hyung; Kim, Jung-Woo; Park, Sung-Tae; Pyun, Doo-Hyuk; Nam, Sang-Don; Yoon, Hwan-Min; Han, Byoungcheol
PATENT ASSIGNEE(S): TAP Pharmaceutical Products, Inc., USA
SOURCE: PCT Int. Appl., 22pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009061529	A1	20090514	WO 2008-US65517	20080602

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,

PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2007-935873 A 20071106
OTHER SOURCE(S): CASREACT 150:487761
GI



I

AB The invention relates to (+)-enantiomer of 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole, and a method for the preparation thereof. Specifically, the invention relates to the (+)-enantiomer of I and a process for preparing it by using a chiral auxiliary, comprising the step of reacting 2-[[(4-methoxy-3-methyl)-2-pyridinyl]methylthio]-5-(1H-pyrrol-1-yl)-1H-benzimidazole (II) with diisopropyl L-tartrate, which is not used for known proton inhibitory compds. but shows a superior reactivity to II, or the chiral auxiliary (R)-(+)-1,1'-bi-2-naphthol; and the step of crystallizing the product of the above step. The invention also discloses an anti-ulcer composition comprising the enantiomer of the invention.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 172152-36-2
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)
((+)-Enantiomer of 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole and process for preparing it)
IT 910664-01-6P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((+)-Enantiomer of 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole and process for preparing it)
IT 75-91-2, tert-Butyl hydroperoxide 80-15-9, Cumene hydroperoxide 546-68-9, Titanium (IV) isopropoxide 602-09-5, [1,1'-Binaphthalene]-2,2'-diol 2217-15-4 7087-68-5, Diisopropyl ethylamine 7439-89-6, Iron, reactions 7439-96-5, Manganese, reactions 7439-98-7, Molybdenum, reactions 7440-32-6, Titanium, reactions 7440-62-2, Vanadium, reactions 7722-84-1, Hydrogen peroxide, reactions 7732-18-5, Water, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
((+)-Enantiomer of 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole and process for preparing it)

L8 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:259684 CAPLUS

DOCUMENT NUMBER: 150:290744
TITLE: Process for preparing 2-sulfinyl-1H-benzimidazoles
INVENTOR(S): Iskra, Jernei; Stavber, Stojan; Kotar Jordan, Berta; Ruzic, Milos; Smodis, Janez; Zupet, Rok
PATENT ASSIGNEE(S): Krka, Tovarna Zdravil, d.d., Novo Mesto, Slovenia
SOURCE: Eur. Pat. Appl., 19pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 2030973	A1	20090304	EP 2007-115432	20070831
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
WO 2009027533	A1	20090305	WO 2008-EP61443	20080829
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2007-115432 A 20070831

AB The present invention relates to a process for preparing 2-(2-pyridinylmethylsulfinyl)-1H-benzimidazoles by oxidizing a thioether precursor in the presence of trifluoroethanol. Thus, pantoprazole sulfide was dissolved in trifluoroethanol and a metal catalyst, V2O5 was added followed by the addition of H2O2. The pantoprazole obtained was purified by dissoln. on EtOAc and treatment with 6M aqueous NaOH solution

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 127780-16-9 953787-55-8 953787-60-5
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(impurity; process for preparing sulfinylbenzimidazoles)

IT 1314-62-1, Vanadium pentoxide, uses 1686-22-2 1686-23-3 3153-26-2 5588-84-1 7439-98-7, Molybdenum, uses 7440-15-5, Rhenium, uses 7440-33-7, Tungsten, uses 7440-45-1, Cerium, uses 7440-62-2, Vanadium, uses 7440-64-4, Ytterbium, uses 7631-95-0 7727-18-6, Vanadium oxytrichloride 10139-51-2 12027-38-2 13709-31-4, Vanadium oxytrifluoride 13718-26-8, Sodium vanadate 17442-18-1 54761-04-5 70197-13-6 131457-01-7 145780-50-3
RL: CAT (Catalyst use); USES (Uses)
(process for preparing sulfinylbenzimidazoles)

IT 102625-70-7P 103577-45-3P, Lansoprazole
RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparing sulfinylbenzimidazoles)

IT 138786-67-1P, Pantoprazole sodium 259669-63-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(process for preparing sulfinylbenzimidazoles)
IT 73590-58-6P 117976-89-3P, Rabeprazole
164579-32-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparing sulfinylbenzimidazoles)

L8 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:258675 CAPLUS
DOCUMENT NUMBER: 150:290727
TITLE: Process for preparing 2-sulfinyl-1H-benzimidazoles
INVENTOR(S): Iskra, Jernei; Stavber, Stojan; Kotar Jordan, Berta; Ruzic, Milos; Smodis, Janez; Zupet, Rok
PATENT ASSIGNEE(S): Krka, tovarna zdravil, d.d., Novo mesto, Slovenia
SOURCE: PCT Int. Appl., 53pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009027533	A1	20090305	WO 2008-EP61443	20080829
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2030973	A1	20090304	EP 2007-115432	20070831
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: EP 2007-115432 A 20070831
OTHER SOURCE(S): MARPAT 150:290727
AB The present invention relates to a process for preparing 2-(2-pyridinylmethylsulfinyl)-1H-benzimidazoles by oxidizing a thioether precursor in the presence of trifluoroethanol. Thus, pantoprazole sulfide was dissolved in trifluoroethanol and a metal catalyst, V2O5 was added followed by the addition of H2O2. The pantoprazole obtained was purified by dissoln. on EtOAc and treatment with 6M aqueous NaOH solution
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 127780-16-9 953787-55-8 953787-60-5
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(impurity; process for preparing sulfinylbenzimidazoles)
IT 1314-62-1, Vanadium oxide (V2O5), uses 1686-22-2, Triethoxy vanadium oxide 1686-23-3 3153-26-2 5588-84-1 7439-98-7, Molybdenum, uses 7440-15-5, Rhenium, uses 7440-33-7, Tungsten, uses 7440-45-1, Cerium, uses 7440-62-2, Vanadium, uses 7440-64-4, Ytterbium, uses 7631-95-0 7727-18-6 10139-51-2 12027-38-2 13709-31-4 13718-26-8 17442-18-1 54761-04-5

70197-13-6 131457-01-7 145780-50-3
RL: CAT (Catalyst use); USES (Uses)
(process for preparing sulfinylbenzimidazoles)
IT 102625-70-7P 103577-45-3P
RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparing sulfinylbenzimidazoles)
IT 138786-67-1P 259669-63-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparing sulfinylbenzimidazoles)
IT 73590-58-6P 117976-89-3P 164579-32-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparing sulfinylbenzimidazoles)

L8 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:177362 CAPLUS
DOCUMENT NUMBER: 150:237602
TITLE: Transition metal mediated oxidation of thioethers to sulfoxides
INVENTOR(S): Piccone, Louis A.; Wheelock, Kenneth S.
PATENT ASSIGNEE(S): Praktikatalyst Pharma, LLC, USA
SOURCE: PCT Int. Appl., 57pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009020454	A1	20090212	WO 2007-US17660	20070809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2007-US17660 20070809
OTHER SOURCE(S): CASREACT 150:237602
AB The invention is directed to a process for the catalytic oxidation of the thioether, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinylmethyl)methylthio]-1H-benzimidazole, to its sulfoxide, 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinylmethyl)methylsulfinyl]-1H-benzimidazole. The sulfoxide was prepared via coordination of the thioether with ruthenium complex; the resulting ruthenium-thioether complex underwent oxidn and dissociation to give the sulfoxide with either R or S enantiomeric excess.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 7439-88-5D, Iridium, complexes 7440-05-3D, Palladium, complexes 7440-06-4D, Platinum, complexes 7440-16-6D, Rhodium, complexes

7440-18-8D, Ruthenium, complexes 7440-33-7D, Tungsten, complex
7440-47-3D, Chromium, complexes 64896-28-2
RL: CAT (Catalyst use); USES (Uses)
(stereoselective preparation of sulfoxides via transition metal mediated oxidation of thioethers)

IT 174618-80-5P 174618-82-7P 174618-84-9P 174662-44-3P 174662-46-5P
174662-48-7P 174758-11-3P 174758-13-5P 174758-15-7P 947335-63-9P
1116140-93-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of sulfoxides via transition metal mediated oxidation of thioethers)

IT 14090-81-4P 18453-46-8P 26451-16-1P 119141-88-7P
1116141-04-8P 1116141-05-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stereoselective preparation of sulfoxides via transition metal mediated oxidation of thioethers)

L8 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:91994 CAPLUS
TITLE: A DRC ICP-MS based screening method for heavy metals contamination in proton pump inhibitor compounds and their intermediates
AUTHOR(S): Reddy, D. Koti; Anil, G.; Reddy, M. R. P.; Mukkanti, K.; Balaram, V.; Rao, T. Gnaneshwar
CORPORATE SOURCE: Chemi Labs, Hyderabad, 500072, India
SOURCE: Atomic Spectroscopy (2008), 29(6), 201-209
CODEN: ASPND7; ISSN: 0195-5373
PUBLISHER: PerkinElmer Life and Analytical Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A quick and sensitive screening method for the determination of heavy metals in omeprazole, pantoprazole, rabeprazole (proton pump inhibitor compds.) and their intermediates was developed using Dynamic Reaction Cell (DRC) Inductively Coupled Plasma Mass Spectrometry (ICP-MS). Proton pump inhibitors (PPIs) have demonstrated gastric acid suppression superior to that of histamine H2-receptor blockers. PPIs have enabled improved treatment of various acid-peptic disorders, including gastro-esophageal reflux disease, peptic ulcer disease, and nonsteroidal anti-inflammatory drug-induced gastropathy. PPIs have minimal side effects, few significant drug interactions, and are generally considered safe for long-term treatment. PPIs have shown great success in treating acid-related gastrointestinal diseases.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT INDEXING IN PROGRESS

IT 95510-70-6, Omeprazole sodium 117976-90-6,
Rabeprazole sodium 138786-67-1, Pantoprazole sodium
RL: AMX (Analytical matrix); ANT (Analyte); ANST (Analytical study)
(DRC ICP-MS based screening method for heavy metals contamination in proton pump inhibitors and their intermediates)

IT 7439-89-6, Iron 7439-92-1, Lead 7439-96-5, Manganese 7440-02-0,
Nickel 7440-05-3, Palladium 7440-06-4, Platinum 7440-31-5, Tin
7440-36-0, Antimony 7440-43-9, Cadmium 7440-47-3, Chromium
7440-48-4, Cobalt 7440-50-8, Copper 7440-62-2, Vanadium
7440-66-6, Zinc 7782-49-2, Selenium
RL: ANT (Analyte); POL (Pollutant); ANST (Analytical study); OCCU (Occurrence)
(DRC ICP-MS based screening method for heavy metals contamination in

proton pump inhibitors and their intermediates)

L8 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:82956 CAPLUS
DOCUMENT NUMBER: 150:152300
TITLE: Process for the preparation of pantoprazole sodium and its sesquihydrate
INVENTOR(S): Trivedi, Ashish M.; Singh, Shailendra Kumar; Tewari, Neera; Prasad, Mohan
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 20pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009010937	A1	20090122	WO 2008-IB52886	20080717
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: IN 2007-DE1506 A 20070717

AB The present invention relates to a process for the preparation of pantoprazole sodium sesquihydrate and pantoprazole sodium. Pantoprazole sodium was prepared by the reaction of 2-mercapto-5-difluoromethoxy-1H-benzimidazole with 2-chloromethyl-3,4-dimethoxypyridine hydrochloride in the presence of NaOH solution followed by the sodium hypochlorite oxidation of the intermediate compound obtained in MeOH solution

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 102625-64-9P 102625-70-7P, Pantoprazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of pantoprazole sodium and its sesquihydrate)

IT 79-21-0, Ethaneperoxoic acid 123-56-8D, Succinimide, N-nalo derivs. 280-33-1D, Bicyclo[2.2.2]octane, diazo derivs. 298-14-6 359-48-8 497-19-8, Sodium carbonate, reactions 507-40-4 536-80-1 584-08-7 937-14-4 1310-58-3, Potassium hydroxide, reactions 1310-73-2, Sodium hydroxide, reactions 1313-13-9, Manganese oxide (MnO2), reactions 1314-62-1, Vanadium oxide (V2O5), reactions 4565-24-6 7446-08-4, Selenium oxide (SeO2) 7486-26-2 7681-52-9 7697-37-2, Nitric acid, reactions 7722-84-1, Hydrogen peroxide (H2O2), reactions 7726-95-6, Bromine, reactions 7782-50-5, Chlorine, reactions 7790-28-5 7791-25-5, Sulfuryl chloride 10028-15-6, Ozone, reactions 10139-51-2 10544-72-6, Nitrogen oxide (N2O4) 13106-76-8 13530-68-2, Chromic acid (H2Cr2O7) 21050-95-3 78948-87-5 1104023-12-2
RL: RGT (Reagent); RACT (Reactant or reagent)
(process for preparation of pantoprazole sodium and its sesquihydrate)

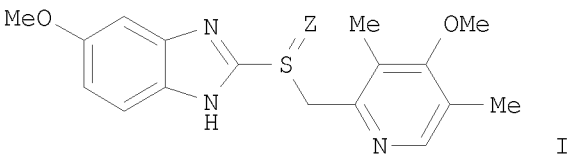
IT 138786-67-1P 164579-32-2P, Pantoprazole sodium

sesquihydrate 699002-47-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of pantoprazole sodium and its sesquihydrate)

L8 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1519585 CAPLUS
DOCUMENT NUMBER: 150:56154
TITLE: Process for preparation of optically active 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl]-1H-benzimidazole by enantioselective oxidation of the corresponding sulfide with peroxides in presence of chiral vanadium catalyst
INVENTOR(S): Klimova, E. A.; Khomenko, T. M.; Kurbakova, S. Yu.; Komarova, N. I.; Volcho, K. P.; Salakhutdinov, N. F.; Tolstikov, G. A.; Tolstikov, A. G.
PATENT ASSIGNEE(S): Novosibirskii Institut Organicheskoi Khimii Im. N. N. Vorozhtsova SO RAN, Russia
SOURCE: Russ., 8pp.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2341524	C1	20081220	RU 2007-116401	20070502

PRIORITY APPLN. INFO.: RU 2007-116401 20070502
OTHER SOURCE(S): CASREACT 150:56154; MARPAT 150:56154
GI



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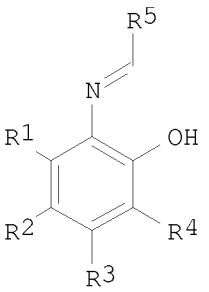
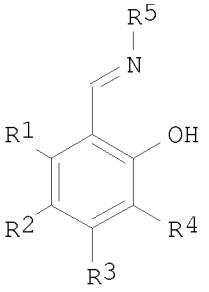


III



IV

AB Optically active 5-methoxy-2-((4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl)-1H-benzimidazole (I; Z = O), useful as an antiulcer treatment (no data), is prepared by enantioselective oxidation of 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylthio]-1H-benzimidazole I (Z = lone pair) (II) with organic peroxides, preferably

cumene hydroperoxide, in presence of catalyst in an organic solvent, preferably PhMe, where the catalyst consists of a catalytic amount, preferably 1% with respect to II, of a complex previously formed in situ from a vanadium salt, preferably vanadyl acetylacetonate, with a chiral Schiff base (III or IV; R1-R4 = H, alkyl or haloalkyl, alkoxy or haloalkoxy, NO2, dialkylamino, halo; R5 = optically active substituent) and the reaction is carried out at lower temps., preferably 0-10°, possibly in presence of an organic base, preferably iso-Pr2NEt, and subsequent product isolation by usual methods. E.g., (S)-I (Z = O, esomeprazole) is prepared in 81% yield with 31% optical purity by treating 4 + 10-6 mol vanadyl acetylacetonate with 6 + 10-6 mol chiral Schiff base IV [R1-R4 = H, R5H2 = [(1R,2R,3S,5R)-2-amino-2,2,6-trimethylbicyclo[3.3.1]heptan-3-yl]methanol] in 3 mL PhMe and stirring 10 min at 20° to form the catalytic complex, followed by treatment with 4 + 10-6 mol iso-Pr2NEt and stirring 10 min; to this mixture is added 4 + 10-4 mol II and 4 + 10-4 mol cumene hydroperoxide at 0-5° and then the mixture is stirred 6 h at 0-5° and worked up. Alternatively, use of a chiral Schiff base III (R1 = R3 = Me3C; R2 = R4 = H; R5CHO = chiral myrtenal epoxide) afforded esomeprazole in 100% yield with 6% optical purity.

TI Process for preparation of optically active 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl]-1H-benzimidazole by enantioselective oxidation of the corresponding sulfide with peroxides in presence of chiral vanadium catalyst

AB Optically active 5-methoxy-2-((4-methoxy-3,5-dimethyl-2-pyridyl)methylsulfinyl)-1H-benzimidazole (I; Z = O), useful as an antiulcer treatment (no data), is prepared by enantioselective oxidation of 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridyl)methylthio]-1H-benzimidazole I (Z = lone pair) (II) with organic peroxides, preferably cumene hydroperoxide, in presence of catalyst in an organic solvent, preferably PhMe, where the catalyst consists of a catalytic amount, preferably 1% with respect to II, of a complex previously formed in situ from a vanadium salt, preferably vanadyl acetylacetonate, with a chiral Schiff base (III or IV; R1-R4 = H, alkyl or haloalkyl, alkoxy or haloalkoxy, NO2, dialkylamino, halo; R5 = optically active substituent) and the reaction is carried out at lower temps., preferably 0-10°, possibly in presence of an organic base, preferably iso-Pr2NEt, and subsequent product isolation by usual methods. E.g., (S)-I (Z = O, esomeprazole) is prepared in 81% yield with 31% optical purity by treating 4 + 10-6 mol vanadyl acetylacetonate with 6 + 10-6 mol chiral Schiff base IV [R1-R4 = H, R5H2 = [(1R,2R,3S,5R)-2-amino-2,2,6-trimethylbicyclo[3.3.1]heptan-3-yl]methanol] in 3 mL PhMe and stirring 10 min at 20° to form the catalytic complex, followed by treatment with 4 + 10-6 mol iso-Pr2NEt and stirring 10 min; to this mixture is added 4 + 10-4 mol II and 4 + 10-4 mol cumene hydroperoxide at 0-5° and then the mixture is stirred 6 h at 0-5° and worked up. Alternatively, use of a chiral Schiff base III (R1 = R3 = Me3C; R2 = R4 = H; R5CHO = chiral myrtenal epoxide) afforded esomeprazole in 100% yield with 6% optical purity.

IT 73590-58-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(optically active; process for preparation of chiral (pyridylmethylsulfinyl)-1H-benzimidazole derivative by chiral vanadyl Schiff base complex-catalyzed stereoselective oxidation of corresponding sulfide with peroxides)

IT 7440-62-2D, Vanadium, chiral Schiff base derivs.
RL: CAT (Catalyst use); FMU (Formation, unclassified); FORM (Formation, nonpreparative); USES (Uses)

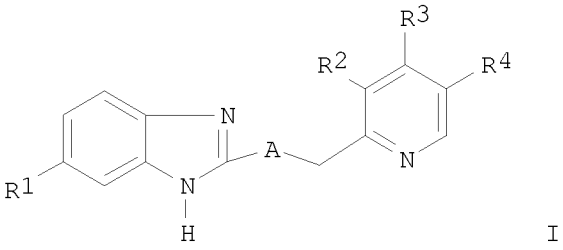
(process for preparation of chiral (pyridylmethylsulfinyl)-1H-benzimidazole derivative by chiral vanadyl Schiff base complex-catalyzed stereoselective oxidation of corresponding sulfide with peroxides)

IT 119141-88-7P, Esomeprazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of chiral (pyridylmethylsulfinyl)-1H-benzimidazole derivative by chiral vanadyl Schiff base complex-catalyzed stereoselective oxidation of corresponding sulfide with peroxides)

L8 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1391917 CAPLUS
DOCUMENT NUMBER: 148:33732
TITLE: Processes for the preparation of lansoprazole
INVENTOR(S): Siddiqui, Mohammed Jaweed Mukarram; Kulkarni, Dilip Ganesh; Supekar, Praveen Raosaheb; Shinde, Prakash Sakharam; Deshmukh, Vikas Vitthalrao
PATENT ASSIGNEE(S): Wockhardt Ltd, India
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138468	A2	20071206	WO 2007-IB1437	20070531
WO 2007138468	A3	20090423		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
IN 2006MU00854	A	20080704	IN 2006-MU854	20060601
IN 2006MU00835	A	20090612	IN 2006-MU835	20060601
IN 2006MU00837	A	20090612	IN 2006-MU837	20060601
IN 2006MU00838	A	20090612	IN 2006-MU838	20060601
PRIORITY APPLN. INFO.:			IN 2006-MU835 A	20060601
			IN 2006-MU837 A	20060601
			IN 2006-MU838 A	20060601
			IN 2006-MU846 A	20060601
			IN 2006-MU854 A	20060601

OTHER SOURCE(S): CASREACT 148:33732; MARPAT 148:33732
GI



I

AB This document discloses a process for preparing benzimidazole derivs. I [A = SO; R1 = H, OMe, OCHF2; R2 = Me, OMe; R3 = OMe, OCH2CF3, O(CH2)3OMe; R4 = H, Me] by (a) oxidizing I [A = S; R1 - R4 = as defined above] with an oxidizing agent in one or more organic solvents in the presence of an oxygen scavenger (e.g., dimethylsulfoxide, etc.); and (b) isolating the product from the reaction mass. Thus, oxidation of lansoprazole sulfide with peracetic acid in a mixture of dichloromethane and iso-Pr alc. containing dimethylsulfoxide and sodium acetate gave, after workup, lansoprazole.

IT 73590-58-6P, Omeprazole 102625-70-7P, Pantoprazole 117976-89-3P, Rabeprazole
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of)

IT 103577-45-3P, Lansoprazole
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lansoprazole by reaction of chloromethylpyridine derivative with mercaptobenzimidazole, followed by oxidation by oxidizing agent in presence of oxygen scavenger)

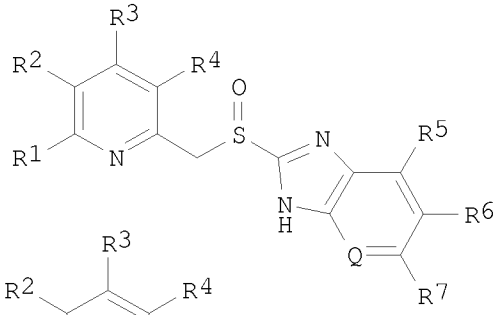
IT 937-14-4, m-Chloroperbenzoic acid 6996-92-5, Benzeneselenic acid 7440-62-2, Vanadium, reactions 7722-84-1, Hydrogen peroxide, reactions 78948-87-5, Magnesium monoperoxyphthalate
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of lansoprazole by reaction of chloromethylpyridine derivative with mercaptobenzimidazole, followed by oxidation by oxidizing agent in presence of oxygen scavenger)

L8 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1203188 CAPLUS
DOCUMENT NUMBER: 147:486439
TITLE: A process for the preparation of ((pyridin-2-ylmethyl)sulfinyl)-1H-benzimidazoles from ((1-oxopyridin-2-ylmethyl)sulfanyl)-1H-benzimidazoles in the presence of transition metal catalysts
INVENTOR(S): Allegrini, Pietro; Rasparini, Marcello; Razzetti, Gabriele; Rossi, Roberto; Ventimiglia, Gianpiero
PATENT ASSIGNEE(S): Dipharma Francis S.r.l., Italy
SOURCE: Eur. Pat. Appl., 12pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1847538	A1	20071024	EP 2007-7754	20070417
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IT 2006MI0787	A1	20060721	IT 2006-MI787	20060421
IT 2006MI1949	A1	20070111	IT 2006-MI1949	20061011
CA 2585602	A1	20071021	CA 2007-2585602	20070420
CN 101058571	A	20071024	CN 2007-10104432	20070420
US 20070249662	A1	20071025	US 2007-737852	20070420
IN 2007KO00622	A	20071102	IN 2007-KO622	20070420
JP 2007291101	A	20071108	JP 2007-111789	20070420
PRIORITY APPLN. INFO.:			IT 2006-MI787 A	20060421
			IT 2006-MI1949 A	20061011

OTHER SOURCE(S): CASREACT 147:486439; MARPAT 147:486439

GI



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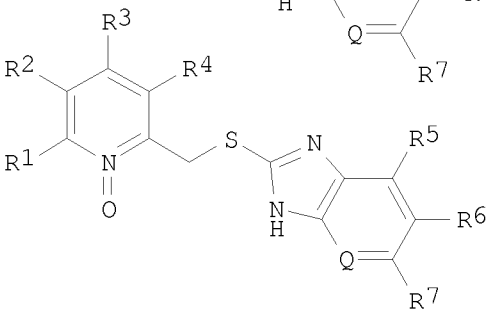


II

AB A process for the preparation of ((pyridin-2-ylmethyl)sulfinyl)-1H-benzimidazoles I [wherein Q = (un)substituted CH or N; R1 - R8 = H, halo, OH, nitro, etc.] or its salts were prepared from the corresponding ((1-oxopyridin-2-ylmethyl)sulfanyl)-1H-benzimidazoles II (Q, R1 - R8 = same as above) in the presence of transition metal catalysts.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 1057111-84-8
RL: PRPH (Prophetic)
(A process for the preparation of ((pyridin-2-ylmethyl)sulfinyl)-1H-benzimidazoles from ((1-oxopyridin-2-ylmethyl)sulfanyl)-1H-benzimidazoles in the presence of transition metal catalysts)

IT 7439-98-7D, Molybdenum, salts 7440-15-5D, Rhenium, salts 7440-18-8D, Ruthenium, salts 7440-33-7D, Tungsten, salts 7440-62-2D, Vanadium, salts 10049-08-8, Ruthenium chloride (RuCl3)

13718-26-8, NaVO3 65849-07-2 76451-49-5
RL: CAT (Catalyst use); USES (Uses)
(preparation of ((pyridinylmethyl)sulfinyl)benzimidazoles from ((oxopyridinylmethyl)sulfanyl)benzimidazoles in the presence of transition metal catalysts)

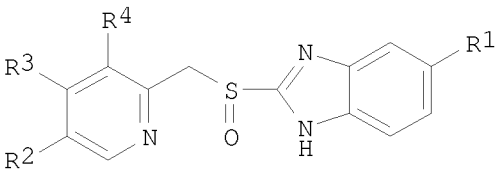
IT 73590-58-6P, 5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 92340-57-3P, 5-Methoxy-2-[[(4-methoxy-3-methyl-5-hydroxymethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 102625-70-7P, 2-[[(3,4-Dimethoxypyridin-2-yl)methyl]sulfinyl]-5-difluoromethoxy-1H-benzimidazole 103577-45-3P, 2-[[[4-(2,2,2-Trifluoroethoxy)-3-methylpyridin-2-yl]methyl]sulfinyl]-1H-benzimidazole 113712-98-4P, 5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine 117976-89-3P, 2-[[[3-Methyl-4-(3-methoxypropoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole 409098-86-8P, 2-[[(4-Chloro-3-methoxypyridin-2-yl)methyl]sulfinyl]-5-difluoromethoxy-1H-benzimidazole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of ((pyridinylmethyl)sulfinyl)benzimidazoles from ((oxopyridinylmethyl)sulfanyl)benzimidazoles in the presence of transition metal catalysts)

L8 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:874516 CAPLUS
DOCUMENT NUMBER: 147:257772
TITLE: Process for preparation of chiral benzimidazolyl pyridylmethyl sulfoxides from the corresponding sulfides using chiral transition metal complexes and oxidizing agents.
INVENTOR(S): Dubey, Sushil Kumar; Vig, Gaurav; Singh, Anand; Tripathi, Sushil; Paul, Soumendu
PATENT ASSIGNEE(S): Jubilant Organosys Limited, India
SOURCE: PCT Int. Appl., 21pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007088559	A1	20070809	WO 2007-IN35	20070131

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2006-DE271 A 20060201
OTHER SOURCE(S): CASREACT 147:257772; MARPAT 147:257772
GI



I

AB Title compds. (I; R1-R4 = H, alkyl, alkoxy, aryl, aryloxy), were prepared by treatment of the corresponding prochiral sulfides with chiral transition metal complexes and oxidizing agents optionally in presence of an organic solvent, wherein the chiral ligands comprise dicyclohexylidene, diacetonide, or benzylidene derivs. of sugars. Thus, vanadium oxytripropoxide and 1,2,4,5-Di-O-cyclohexylidene-D-fructofuranose were stirred together for 10-15 min in PhMe; 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole and H2O were added and the mixture was heated at 50-55° for 1 h; the mixture was cooled to 25-30° followed by addition of diisopropylethylamine and cumene hydroperoxide over 1 h followed by stirring for 45 min. and workup to give 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole, sodium salt in 75% enantiomeric excess.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Title compds. (I; R1-R4 = H, alkyl, alkoxy, aryl, aryloxy), were prepared by treatment of the corresponding prochiral sulfides with chiral transition metal complexes and oxidizing agents optionally in presence of an organic solvent, wherein the chiral ligands comprise dicyclohexylidene, diacetonide, or benzylidene derivs. of sugars. Thus, vanadium oxytripropoxide and 1,2,4,5-Di-O-cyclohexylidene-D-fructofuranose were stirred together for 10-15 min in PhMe; 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole and H2O were added and the mixture was heated at 50-55° for 1 h; the mixture was cooled to 25-30° followed by addition of diisopropylethylamine and cumene hydroperoxide over 1 h followed by stirring for 45 min. and workup to give 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole, sodium salt in 75% enantiomeric excess.

IT 582-52-5, 1,2:5,6-Di-O-isopropylidene-α-D-glucofuranose 1686-23-3 1707-77-3, 1,2:5,6-Di-O-isopropylidene-D-mannitol 3051-89-6 3150-15-0, Methyl 2,3-anhydro-4,6-O-benzylidene-α-D-allopyranoside 3162-96-7, Methyl 4,6-O-Benzylidene-α-D-glucopyranoside 5328-47-2, Methyl 4,6-O-benzylidene-α-D-altropyranoside 6884-01-1 7440-32-6, Titanium, uses 7440-58-6, Hafnium, uses 7440-62-2, Vanadium, uses 7440-67-7, Zirconium, uses 13322-88-8 13322-89-9 16832-21-6, 1,2-O-Cyclohexylidene-α-D-glucofuranose 22250-06-2, 1,2-O-Cyclohexylidene-α-D-xylofuranose 23397-76-4, 1,2:5,6-Di-O-cyclohexylidene-α-D-glucofuranose 29411-57-2, Methyl α-D-altropyranoside 945614-29-9
RL: CAT (Catalyst use); USES (Uses)
(preparation of chiral benzimidazolyl pyridylmethyl sulfoxides from the corresponding sulfides using chiral transition metal complexes and oxidizing agents)

IT 73590-58-6P, 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-

95510-70-6P, 5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]-1H-benzimidazole sodium salt
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of chiral benzimidazolyl pyridylmethyl sulfoxides from the corresponding sulfides using chiral transition metal complexes and oxidizing agents)

L8 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:764966 CAPLUS
DOCUMENT NUMBER: 147:235162
TITLE: Method for preparing chiral proton pump inhibitor
INVENTOR(S): Wang, Qinghe; Cheng, Maosheng
PATENT ASSIGNEE(S): Shenyang Pharmaceutical University, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 6pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1995037	A	20070711	CN 2006-10172184	20061231

PRIORITY APPLN. INFO.: CN 2006-10172184 20061231
OTHER SOURCE(S): CASREACT 147:235162
AB The title chiral sulfoxide proton pump inhibitor is prepared by catalytically oxidizing the prochiral sulfide compound in the presence of chiral tartrate derivative and vanadium alkoxide. The obtained single enantiomer (or enantiomer rich) chiral sulfoxide proton pump inhibitor includes: S-omeprazole, S-lansoprazole, S-pantoprazole, S-rabeprazole, S-tenatoprazole and their basic salts (pharmaceutically acceptable). This method has the advantages of high raw material utilization, and simple preparation process.
AB The title chiral sulfoxide proton pump inhibitor is prepared by catalytically oxidizing the prochiral sulfide compound in the presence of chiral tartrate derivative and vanadium alkoxide. The obtained single enantiomer (or enantiomer rich) chiral sulfoxide proton pump inhibitor includes: S-omeprazole, S-lansoprazole, S-pantoprazole, S-rabeprazole, S-tenatoprazole and their basic salts (pharmaceutically acceptable). This method has the advantages of high raw material utilization, and simple preparation process.
IT Sulfoxides
RL: SPN (Synthetic preparation); PREP (Preparation)
(chiral; preparation of chiral proton pump inhibitor by oxidation of sulfide in
prepsence of tartrate and vanadium alkoxide)
IT Asymmetric synthesis and induction
Oxidation
Oxidation catalysts
Stereochemistry
(preparation of chiral proton pump inhibitor by oxidation of sulfide in prepsence of tartrate and vanadium alkoxide)
IT Sulfides, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of chiral proton pump inhibitor by oxidation of sulfide in prepsence of tartrate and vanadium alkoxide)
IT 6167-45-9 7637-16-3, Vanadium tetraethoxide 10585-27-0, Vanadium tetra-tert-butoxide 13476-99-8 13811-71-7, Diethyl (-)-tartrate 21643-36-7 42355-65-7, Vanadium(IV)

tetraisopropoxide 62051-33-6, Vanadium tetrakis(isobutoxide) 62961-64-2, Diisopropyl (-)-tartrate 63126-52-3 117384-46-0
RL: CAT (Catalyst use); USES (Uses)
(preparation of chiral proton pump inhibitor by oxidation of sulfide in prepsence of tartrate and vanadium alkoxide)

IT 73590-85-9 102625-64-9 103577-40-8 113713-24-9 117977-21-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of chiral proton pump inhibitor by oxidation of sulfide in prepsence of tartrate and vanadium alkoxide)

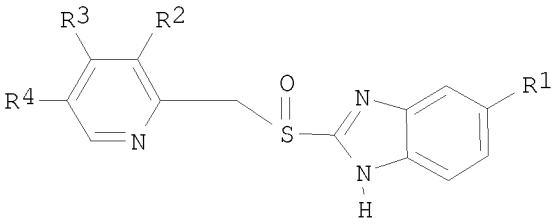
IT 75-91-2, tert-Butyl hydroperoxide 80-15-9
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of chiral proton pump inhibitor by oxidation of sulfide in prepsence of tartrate and vanadium alkoxide)

IT 119141-88-7P, S-Omeprazole 138530-95-7P, S-Lansoprazole 142678-35-1P, S-Pantoprazole 177795-59-4P, S-Rabeprazole 705968-86-1P, S-Tenatoprazole
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of chiral proton pump inhibitor by oxidation of sulfide in prepsence of tartrate and vanadium alkoxide)

L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:249669 CAPLUS
DOCUMENT NUMBER: 147:235177
TITLE: Process for preparation of alkali metal or alkaline earth metal salts of an optically active substituted pyridinylmethyl-sulfinyl-benzimidazole
INVENTOR(S): Muljibhai, Patel Vijay; Ravikant, Soni Rohit; Budhdev, Rehani Rajeev; Rajamannar, Thennati
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Ltd., India
SOURCE: Indian Pat. Appl., 16pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2003MU00503	A	20050211	IN 2003-MU503	20030519

PRIORITY APPLN. INFO.: IN 2003-MU503 20030519
OTHER SOURCE(S): CASREACT 147:235177; MARPAT 147:235177
GI



I

AB A process for the preparation of alkali metal or alkaline earth metal salts of an

optically active enantiomer or an enantiomerically enriched form of substituted pyridinylmethyl-sulfinyl-benzimidazole. The said process comprises enantioselective catalytic oxidation of a substituted pyridinylmethyl prochiral sulfide derivative of benzimidazole, with an oxidizing agent in an organic solvent in the presence of a base and a catalyst comprising titanium or vanadium complexed with a chiral monodentate ligand to obtain the compound I (R1-R4 = H, linear or branched C1-4 alkyl, alkoxy, aryl, aryloxy, etc.), thereafter treating the compound I with an alkali or alkaline earth metal source.

AB A process for the preparation of alkali metal or alkaline earth metal salts of an optically active enantiomer or an enantiomerically enriched form of substituted pyridinylmethyl-sulfinyl-benzimidazole. The said process comprises enantioselective catalytic oxidation of a substituted pyridinylmethyl prochiral sulfide derivative of benzimidazole, with an oxidizing agent in an organic solvent in the presence of a base and a catalyst comprising titanium or vanadium complexed with a chiral monodentate ligand to obtain the compound I (R1-R4 = H, linear or branched C1-4 alkyl, alkoxy, aryl, aryloxy, etc.), thereafter treating the compound I with an alkali or alkaline earth metal source.

IT 161796-78-7P, Esomeprazole sodium
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of alkali or alkaline earth metal salts of optically active substituted pyridinylmethyl-sulfinyl-benzimidazole)

L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:707886 CAPLUS
DOCUMENT NUMBER: 145:152725
TITLE: Process for preparing lansoprazole
INVENTOR(S): Kotar-Jordan, Berta; Vrecer, Franc; Segula Zakelj, Mojca; Ritlop, Gregor
PATENT ASSIGNEE(S): Krka, Tovarna Zdravil, D.D., Novo Mesto, Slovenia
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006074952	A1	20060720	WO 2006-EP285	20060113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1681056	A1	20060719	EP 2005-663	20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
US 20070259049	A1	20071108	US 2005-269211	20051108

AU 2006205818	A1	20060720	AU 2006-205818		20060113
CA 2594821	A1	20060720	CA 2006-2594821		20060113
EP 1838314	A1	20071003	EP 2006-706233		20060113
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
IN 2007DN05884	A	20070817	IN 2007-DN5884		20070727
NO 2007004086	A	20071010	NO 2007-4086		20070807
CN 101137371	A	20080305	CN 2006-80007798		20070910
PRIORITY APPLN. INFO.:			EP 2005-663	A	20050114
			US 2005-269211	A	20051108
			WO 2006-EP285	W	20060113

OTHER SOURCE(S): CASREACT 145:152725

AB The invention relates to a process for preparing lansoprazole. It is also directed to lansoprazole having a sp. surface area and a pharmaceutical composition comprising lansoprazole. For example, polyvinylpyrrolidone K-30 66.0 g were dissolved in of purified water 500.0 g. Disodium hydrogen phosphate dihydrate 57.8 g were dissolved in purified water 500.0 g and then added to the solution of polyvinylpyrrolidone. Then, lansoprazole 247.5 g, sucrose 279.7 g and maize starch 174.0 g were added to the resulting solution and this dispersion was homogenized with an appropriate mixer/homogenizer until a substantially homogeneous suspension was obtained. Finally, sodium dodecyl sulfate 25.0 g were dissolved in purified water 160.0 g and added into the suspension while gently stirring. The obtained suspension was then sprayed onto 1100.00 g of inert cores in a Wurster fluidized-bed equipment to form cores having a first layer. Such coated cores were addnl. coated with a dispersion containing 1500.0 g of Eudragit L-30D, 45.0 g of polyethylene glycol 6000, 144.0 g of talc, 43.5 g of titanium dioxide and 1500.0 g of water.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 1686-22-2, Vanadium oxytriethoxide 1686-23-3 5588-84-1
7440-62-2, Vanadium, uses 13709-31-4, Vanadium oxytrifluoride 22537-31-1D, Vanadium(V), triester, uses 78948-87-5
RL: CAT (Catalyst use); USES (Uses)
(process for preparing lansoprazole)

IT 103577-45-3P, Lansoprazole
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparing lansoprazole)

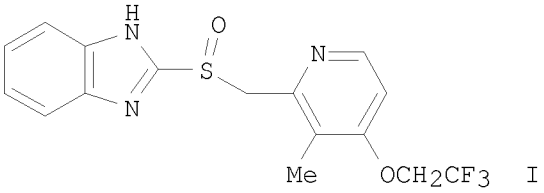
L8 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:697055 CAPLUS
DOCUMENT NUMBER: 145:130866
TITLE: Preparation and formulation of lansoprazole
INVENTOR(S): Kotar-Jordan, Berta; Vrecer, Franc; Segula Zakelj, Mojca; Ritlop, Gregor
PATENT ASSIGNEE(S): Krka Tovarna Zdravil, D.D., Novo Mesto, Slovenia
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 1681056	A1	20060719	EP 2005-663		20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU					
US 20070259049	A1	20071108	US 2005-269211		20051108
AU 2006205818	A1	20060720	AU 2006-205818		20060113
CA 2594821	A1	20060720	CA 2006-2594821		20060113
WO 2006074952	A1	20060720	WO 2006-EP285		20060113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
EP 1838314	A1	20071003	EP 2006-706233		20060113
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
IN 2007DN05884	A	20070817	IN 2007-DN5884		20070727
NO 2007004086	A	20071010	NO 2007-4086		20070807
ZA 2007006691	A	20080925	ZA 2007-6691		20070813
CN 101137371	A	20080305	CN 2006-80007798		20070910
PRIORITY APPLN. INFO.:			EP 2005-663	A	20050114
			US 2005-269211	A	20051108
			WO 2006-EP285	W	20060113

GI



I

AB The invention relates to a process for preparing lansoprazole (I). It is also directed to I having a sp. surface area and a pharmaceutical composition comprising lansoprazole. I was prepared by a series of reactions starting with 2,3-dimethylpyridine and ending with oxidation of the corresponding thio compound with vanadium (V) oxytriisopropoxide catalyst. Pellets containing I were also prepared

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The invention relates to a process for preparing lansoprazole (I). It is also directed to I having a sp. surface area and a pharmaceutical composition comprising lansoprazole. I was prepared by a series of reactions starting with 2,3-dimethylpyridine and ending with oxidation of the corresponding thio compound with vanadium (V) oxytriisopropoxide catalyst. Pellets containing I were also prepared

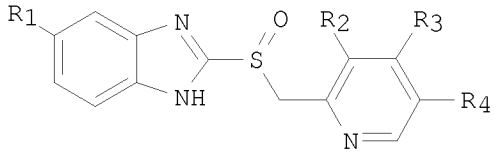
IT 1686-22-2 1686-23-3, Vanadium (V) oxytripropoxide 5588-84-1 78948-87-5, Magnesium monoperoxyphthalate

RL: CAT (Catalyst use); USES (Uses)
(preparation and formulation of lansoprazole)
IT 103577-45-3P, Lansoprazole
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of lansoprazole)

L8 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:365469 CAPLUS
DOCUMENT NUMBER: 144:390922
TITLE: Stereoselective oxidation processes for the preparation of chiral substituted sulfoxides from the racemic sulfides
INVENTOR(S): Kumar, Neela Praveen; Khanna, Mahavir Singh; Prasad, Mohan; Kumar, Yatendra
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040635	A1	20060420	WO 2005-IB2946	20051004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1802584	A1	20070704	EP 2005-790107	20051004
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN03340	A	20070831	IN 2007-DN3340	20070503
US 20080275245	A1	20081106	US 2008-576867	20080220
PRIORITY APPLN. INFO.:			IN 2004-DE1957 A	20041011
			WO 2005-IB2946 W	20051004

OTHER SOURCE(S): CASREACT 144:390922; MARPAT 144:390922
GI



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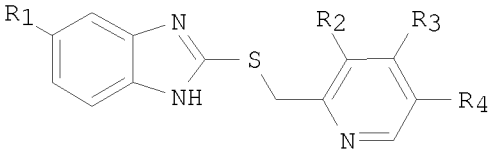

II

AB An enantioselective catalytic oxidation process for the preparation of an optically active enantiomer or an enantiomerically enriched form of a substituted pyridinylmethylsulfinylbenzimidazole [I; R1-R4 = H, C1-4 (un)branched alkyl, C1-4 (un)branched alkoxy, aryl, aryloxy], or its pharmaceutically acceptable salts (e.g., esomeprazole potassium), comprises oxidizing a prochiral sulfide (II; e.g., omeprazole sulfide) in the presence of a chiral transition metal complex [e.g., titanium isopropoxide and L-(+)-diethyl tartrate] and a base (e.g., diisopropylethylamine) in the absence of an organic solvent with an oxidant (e.g., cumene hydroperoxide) followed by an optional salification (e.g., potassium hydroxide).

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 87-91-2, Diethyl L-tartrate 546-68-9, Titanium isopropoxide 7440-32-6, Titanium, uses 7440-62-2, Vanadium, uses 7440-67-7, Zirconium, uses 13811-71-7, Diethyl D-tartrate
RL: CAT (Catalyst use); USES (Uses)
(stereoselective oxidation processes for the preparation of chiral substituted
sulfoxides)

IT 793668-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective oxidation processes for the preparation of chiral substituted
sulfoxides)

IT 161796-81-2P 161796-84-5P 161973-10-0P, Esomeprazole magnesium
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective oxidation processes for the preparation of chiral substituted
sulfoxides)

L8 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1228231 CAPLUS
DOCUMENT NUMBER: 144:144371
TITLE: Evaluation of the ability of a battery of three in vitro genotoxicity tests to discriminate rodent carcinogens and non-carcinogens. I. Sensitivity, specificity and relative predictivity. [Erratum to document cited in CA143:243161]

AUTHOR(S): Kirkland, David; Aardema, Marilyn; Henderson, Leigh; Mueller, Lutz
CORPORATE SOURCE: Covance Laboratories Limited, Harrogate, HG3 1PY, UK
SOURCE: Mutation Research, Genetic Toxicology and Environmental Mutagenesis (2005), 588(1), 70
CODEN: MRGMFI; ISSN: 1383-5718
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB On the title page, the URL of the website address in the open star footnote should read: www.lhasalimited.org/cgx. This is where the appendixes have been posted.
IT 636-21-5, o-Toluidine hydrochloride 636-23-7, 2,4-Diaminotoluene dihydrochloride 637-07-0, Clofibrate 638-03-9, m-Toluidine hydrochloride 643-22-1, Erythromycin stearate 645-05-6, Hexamethylmelamine 671-16-9, Procarbazine 683-50-1, 2-Chloropropanal 684-93-5, N-Nitroso-N-methylurea 685-91-6, Diethylacetamide 712-68-5, 2-Amino-5-(5-nitro-2-furyl-1,3,4-thiadiazole 720-69-4, 4,6-Diamino-2-(5-nitro-2-furyl)-s-triazine 723-46-6, Sulfamethoxazole 756-79-6, Dimethyl methylphosphonate 758-17-8, N-Methyl-N-formylhydrazine 759-73-9, 1-Ethyl-1-nitrosourea 760-56-5, 1-Allyl-1-nitrosourea 760-60-1 765-34-4, Glycidaldehyde 789-61-7, β-Thioguanine deoxyriboside 816-57-9, N-Propyl-N-nitrosourea 828-00-2, Dimethoxane 834-28-6, Phenformin hydrochloride 838-88-0, 4,4'-Methylenebis(2-methylaniline) 842-00-2, 4-Ethylsulfonylnaphthalene-1-sulfonamide 842-07-9, C.I. Solvent yellow 14 853-23-6, Dehydroepiandrosterone acetate 868-85-9, Dimethyl hydrogen phosphite 869-01-2, N-Butyl-N-nitrosourea 915-67-3, FD and C Red Number 2 924-16-3, Nitrosodibutylamine 924-42-5, N-Methylolacrylamide 930-55-2, N-Nitrosopyrrolidine 932-83-2 934-00-9, 3-Methoxycatechol 937-25-7, N-Nitroso-N-methyl-4-fluoroaniline 938-73-8, o-Ethoxybenzamide 950-37-8, Methidathion 959-24-0, Sotalol hydrochloride 968-81-0, Acetohexamide 989-38-8, Rhodamine 6G 999-81-5, (2-Chloroethyl)trimethyl ammonium chloride 1068-57-1, Monoacetyl hydrazine 1078-38-2, 1-Acetyl-2-isonicotinoylhydrazine 1083-57-4, 3-Hydroxy-p-butyrophenetidide 1095-90-5, 6-Dimethylamino-4,4-diphenyl-3-heptanone hydrochloride 1116-54-7, N-Nitrosodiethanolamine 1119-68-2, n-Pentylhydrazine hydrochloride 1120-71-4, Propane sultone 1133-64-8, N-Nitrosoanabasine 1156-19-0, Tolazamide 1162-65-8, Aflatoxin B1 1163-19-5, Decabromodiphenyl oxide 1212-29-9 1303-00-0, Gallium arsenide, biological studies 1313-27-5, Molybdenum trioxide, biological studies 1314-62-1, Vanadium pentoxide, biological studies 1330-78-5, Tricresyl phosphate 1335-32-6, Lead acetate, basic 1465-25-4, N-(1-Naphthyl)ethylenediamine dihydrochloride 1596-84-5, Daminozide 1634-04-4, Methyl tert-butyl ether 1634-78-2, Malaoxon 1694-09-3, FD and C Violet Number 1 1746-01-6, 2,3,7,8-Tetrachlorodibenzo-p-dioxin 1777-84-0, 3-Nitro-p-acetophenetide 1825-21-4, Pentachloroanisole 1836-75-5, Nitrofen 1897-45-6, Chlorothalonil 1912-24-9, Atrazine 1934-21-0, FD&C yellow number 5 1936-15-8, C.I. Acid orange 10 1937-37-7, C.I. Direct black 38 1955-45-9, Pivalolactone 1972-08-3, Δ9-Tetrahydrocannabinol 2058-46-0, Oxytetracycline hydrochloride 2104-09-8, 2-Amino-4-(p-nitrophenyl)thiazole 2113-61-3, 4-Aminobiphenyl hydrochloride 2122-86-3, 5-(5-Nitro-2-furyl)-1,3,4-oxadiazol-2-ol 2164-17-2, Fluometuron 2185-92-4, 2-Biphenylamine hydrochloride 2198-59-6 2243-62-1, 1,5-Naphthalenediamine 2303-16-4, Diallate 2318-18-5, Senkirkine 2353-45-9, FD&C green number 3 2385-85-5, Mirex 2425-06-1, Captafol 2425-85-6, C.I. Pigment red 3 2429-74-5, C.I. Direct blue 15 2432-99-7, 11-Aminoundecanoic acid 2438-88-2, 2,3,5,6-Tetrachloro-4-nitroanisole 2465-27-2, Auramine O 2475-45-8,

C.I. Disperse blue 1 2489-77-2, Trimethylthiourea 2519-30-4, Black PN 2578-75-8, N-[5-(5-Nitro-2-furyl)-1,3,4-thiadiazol-2-yl]acetamide 2602-46-2, C.I. Direct blue 6 2611-82-7, SX Purple 2698-41-1 2783-94-0, FD&C yellow number 6 2784-94-3, HC Blue 1 2832-40-8, C.I. Disperse yellow 3 2835-39-4, Allyl isovalerate 3031-51-4 3068-88-0, β-Butyrolactone 3096-50-2, N-(9-Oxo-2-fluorenyl)acetamide 3165-93-3, 4-Chloro-o-toluidine hydrochloride 3276-41-3, 3,6-Dihydro-2-nitroso-2H-1,2-oxazine 3544-23-8, 3-Methoxy-4-aminoazobenzene 3546-10-9, Phenesterin 3564-09-8, FD and C Red Number 1 3567-69-9, C.I. Food red 3 3570-75-0, Formic acid 2-[4-(5-nitro-2-furyl)-2-thiazolyl]hydrazide 3604-87-3, α-Ecdysone 3688-53-7, Furylfuramide 3693-22-9, 2-Aminodiphenylene oxide 3761-53-3, C.I. Acid red 26 3771-19-5, Nafenopin 3775-55-1, 2-Amino-5-(5-nitro-2-furyl)-1,3,4-oxadizaole 3778-73-2, Isophosphamide 3817-11-6, N-Butyl-N-(4-hydroxybutyl)nitrosamine 4075-79-0, 4-Acetylaminobiphenyl 4106-66-5, 3-Dibenzofuranamine 4164-28-7, Dimethylnitramine 4170-30-3, Crotonaldehyde 4245-77-6, N-Ethyl-N'-nitro-N-nitrosoguanidine 4342-03-4, Dacarbazine 4548-53-2, FD and C Red Number 4 4637-56-3, 4-Hydroxyaminoquinoline-N-oxide 4680-78-8, FD and C Green Number 1 4812-22-0, 3-Nitro-3-hexene 4998-76-9, Cyclohexylamine hydrochloride 5036-03-3, 1-(2-Hydroxyethyl)-3-[(5-nitrofurfurylidene)amino]-2-imidazolidinone 5064-31-3, Nitrilotriacetic acid trisodium salt 5131-60-2, 4-Chloro-m-phenylenediamine 5141-20-8, FD and C Green Number 2 5160-02-1, D&C Red Number 9 5164-11-4, 1,1-Diallylhydrazine 5208-87-7, 1'-Hydroxysafrole 5307-14-2, 2-Nitro-p-phenylenediamine 5456-28-0, Selenium tetrakis(diethyldithiocarbamate) 5522-43-0, 1-Nitropyrene 5632-47-3, N-Nitrosopiperazine 5834-17-3, 2-Methoxy-3-aminodibenzofuran 5989-27-5, D-Limonene 6055-19-2, Cyclophosphamide monohydrate 6098-44-8, N-Acetoxy-2-acetylaminofluorene 6109-97-3, 3-Amino-9-ethylcarbazole monohydrochloride 6138-79-0, Triprolidine hydrochloride monohydrate 6334-11-8, 2,4,,6-Trimethylaniline hydrochloride 6358-85-6, C.I. Pigment yellow 12 6369-59-1, 2,5-Diaminotoluene sulfate 6373-74-6, C.I. Acid orange 3 6381-77-7, Sodium erythorbate 6452-73-9, Oxprenolol hydrochloride 6459-94-5, C.I. Acid red 114 6471-49-4, C.I. Pigment red 23 6959-47-3, 2-(Chloromethyl)pyridine hydrochloride 6959-48-4, 3-(Chloromethyl)pyridine hydrochloride 7008-42-6, Acronycine 7177-48-2, Ampicillin trihydrate 7227-91-0, 1-Phenyl-3,3-dimethyltriazene 7336-20-1 7347-49-1 7411-49-6 7422-80-2 7446-34-6, Selenium sulfide 7487-94-7, Mercuric chloride, biological studies 7572-29-4, Dichloroacetylene 7632-00-0, Sodium nitrite 7681-49-4, Sodium fluoride, biological studies 7681-52-9, Sodium hypochlorite 7722-84-1, Hydrogen peroxide, biological studies 7758-01-2, Potassium bromate 7758-19-2, Sodium chlorite 7772-99-8, Tin(II) chloride, biological studies 8001-35-2, Toxaphene 8001-50-1, Strobane 8003-03-0, Aspirin-caffeine-phenacetin mixture 8003-22-3, D&C Yellow 11 8015-12-1, Norlestrin 8015-30-3, Enovid 9000-01-5, Gum arabic 9000-30-0, Guar gum 9000-40-2, Locust bean gum 9002-18-0, Agar 9005-65-6, Polysorbate 80 9011-18-1, Dextran sulfate sodium 10026-24-1 10028-15-6, Ozone, biological studies 10034-93-2, Hydrazine sulfate 10034-96-5, Manganese sulfate monohydrate 10043-67-1, Aluminum potassium sulfate 10048-13-2, Sterigmatocystin 10101-97-0, Nickel sulfate hexahydrate 10101-98-1, Nickel sulfate heptahydrate 10108-64-2, Cadmium chloride 10124-36-4, Cadmium sulfate 10318-26-0, Dibromodulcitol 10326-27-9, Barium chloride dihydrate 10473-70-8, 1-(4-Chlorophenyl)-1-phenyl-2-propynyl carbamate 10588-01-9, Sodium dichromate 10589-74-9, 1-Amyl-1-nitrosourea 10595-95-6 11042-64-1, γ-Oryzanol 11096-82-5, Aroclor 1260 11097-69-1, Aroclor 1254 12001-29-5, Chrysotile (Mg3H2(SiO4)2.H2O) 12122-67-7, Zineb

12427-38-2, Manganese ethylenebisthiocarbamate 12663-46-6, Cyclochlorotine 13010-07-6, N-Propyl-N'-nitro-N-nitrosoguanidine 13073-35-3, Ethionine 13256-06-9, Dipentylnitrosamine 13256-11-6 13292-46-1, Rifampicin 13366-73-9, Photodieldrin 13463-67-7, Titanium dioxide, biological studies 13483-18-6, Bis-1,2-(chloromethoxy)ethane 13510-49-1, Beryllium sulfate 13552-44-8, 4,4'-Methylenedianiline dihydrochloride 13743-07-2, 1-(2-Hydroxyethyl)-1-nitrosourea 13765-19-0, Calcium chromate 14026-03-0, R-(-)-2-Methyl-N-nitrosopiperidine 14698-29-4, Oxolinic acid 15481-70-6 15805-73-9, Vinyl carbamate 15973-99-6, Di-(N-nitroso)perhydropyrimidine 16071-86-6, C.I. Direct brown 95 16120-70-0, N-Butyl-N-formylhydrazine 16219-98-0 16238-56-5, 7-Bromomethyl-12-methylbenz[a]anthracene 16338-97-9, Diallylnitrosamine 16423-68-0, FD&C red number 3 16561-29-8, 12-O-Tetradecanoylphorbol 13-acetate 16568-02-8, Acetaldehyde methylformylhydrazone 16699-10-8
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (evaluation of sensitivity, specificity and relative predictivity of battery of three in vitro genotoxicity tests to discriminate rodent carcinogens and non-carcinogens (Erratum))

IT 16813-36-8, 1-Nitroso-5,6-dihydrouracil 17026-81-2, 3-Amino-4-ethoxyacetanilide 17608-59-2, N-Nitrosoephedrine 17673-25-5, Phorbol 17697-53-9 17697-55-1, 1,1'-Azoxypropane 17804-35-2, Benomyl 17924-92-4, Zearalenone 18413-14-4, Ethylhydrazine hydrochloride 18523-69-8, Acetone[4-(5-nitro-2-furyl)-2-thiazolyl]hydrazone 18559-94-9, Salbutamol 18662-53-8, Nitrilotriacetic acid trisodium salt monohydrate 18774-85-1 18883-66-4, Streptozotocin 19010-66-3, Lead dimethyldithiocarbamate 20265-96-7, p-Chloroaniline hydrochloride 20265-97-8, p-Anisidine hydrochloride 20325-40-0, 3,3'-Dimethoxybenzidine dihydrochloride 20570-96-1, Benzylhydrazine dihydrochloride 20917-49-1, N-Nitrosoheptamethyleneimine 20941-65-5, Ethyl tellurac 21340-68-1, Methyl clofenapate 21416-67-1, ICRF 159 21436-96-4, 2,4-Xylidine hydrochloride 21436-97-5, 2,4,5-Trimethylaniline hydrochloride 21626-89-1, Diftalone 21638-36-8, 4-Methyl-1-[(5-nitrofurfurylidene)amino]-2-imidazolidinone 21739-91-3, Cytembena 21884-44-6, Luteoskyrin 21928-82-5 22248-79-9, Tetrachlorvinphos 22260-51-1, Bromocriptine mesylate 22571-95-5, Symphytine 22966-79-6, Estradiol mustard 23031-25-6, Terbutaline 23135-22-0, Oxamyl 23746-34-1, Bis-2-hydroxyethyldithiocarbamic acid potassium salt 23950-58-5, 3,5-Dichloro-(N-1,1-dimethyl-2-propynyl)benzamide 24358-29-0, 2-Chloro-5-(3,5-dimethylpiperidinosulfonyl)benzoic acid 24382-04-5, Malonaldehyde sodium salt 24554-26-5, N-[4-(5-Nitro-2-furyl)-2-thiazolyl]formamide 24589-77-3, p-Hydrazinobenzoic acid hydrochloride 25013-15-4, Vinyl toluene 25013-16-5, Butylated hydroxyanisole 25812-30-0, Gem fibrozil 25843-45-2, Azoxymethane 26049-68-3, 2-Hydrazino-4-(5-nitro-2-furyl)thiazole 26049-69-4, 2-(2,2-Dimethylhydrazino)-4-(5-nitro-2-furyl)thiazole 26049-70-7, 2-Hydrazino-4-(p-nitrophenyl)thiazole 26049-71-8, 2-Hydrazino-4-(p-aminophenyl)thiazole 26072-78-6, 1,2-Diallylhydrazine dihydrochloride 26148-68-5, 2-Amino-9H-pyrido-(2,3-b)indole 26308-28-1, Ripazepam 26541-51-5, N-Nitrosothiomorpholine 26921-68-6, N-Nitrosomethyl(2-hydroxyethyl)amine 27208-37-3, Cyclopenta[c,d]pyrene 27912-14-7, Levobunolol hydrochloride 28407-37-6, C.I. Direct blue 218 28754-68-9, trans-5-Amino-3-[2-(5-nitro-2-furyl)vinyl]-1,2,4-oxadiazole 29069-24-7, Prednimustine 29611-03-8, Aflatoxicol 29676-95-7, 1-Methyl-1,4-dihydro-7-[2-(5-nitrofur-2-yl)vinyl]-4-oxo-1,8-naphthyridine-3-carboxylate potassium 29929-77-9, N-Nitroso-2,2,4-trimethyl-1,2-dihydroquinoline polymer 29975-16-4, Estazolam 32180-65-7, 2,5-Dimethoxy-4'-aminostilbene 32852-21-4, Formic acid 2-(4-methyl-2-thiazolyl)hydrazide 33229-34-4, HC blue number 2

33372-39-3, 4-Bis(2-hydroxyethyl)amino-2-(5-nitro-2-thienyl)quinazoline
33389-33-2, 1,2-Dihydro-2-(5-nitro-2-thienyl)quinazolin-4(3H)-one
33389-36-5, 4-(2-Hydroxyethylamino)-2-(5-nitro-2-thienyl)quinazoline
33433-82-8, Calcium valproate 33857-26-0, 2,7-Dichlorodibenzo-p-dioxin
33868-17-6, Methylnitrosocyanamide 33979-15-6, Clivorine 34627-78-6,
1'-Acetoxysafrole 36133-88-7, N-[[3-(5-Nitro-2-furyl)-1,2,4-oxadiazol-5-
yl]methyl]acetamide 36702-44-0, S-(+)-2-Methyl-N-nitrosopiperidine
36711-31-6 38347-74-9, 3-Nitroso-2-oxazolidinone 38434-77-4,
Ethylnitrosocyanamide 38514-71-5, 2-Amino-4-(5-nitro-2-furyl)thiazole
38571-73-2, Tris-1,2,3-(chloromethoxy)propane 38777-13-8, Nitrosobaygon
39148-24-8, Fosetyl Al 39156-41-7, 2,4-Diaminoanisole sulfate
39300-88-4, Tara gum 39801-14-4, Photomirex 40548-68-3,
Tetrahydro-2-nitroso-2H-1,2-oxazine 40580-89-0 41286-73-1
41340-25-4, Etodolac 42011-48-3,
2,2,2-Trifluoro-N-[4-(5-nitro-2-furyl)-2-thiazolylacetamide 42579-28-2,
1-Nitrosohydantoin 43033-72-3 50594-66-6, Acifluorfen 50892-23-4,
Wyeth 14643 51325-35-0, N,N'-[6-(5-Nitro-2-furyl)-s-triazine-2,4-
diyl]bisacetamide 51333-22-3, Budesonide 51410-44-7,
1'-Hydroxyestragole 51542-33-7, N-Nitrosobenzthiazuron 51630-58-1,
Fenvalerate 51786-53-9, 2,5-Xylidine hydrochloride 52207-83-7,
Allylhydrazine hydrochloride 52214-84-3, Ciprofibrate 52918-63-5,
Deltamethrin 53609-64-6, N-Nitrosobis(2-hydroxypropyl)amine
53757-28-1, 4-(5-Nitro-2-furyl)thiazole 54150-69-5, 2,4-Dimethoxyaniline
hydrochloride 54749-90-5, Chlorozotocin 54965-24-1, Tamoxifen citrate
55090-44-3, N-Nitroso-N-methyl-N-dodecylamine 55123-66-5, Leupeptin
55556-92-8, N-Nitroso-1,2,3,6-Tetrahydropyridine 55557-00-1,
Dinitrosohomopiperazine 55566-30-8, Tetrakis(hydroxymethyl)phosphonium
sulfate 55600-34-5, Clophen A 30 55738-54-0,
trans-2-[(Dimethylamino)methylimino]-5-[2-(5-nitro-2-furyl)vinyl]-1,3,4-
oxadiazole 55984-51-5 56222-35-6, N-Nitroso-3-hydroxypyrrolidine
56654-52-5, 1,3-Dibutyl-1-nitrosourea 56795-65-4, N-Butylhydrazine
hydrochloride 56795-66-5, Propylhydrazine hydrochloride 56894-91-8
57497-29-7 57497-34-4 57527-64-7 57590-20-2 57590-21-3
57590-22-4, Hexanal methylformylhydrazone 58139-48-3,
4-Morpholino-2-(5-nitro-2-thienyl)quinazoline 59820-43-8, HC yellow 4
59865-13-3, Cyclosporin A 60102-37-6, Petasitenine 60391-92-6,
Carboxymethylnitrosourea 60599-38-4, N-Nitrosobis(2-oxopropyl)amine
61034-40-0, 1-Nitroso-3,5-dimethyl-4-benzoylpiperazine 63019-65-8,
N-1-Diacetamidofluorene 63412-06-6, N-Methyl-N-nitrosobenzamide
63642-17-1 63886-77-1 64005-62-5, N-Nitroso-N-amylurethane
64091-91-4, 4-(Methylnitrosamino)-1-(3-pyridyl)-1-butanone 65089-17-0
65176-75-2, 5,6-Dimethoxysterigmatocystin 65734-38-5,
N'-Acetyl-4-(hydroxymethyl)-phenylhydrazine 67730-10-3,
2-Aminodipyrido[1.2-a:3',2'-d]imidazole 67730-11-4, Glu-P-1 68006-83-7
68107-26-6 69112-98-7 69644-85-5 70415-59-7 71752-70-0,
1-(3-Hydroxypropyl)-1-nitrosourea 72254-58-1 73590-58-6,
Omeprazole 74920-78-8, N-Ethyl-N-formylhydrazine 75104-43-7, Trp-P-1
acetate 75195-76-5, N'-Nitrosonornicotine-1-N-oxide 75198-31-1,
3-(5-Nitro-2-furyl)imidazo[1,2-a]pyridine 75411-83-5,
N-Nitrosomethyl-2-hydroxypropylamine 75881-18-4,
1-Nitroso-3,4,5-trimethylpiperazine 75881-20-8 75881-22-0,
N-Nitroso-N-methyldecylamine 75896-33-2 76014-81-8,
4-(Methylnitrosamino)-1-(3-pyridyl)-1-butanol 76180-96-6, IQ
77094-11-2, 2-Amino-3,4-dimethylimidazo[4,5-f]quinoline 77337-54-3
77500-04-0, 2-Amino-3,8-dimethylimidazo[4,5-f]quinoxaline 78134-95-9
81795-07-5 82018-90-4 83335-32-4 86315-52-8, Isomazole 86386-73-4,
Fluconazole 86451-37-8 88107-10-2, LY 171883 88133-11-3, Bemitradine
88208-16-6, N-Nitroso-N-allyl-2,3-dihydroxypropylamine 89911-78-4
89911-79-5 91308-69-9 91308-70-2,
N-Nitroso-N-allyl-2-hydroxypropylamine 91308-71-3 92177-49-6

92177-50-9 93957-54-1, Fluvastatin 96724-44-6 96724-45-7, 1-(2-Hydroxyethyl)nitroso-3-ethylurea 96806-34-7, 1-Nitroso-1-(2-hydroxyethyl)-3-(2-chloroethyl)urea 96806-35-8, 1-Nitroso-1-(2-hydroxypropyl)-3-(2-chloroethyl)urea 98319-26-7, Finasteride 100643-96-7, Indolidan 110559-84-7 116355-83-0, Fumonisin B1 120109-55-9 122784-89-8, SDZ 200-110 142713-77-7 148940-78-7, IQ monohydrochloride 271241-42-0, PhiP monohydrochloride 863378-86-3 863378-87-4, 3-Diazotyramine hydrochloride 863378-88-5
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (evaluation of sensitivity, specificity and relative predictivity of battery of three in vitro genotoxicity tests to discriminate rodent carcinogens and non-carcinogens (Erratum))

L8 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:699596 CAPLUS
DOCUMENT NUMBER: 144:344703
TITLE: Human toxicological effect and damage factors of carcinogenic and noncarcinogenic chemicals for life cycle impact assessment
AUTHOR(S): Huijbregts, Mark A. J.; Rombouts, Linda J. A.; Ragas, Ad M. J.; van de Meent, Dik
CORPORATE SOURCE: Department of Environmental Science, Institute for Wetland and Water Research, Faculty of Science, Radbound University Nijmegen, Nijmegen, 6500GL, Neth.
SOURCE: Integrated Environmental Assessment and Management (2005), 1(3), 181-244
CODEN: IEAMCK; ISSN: 1551-3777
PUBLISHER: Society of Environmental Toxicology and Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Chemical fate, effect, and damage should be accounted for in the anal. of human health impacts by toxic chems. in life cycle assessment (LCA). The goal of this article is to present a new method to derive human damage and effect factors of toxic pollutants, starting from a lognormal dose-response function. Human damage factors are expressed as disability-adjusted life-years (DALYs). Human effect factors contain a disease-specific and a substance-specific component. The disease-specific component depends on the probability of disease occurrence and the distribution of sensitivities in the human population. The substance-specific component, equal to the inverse of the ED50, represents the toxic potency of a substance. The new method has been applied to calculate combined human damage and effect factors for 1192 substances. The total range of 7-9 orders of magnitude between the substances is dominated by the range in toxic potencies. For the combined factors, the typical uncertainty, represented by the square root of the ratio of the 97.5th and 2.5th percentiles, is a factor of 25 for carcinogenic effects and a factor of 125 for noncarcinogenic effects. The interspecies conversion factor, the (non)cancer effect conversion factor, and the average noncancer damage factor dominate the overall uncertainty.
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 542-88-1, Bis-(chloromethyl)ether 544-92-3, Copper cyanide 548-62-9, Gentian violet 551-88-2, 3-Nitropentane 551-92-8, 1,2-Dimethyl-5-nitroimidazole 553-53-7, Nicotinic acid hydrazide 555-84-0, 1-[(5-Nitrofurfurylidene)amino]-2-imidazolidinone 556-52-5, Glycidol 556-88-7, Nitroguanidine 557-21-1, Zinc cyanide 562-10-7 563-12-2, Ethion 563-41-7 563-47-3, 3-Chloro-2-methylpropene 563-68-8, Thallium acetate 569-61-9, p-Rosaniline hydrochloride

576-26-1, 2,6-Dimethylphenol 590-21-6, 1-Chloropropene 592-01-8, Calcium cyanide 592-62-1D, Methylazoxymethanol acetate, mixture containing 593-60-2, Vinyl bromide 593-70-4, Chlorofluoromethane 597-25-1, Dimethyl morpholinophosphoramidate 598-55-0, Methyl carbamate 598-57-2, Methylnitramine 598-77-6, 1,1,2-Trichloropropane 599-79-1, Salicylazosulfapyridine 600-24-8, 2-Nitrobutane 602-87-9, 5-Nitroacenaphthene 604-75-1, Oxazepam 606-20-2, 2,6-Dinitrotoluene 607-35-2, 8-Nitroquinoline 607-57-8, 2-Nitrofluorene 608-73-1, Hexachlorocyclohexane 608-93-5, Pentachlorobenzene 609-20-1, 2,6-Dichloro-p-phenylenediamine 611-23-4, o-Nitrosotoluene 612-82-8, 3,3'-Dimethylbenzidine dihydrochloride 613-94-5, Benzoyl hydrazine 614-00-6 614-95-9, N-Nitroso-N-ethylurethane 615-28-1, o-Phenylenediamine.dihydrochloride 615-53-2 615-54-3, 1,2,4-Tribromobenzene 616-23-9 621-64-7, N-Nitrosodipropylamine 622-51-5, p-Tolylurea 624-84-0, Formylhydrazine 628-02-4, Hexanamide 628-36-4, 1,2-Diformylhydrazine 630-20-6, 1,1,1,2-Tetrachloroethane 634-93-5, 2,4,6-Trichloroaniline 636-21-5, o-Toluidine hydrochloride 636-23-7, 2,4-Diaminotoluene dihydrochloride 637-07-0, Clofibrate 638-03-9, m-Toluidine hydrochloride 639-58-7, Fentin chloride 640-15-3, Thiometon 645-05-6, Hexamethylmelamine 668-34-8, Fentin 671-16-9, Procarbazine 680-31-9, Hexamethylphosphoramide, biological studies 683-50-1, 2-Chloropropanal 684-93-5, N-Nitroso-N-methylurea 685-91-6 709-98-8, Propanil 712-68-5, 2-Amino-5-(5-nitro-2-furyl)-1,3,4-thiadiazole 720-69-4, 4,6-Diamino-2-(5-nitro-2-furyl)-S-Triazine 732-11-6, Phosmet 756-79-6, Dimethyl methylphosphonate 758-17-8, N-Methyl-N-formylhydrazine 759-73-9, 1-Ethyl-1-nitrosourea 759-94-4 760-56-5, 1-Allyl-1-nitrosourea 760-60-1 765-34-4, Glycidaldehyde 786-19-6, Carbophenothion 789-61-7, β-Thioguanine deoxyriboside 811-97-2, 1,1,1,2-Tetrafluoroethane 816-57-9, N-Propyl-N-nitrosourea 822-06-0, 1,6-Hexamethylene diisocyanate 828-00-2, Dimethoxane 838-88-0, 4,4'-Methylene-bis(2-methylaniline) 842-00-2, 4-Ethylsulfonylnaphthalene-1-sulfonamide 842-07-9, 1-Phenylazo-2-naphthol 853-23-6 868-85-9, Dimethyl hydrogen phosphite 869-01-2, N-n-Butyl-N-nitrosourea 886-50-0, Terbutryn 900-95-8, Fentin acetate 915-67-3 919-86-8, Demeton-s-methyl 924-16-3, Nitrosodibutylamine 924-42-5, N-Methylolacrylamide 930-55-2, N-Nitrosopyrrolidine 932-83-2 934-00-9, 3-Methoxycatechol 937-25-7, N-Nitroso-N-methyl-4-fluoroaniline 938-73-8, o-Ethoxybenzamide 944-22-9, Fonofos 950-37-8, Methidathion 957-51-7, Diphenamid 999-81-5 1024-57-3, Heptachlor epoxide 1068-57-1, Monoacetyl hydrazine 1071-83-6, Glyphosate 1078-38-2, 1-Acetyl-2-isonicotinoyl-hydrazine 1083-57-4, 3-Hydroxy-p-butyrophenetidide 1085-98-9, Dichlofluanid 1113-02-6, Omethoate 1116-54-7, N-Nitrosodiethanolamine 1119-68-2, n-Pentylhydrazine hydrochloride 1120-71-4, Propane sultone 1133-64-8 1162-65-8, Aflatoxin B1 1163-19-5, Decabromodiphenyl oxide 1192-28-5 1313-27-5, Molybdenum trioxide, biological studies 1314-62-1, Vanadium pentoxide, biological studies 1314-84-7, Zinc phosphide 1330-20-7, Xylene, biological studies 1335-32-6, Lead acetate, basic 1445-75-6, Diisopropyl methylphosphonate 1456-28-6 1563-66-2, Carbofuran 1582-09-8, Trifluralin 1596-84-5, Daminozide 1610-18-0, Prometon 1634-04-4, Methyl tert-butyl ether 1689-84-5, Bromoxynil 1689-99-2, Bromoxynil octanoate 1694-09-3, Benzyl violet 4b 1717-00-6, 1,1-Dichloro-1-fluoroethane 1746-01-6, 2,3,7,8-Tetrachlorodibenzo-p-dioxin 1777-84-0, 3-Nitro-p-acetophenetide 1825-21-4, Pentachloroanisole 1832-54-8, Isopropyl methyl phosphonic acid 1836-75-5, Nitrofen 1861-32-1, Dacthal 1861-40-1, Benefin 1897-45-6, Chlorothalonil 1910-42-5, Paraquat-dichloride 1912-24-9, Atrazine 1918-00-9, Dicamba 1918-02-1, Picloram 1918-16-7, Propachlor 1929-77-7, Vernam 1937-37-7, C.I. Direct black 38

1955-45-9, Pivalolactone 2008-41-5, Butylate 2032-65-7, Methiocarb
2055-46-1 2104-09-8, 2-Amino-4-(p-nitrophenyl)thiazole 2104-64-5
2104-96-3, Bromophos 2113-61-3, 4-Aminobiphenyl hydrochloride
2122-86-3, 5-(5-Nitro-2-furyl)-1,3,4-oxadiazol-2-ol 2164-17-2,
Fluometuron 2185-92-4, 2-Biphenylamine hydrochloride 2212-67-1,
Molinate 2243-62-1, 1,5-Naphthalenediamine 2275-23-2, Vamidothion
2303-16-4, Diallate 2303-17-5, Triallate 2310-17-0, Phosalone
2312-35-8, Propargite 2318-18-5, Senkirkine 2385-85-5, Mirex
2425-06-1, Captafol 2425-85-6, C.I. Pigment red 3 2429-74-5, C.I.
Direct blue 15 2432-99-7, 11-Aminoundecanoic acid 2439-01-2,
Chinomethionat 2439-10-3, Dodine 2465-27-2, Auramine-O 2475-45-8,
C.I. Disperse blue 1 2489-77-2, Trimethylthiourea 2540-82-1,
Formothion 2578-75-8, N-[5-(5-Nitro-2-furyl)-1,3,4-thiadiazol-2-yl]-
acetamide 2595-54-2, Mecarbam 2597-03-7, Phenthoate 2602-46-2, C.I.
Direct blue 6 2611-82-7 2691-41-0,
Octahydro-1,3,5,7-tetranitro-1,3,5,7-tetrazocine 2764-72-9, Diquat
2784-94-3, HC blue number 1 2832-40-8, C.I. Disperse yellow 3 2835-39-4,
Allyl isovalerate 2921-88-2, Chlorpyrifos 3031-51-4 3068-88-0,
β-Butyrolactone 3096-50-2, N-(9-Oxo-2-fluorenyl)-acetamide
3165-93-3, 4-Chloro-o-toluidine hydrochloride 3276-41-3,
3,6-Dihydro-2-nitroso-2H-1,2-oxazine 3296-90-0,
2,2-Bis(bromomethyl)-1,3-propanediol 3337-71-1, Asulam 3347-22-6,
Dithianon 3544-23-8, 3-Methoxy-4-aminoazobenzene 3546-10-9,
Phenesterin 3564-09-8, FD # C red number 1 3570-75-0, Formic acid
2-[4-(5-nitro-2-furyl)-2-thiazolyl]-hydrazide 3604-87-3,
α-Ecdysone 3688-53-7, Af-2 3689-24-5 3693-22-9,
2-Dibenzofuranamine 3761-53-3 3771-19-5, Nafenopin 3775-55-1
3778-73-2, Isophosphamide 3817-11-6,
N-Butyl-N-(4-hydroxybutyl)nitrosamine 4075-79-0, 4-Acetylaminobiphenyl
4106-66-5, 3-Dibenzofuranamine 4164-28-7, Dimethylnitramine 4245-77-6,
N-Ethyl-N'-nitro-N-nitrosoguanidine 4342-03-4, Dacarbazine 4548-53-2,
FD # C red number 4 4680-78-8, FD and C green number 1 4685-14-7, Paraquat
ion 4812-22-0, 3-Nitro-3-hexene 4824-78-6, Bromophos-ethyl
5036-03-3, 1-(2-Hydroxyethyl)-3-[(5-nitrofurfurylidene)amino]-2-
imidazolidinone 5064-31-3, Nitrilotriacetic acid, trisodium salt
5131-60-2, 4-Chloro-m-phenylenediamine 5141-20-8, FD and C green number 2
5160-02-1, D # C Red number 9 5164-11-4, 1,1-Diallylhydrazine 5208-87-7,
1'-Hydroxysafrole 5234-68-4, Carboxin 5307-14-2,
2-Nitro-p-phenylenediamine 5412-25-9, Bis(2,3-dibromopropyl)phosphate
5456-28-0 5522-43-0, 1-Nitropyrene 5598-13-0, Chlorpyrifos-methyl
5632-47-3, N-Nitrosopiperazine 5634-39-9 5834-17-3,
2-Methoxy-3-aminodibenzofuran 5836-10-2, Chloropropylate 5902-51-2,
Terbacil
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(human toxicol. effect and damage factors of carcinogenic and
noncarcinogenic chems. for life cycle impact assessment)

IT 34014-18-1, Tebuthiuron 34465-46-8, Hexachlorodibenzo-p-dioxin
34627-78-6, 1'-Acetoxysafrole 35367-38-5, Diflubenzuron 35554-44-0,
Imazalil 36133-88-7, N-[[3-(5-Nitro-2-furyl)-1,2,4-oxadiazol-5-
yl]methyl]acetamide 36702-44-0 36734-19-7, Iprodione 37871-00-4,
HCDD 38260-54-7, Etrimfos 38347-74-9, 3-Nitroso-2-oxazolidinone
38434-77-4, Ethylnitrosocyanamide 38514-71-5,
2-Amino-4-(5-nitro-2-furyl)thiazole 38571-73-2,
Tris-1,2,3-(chloromethoxy)propane 38777-13-8, Nitroso-Baygon
39148-24-8, Fosetyl Al 39156-41-7, 2,4-Diaminoanisole sulfate
39300-45-3, Dinocap 39515-41-8, Fenpropathrin 39801-14-4, Photomirex
39884-52-1, N-Nitroso-1,3-oxazolidine 40487-42-1, Pendimethalin
40548-68-3, Tetrahydro-2-nitroso-2H-1,2-oxazine 40580-89-0 40596-69-8,
Methoprene 41083-11-8, Azocyclotin 41198-08-7, Profenofos
42011-48-3, 2,2,2-Trifluoro-N-[4-(5-nitro-2-furyl)-2-thiazolyl]-acetamide

42579-28-2, 1-Nitrosohydantoin 42874-03-3, Oxyfluorfen 43033-72-3 43121-43-3, Triadimefon 49866-87-7, Difenzoquat 50471-44-8, Vinclozolin 50594-66-6, Acifluorfen 50892-23-4, [4-Chloro-6-(2,3-xylidino)-2-pyrimidinylthio]-acetic acid 51218-45-2, Metolachlor 51235-04-2, Hexazinone 51325-35-0, N,N'-[6-(5-Nitro-2-furyl)-S-triazine-2,4-diyl]-bisacetamide 51333-22-3, Budesonide 51410-44-7, 1'-Hydroxyestragole 51542-33-7, N-Nitrosobenzthiazuron 51630-58-1, Fenvalerate 51786-53-9, 2,5-Xylidine hydrochloride 52207-83-7, Allylhydrazine hydrochloride 52214-84-3, Ciprofibrate 52315-07-8, Cypermethrin 52645-53-1, Permethrin 52918-63-5, Deltamethrin 53609-64-6, N-Nitrosobis(2-hydroxypropyl)amine 53757-28-1, 4-(5-Nitro-2-furyl)thiazole 54749-90-5, Chlorozotocin 54965-24-1, Tamoxifen citrate 55090-44-3, N-Nitroso-N-methyl-N-dodecylamine 55179-31-2, Bitertanol 55219-65-3, Triadimenol 55285-14-8, Carbosulfan 55290-64-7, Dimethipin 55380-34-2 55556-92-8 55557-00-1, Dinitrosohomopiperazine 55600-34-5, Clophen A 30 55738-54-0, trans-2-[(Dimethylamino)methylimino]-5-[2-(5-nitro-2-furyl)vinyl]-1,3,4-oxadiazole 55984-51-5, N-Nitrosomethyl(2-oxopropyl)amine 56222-35-6, N-Nitroso-3-hydroxypyrrolidine 56425-91-3, Flurprimidol 56654-52-5, 1,3-Dibutyl-1-nitrosourea 56795-65-4 56795-66-5, Propylhydrazine hydrochloride 56894-91-8 57018-04-9, Tolclofos-methyl 57497-29-7 57497-34-4 57527-64-7 57590-20-2, Pentanal N-methyl N-formylhydrazone 57590-21-3 57590-22-4 57837-19-1, Metalaxyl 58138-08-2, Tridiphane 58139-48-3, 4-Morpholino-2-(5-nitro-2-thienyl)quinazoline 59669-26-0, Thiodicarb 59756-60-4, Fluridone 60102-37-6, Petasitenine 60142-96-3, 1-(Aminomethyl)cyclohexaneacetic acid 60168-88-9, Fenarimol 60207-90-1, Propiconazole 60391-92-6, Carboxymethylnitrosourea 60568-05-0, Furmecyclox 60599-38-4, N-Nitrosobis(2-oxopropyl)amine 61034-40-0, 1-Nitroso-3,5-dimethyl-4-benzoylpiperazine 63019-65-8 63412-06-6, N-Methyl-N-nitrosobenzamide 63642-17-1, Nδ-(N-Methyl-N-nitrosocarbamoyl)-L-ornithine 63886-77-1 64005-62-5, N-Nitroso-N-amylurethane 64091-91-4, 4-(Methylnitrosamino)-1-(3-pyridyl)-1-(butanone) 64902-72-3, Chlorsulfuron 65089-17-0 65176-75-2, 5,6-Dimethoxysterigmatocystin 65195-55-3, Avermectin b1a 65734-38-5, N'-Acetyl-4-(hydroxymethyl)-phenylhydrazine 66215-27-8, Cyromazine 66246-88-6, Penconazole 66332-96-5, Flutolanil 66398-63-8 66841-25-6, Tralomethrin 67375-30-8 67485-29-4, Hydramethylnon 67564-91-4 67730-10-3, Glu-P-2 67730-11-4, Glu-P-1 67747-09-5, Prochloraz 68085-85-8, Cyhalothrin 68107-26-6, Nitrosomethylundecylamine 68359-37-5, Cyfluthrin 69112-98-7 69327-76-0, Buprofezin 69409-94-5, Fluvalinate 69644-85-5 69770-45-2, Flumethrin 69806-34-4, Haloxyfop 69806-40-2, Haloxyfop-methyl 70124-77-5, Flucythrinate 70415-59-7 71626-11-4, Benalaxyl 71751-41-2, Abamectin 71752-70-0, 1-(3-Hydroxypropyl)-1-nitrosourea 72178-02-0, Fomesafen 72254-58-1 72716-75-7, Lupitidine hydrochloride 73590-58-6, Omeprazole 73634-73-8, N-Acetyl-glufosinate 74051-80-2, Sethoxydim 74115-24-5, Clofentezine 74223-64-6, Metsulfuron-methyl 74920-78-8, N-Ethyl-N-formylhydrazine 75104-43-7, Trp-P-1 acetate 75195-76-5, N'-Nitrosonornicotine-1-N-oxide 75198-31-1 75330-75-5, Lovastatin 75411-83-5, N-Nitrosomethyl-2-hydroxy-propylamine 75881-18-4, 1-Nitroso-3,4,5-trimethylpiperazine 75881-20-8 75881-22-0, N-Nitroso-N-methyldecylamine 75896-33-2 76014-81-8, 4-(Methylnitrosamino)-1-(3-pyridyl)-1-butanol 76180-96-6, IQ 76578-14-8, Quizalofop-ethyl 76738-62-0, Paclobutrazol 76956-02-0, Loxtidine 77094-11-2, MeIQ 77182-82-2, Glufosinate-ammonium 77337-54-3, N-Propyl-N-formylhydrazine 77500-04-0, MeIQx 77501-63-4, Lactofen 78587-05-0, Hexythiazox 78776-28-0 79277-27-3, Harmony

79520-77-7 79624-33-2 79983-71-4, Hexaconazole 80844-07-1, Etofenprox 81335-37-7, Imazaquin 81335-77-5, Pursuit 81795-07-5 82018-90-4 82097-50-5, Triasulfuron 82558-50-7, Isoxaben 82657-04-3, Bifenthrin 83055-99-6, Londax 83121-18-0, Teflubenzuron 83335-32-4 84545-30-2 85509-19-9, Flusilazole 86315-52-8, Isomazole 86386-73-4, Fluconazole 86451-37-8 86811-58-7, Fluazuron 87260-82-0 88107-10-2, LY171883 88133-11-3, Bemitradine 88208-16-6 88671-89-0, Myclobutanil 89837-93-4 89911-78-4 89911-79-5 90982-32-4, Chlorimuron-ethyl 91308-69-9 91308-70-2, N-Nitroso-N-allyl-2-hydroxypropylamine 91308-71-3 92177-49-6 92177-50-9 93957-54-1, Fluvastatin 95465-99-9, Cadusafos 95737-68-1, Pyriproxyfen 96724-44-6 96724-45-7, 1-(2-Hydroxyethyl)nitroso-3-ethylurea 96806-34-7 96806-35-8 98319-26-7, Finasteride 99129-21-2, Clethodim 100643-96-7, Indolidan 101200-48-0, Express 101205-02-1, Cycloxydim 102769-91-5 107534-96-3, Tebuconazole 110559-84-7 110559-85-8 112410-23-8, Tebufenozide 112636-83-6, Dicyclanil 114369-43-6, Fenbuconazole 116355-83-0, Fumonisin B1 120068-37-3, Fipronil 120109-55-9 122001-31-4 122784-89-8, SDZ 200-110 134098-61-6, Fenpyroximate 138261-41-3, Imidacloprid 142713-78-8 143390-89-0, Kresoxim-methyl 148940-78-7 168316-95-8, Spinosad 271241-42-0 863378-87-4, 3-Diazotyramine hydrochloride 881181-37-9
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (human toxicol. effect and damage factors of carcinogenic and noncarcinogenic chems. for life cycle impact assessment)

L8 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:579881 CAPLUS
DOCUMENT NUMBER: 143:243161
TITLE: Evaluation of the ability of a battery of three in vitro genotoxicity tests to discriminate rodent carcinogens and non-carcinogens. I. Sensitivity, specificity and relative predictivity
AUTHOR(S): Kirkland, David; Aardema, Marilyn; Henderson, Leigh; Mueller, Lutz
CORPORATE SOURCE: Covance Laboratories Limited, Harrogate, HG3 1PY, UK
SOURCE: Mutation Research, Genetic Toxicology and Environmental Mutagenesis (2005), 584(1-2), 1-256
CODEN: MRGMFI; ISSN: 1383-5718
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The performance of a battery of three of the most commonly used in vitro genotoxicity tests, i.e., Ames + mouse lymphoma assay (MLA) + in vitro micronucleus (MN) or chromosomal aberrations (CA) test, was evaluated for its ability to discriminate rodent carcinogens and non-carcinogens, from a large database of over 700 chems. compiled from the CPDB ("Gold"), NTP, IARC and other publications. We re-evaluated many (113 MLA and 30 CA) previously published genotoxicity results in order to categories the performance of these assays using the response categories we established. The sensitivity of the three-test battery was high. Of the 553 carcinogens for which there were valid genotoxicity data, 93% of the rodent carcinogens evaluated in at least one assay gave pos. results in at least one of the three tests. Combinations of two and three test systems had greater sensitivity than individual tests resulting in sensitivities of around 90% or more, depending on test combination. Only 19 carcinogens (out of 206 tested in all three tests, considering CA and MN as alternatives) gave consistently neg. results in a full three-test battery. Most were either carcinogenic via a non-genotoxic mechanism (liver enzyme inducers, peroxisome proliferators, hormonal carcinogens) considered not

necessarily relevant for humans, or were extremely weak (presumed) genotoxic carcinogens (e.g. N-nitrosodiphenylamine). Two carcinogens (5-chloro-o-toluidine, 1,1,2,2-tetrachloroethane) may have a genotoxic element to their carcinogenicity and may have been expected to produce pos. results somewhere in the battery. We identified 183 chems. that were non-carcinogenic after testing in both male and female rats and mice. There were genotoxicity data on 177 of these. The specificity of the Ames test was reasonable (73.9%), but all mammalian cell tests had very low specificity (i.e. below 45%), and this declined to extremely low levels in combinations of two and three test systems. When all three tests were performed, 75-95% of non-carcinogens gave pos. (i.e. false pos.) results in at least one test in the battery. The extremely low specificity highlights the importance of understanding the mechanism by which genotoxicity may be induced (whether it is relevant for the whole animal or human) and using weight of evidence approaches to assess the carcinogenic risk from a pos. genotoxicity signal. It also highlights deficiencies in the current prediction from and understanding of such in vitro results for the in vivo situation. It may even signal the need for either a reassessment of the conditions and criteria for pos. results (cytotoxicity, solubility, etc.) or the development and use of a completely new set of in vitro tests (e.g. mutation in transgenic cell lines, systems with inherent metabolic activity avoiding the use of S9, measurement of genetic changes in more cancer-relevant genes or hotspots of genes, etc.). It was very difficult to assess the performance of the in vitro MN test, particularly in combination with other assays, because the published database for this assay is relatively small at this time. The specificity values for the in vitro MN assay may improve if data from a larger proportion of the known non-carcinogens becomes available, and a larger published database of results with the MN assay is urgently needed if this test is to be appreciated for regulatory use. However, specificity levels of <50% will still be unacceptable. Despite these issues, by adopting a relative predictivity (RP) measure (ratio of real:false results), it was possible to establish that pos. results in all three tests indicate the chemical is greater than three times more likely to be a rodent carcinogen than a non-carcinogen. Likewise, neg. results in all three tests indicate the chemical is greater than two times more likely to be a rodent non-carcinogen than a carcinogen. This RP measure is considered a useful tool for industry to assess the likelihood of a chemical possessing carcinogenic potential from batteries of pos. or neg. results.

OS.CITING REF COUNT: 114 THERE ARE 114 CAPLUS RECORDS THAT CITE THIS RECORD (115 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 636-21-5, o-Toluidine hydrochloride 636-23-7, 2,4-Diaminotoluene dihydrochloride 637-07-0, Clofibrate 638-03-9, m-Toluidine hydrochloride 643-22-1, Erythromycin stearate 645-05-6, Hexamethylmelamine 671-16-9, Procarbazine 683-50-1, 2-Chloropropanal 684-93-5, N-Nitroso-N-methylurea 685-91-6 712-68-5, 2-Amino-5-(5-nitro-2-furyl-1,3,4-thiadiazole 720-69-4, 4,6-Diamino-2-(5-nitro-2-furyl)-s-triazine 723-46-6, Sulfamethoxazole 756-79-6, Dimethyl methylphosphonate 758-17-8, N-Methyl-N-formylhydrazine 759-73-9, 1-Ethyl-1-nitrosourea 760-56-5, 1-Allyl-1-nitrosourea 760-60-1 765-34-4, Glycidaldehyde 789-61-7, β-Thioguanine deoxyriboside 816-57-9, N-Propyl-N-nitrosourea 828-00-2, Dimethoxane 834-28-6, Phenformin hydrochloride 838-88-0, 4,4'-Methylenebis(2-methylaniline) 842-00-2, 4-Ethylsulfonylnaphthalene-1-sulfonamide 842-07-9, C.I. Solvent yellow 14 853-23-6 868-85-9, Dimethyl hydrogen phosphite 869-01-2, N-Butyl-N-nitrosourea 915-67-3, FD&C red 2 924-16-3, Nitrosodibutylamine 924-42-5, N-Methylolacrylamide 930-55-2,

N-Nitrosopyrrolidine 932-83-2 934-00-9, 3-Methoxycatechol 937-25-7, N-Nitroso-N-methyl-4-fluoroaniline 938-73-8, o-Ethoxybenzamide 950-37-8, Methidathion 959-24-0, Sotalol hydrochloride 968-81-0, Acetohexamide 989-38-8, Rhodamine 6G 999-81-5, (2-Chloroethyl)trimethyl ammonium chloride 1068-57-1, Monoacetyl hydrazine 1078-38-2, 1-Acetyl-2-isonicotinoylhydrazine 1083-57-4, 3-Hydroxy-p-butyrophenetidide 1095-90-5, 6-Dimethylamino-4,4-diphenyl-3-heptanone hydrochloride 1116-54-7, N-Nitrosodiethanolamine 1119-68-2, n-Pentylhydrazine hydrochloride 1120-71-4, Propane sultone 1133-64-8, N-Nitrosoanabasine 1156-19-0, Tolazamide 1162-65-8, Aflatoxin B1 1163-19-5, Decabromodiphenyl oxide 1212-29-9 1303-00-0, Gallium arsenide, biological studies 1313-27-5, Molybdenum trioxide, biological studies 1314-62-1, Vanadium pentoxide, biological studies 1330-78-5, Tricresyl phosphate 1335-32-6, Lead acetate, basic 1465-25-4, N-(1-Naphthyl)ethylenediamine dihydrochloride 1596-84-5, Daminozide 1634-04-4, Methyl tert-butyl ether 1634-78-2, Malaoxon 1694-09-3, FD and C violet number 1 1746-01-6, 2,3,7,8-Tetrachlorodibenzo-p-dioxin 1777-84-0, 3-Nitro-p-acetophenetide 1825-21-4, Pentachloroanisole 1836-75-5, Nitrofen 1897-45-6, Chlorothalonil 1912-24-9, Atrazine 1934-21-0, FD&C yellow number 5 1936-15-8, C.I. Acid orange 10 1937-37-7, C.I. Direct black 38 1955-45-9, Pivalolactone 1972-08-3, Δ9-Tetrahydrocannabinol 2058-46-0, Oxytetracycline hydrochloride 2104-09-8, 2-Amino-4-(p-nitrophenyl)thiazole 2113-61-3, 4-Aminobiphenyl hydrochloride 2122-86-3, 5-(5-Nitro-2-furyl)-1,3,4-oxadiazol-2-ol 2164-17-2, Fluometuron 2185-92-4, 2-Biphenylamine hydrochloride 2198-59-6 2243-62-1, 1,5-Naphthalenediamine 2303-16-4, Diallate 2318-18-5, Senkirkine 2353-45-9, FD&C green number 3 2385-85-5, Mirex 2425-06-1, Captafol 2425-85-6, C.I. Pigment red 3 2429-74-5, C.I. Direct blue 15 2432-99-7, 11-Aminoundecanoic acid 2438-88-2, 2,3,5,6-Tetrachloro-4-nitroanisole 2465-27-2, Auramine O 2475-45-8, C.I. Disperse blue 1 2489-77-2, Trimethylthiourea 2519-30-4, Black PN 2578-75-8, N-[5-(5-Nitro-2-furyl)-1,3,4-thiadiazol-2-yl]acetamide 2602-46-2, C.I. Direct blue 6 2611-82-7 2698-41-1 2783-94-0, FD&C yellow number 6 2784-94-3, HC Blue 1 2832-40-8, C.I. Disperse yellow 3 2835-39-4, Allyl isovalerate 3031-51-4 3068-88-0, β-Butyrolactone 3096-50-2, N-(9-Oxo-2-fluorenyl)acetamide 3165-93-3, 4-Chloro-o-toluidine hydrochloride 3276-41-3, 3,6-Dihydro-2-nitroso-2H-1,2-oxazine 3544-23-8, 3-Methoxy-4-aminoazobenzene 3546-10-9, Phenesterin 3564-09-8, FD&C red 1 3567-69-9, C.I. Food red 3 3570-75-0, Formic acid 2-[4-(5-nitro-2-furyl)-2-thiazolyl]hydrazide 3604-87-3, α-Ecdysone 3688-53-7, Furylfuramide 3693-22-9, 2-Dibenzofuranamine 3761-53-3, C.I. Acid red 26 3771-19-5, Nafenopin 3775-55-1, 2-Amino-5-(5-nitro-2-furyl)-1,3,4-oxadiazole 3778-73-2, Isophosphamide 3817-11-6, N-Butyl-N-(4-hydroxybutyl)nitrosamine 4075-79-0, 4-Acetylaminobiphenyl 4106-66-5, 3-Dibenzofuranamine 4164-28-7, Dimethylnitramine 4170-30-3, Crotonaldehyde 4245-77-6, N-Ethyl-N'-nitro-N-nitrosoguanidine 4342-03-4, Dacarbazine 4548-53-2, FD&C red 4 4637-56-3, 4-Hydroxyaminoquinoline-N-oxide 4680-78-8, FD and C green number 1 4812-22-0, 3-Nitro-3-hexene 4998-76-9, Cyclohexylamine hydrochloride 5036-03-3, 1-(2-Hydroxyethyl)-3-[(5-nitrofurfurylidene)amino]-2-imidazolidinone 5064-31-3, Nitrilotriacetic acid trisodium salt 5131-60-2, 4-Chloro-m-phenylenediamine 5141-20-8, FD and C green number 2 5160-02-1, D&C Red Number 9 5164-11-4, 1,1-Diallylhydrazine 5208-87-7, 1'-Hydroxysafrole 5307-14-2, 2-Nitro-p-phenylenediamine 5456-28-0, Selenium tetrakis(diethyldithiocarbamate) 5522-43-0, 1-Nitropyrene 5632-47-3, N-Nitrosopiperazine 5834-17-3, 2-Methoxy-3-aminodibenzofuran 5989-27-5, D-Limonene 6055-19-2, Cyclophosphamide monohydrate

6098-44-8, N-Acetoxy-2-acetylaminofluorene 6109-97-3, 3-Amino-9-ethylcarbazole monohydrochloride 6138-79-0, Triprolidine hydrochloride monohydrate 6334-11-8, 2,4,,6-Trimethylaniline hydrochloride 6358-85-6, C.I. Pigment yellow 12 6369-59-1, 2,5-Diaminotoluene sulfate 6373-74-6, C.I. Acid orange 3 6381-77-7, Sodium erythorbate 6452-73-9, Oxprenolol hydrochloride 6459-94-5, C.I. Acid red 114 6471-49-4, C.I. Pigment red 23 6959-47-3, 2-(Chloromethyl)pyridine hydrochloride 6959-48-4, 3-(Chloromethyl)pyridine hydrochloride 7008-42-6, Acronycine 7177-48-2, Ampicillin trihydrate 7227-91-0, 1-Phenyl-3,3-dimethyltriazene 7336-20-1 7347-49-1 7411-49-6 7422-80-2 7446-34-6, Selenium sulfide 7487-94-7, Mercuric chloride, biological studies 7572-29-4, Dichloroacetylene 7632-00-0, Sodium nitrite 7681-49-4, Sodium fluoride, biological studies 7681-52-9, Sodium hypochlorite 7722-84-1, Hydrogen peroxide, biological studies 7758-01-2, Potassium bromate 7758-19-2, Sodium chlorite 7772-99-8, Tin(II) chloride, biological studies 8001-35-2, Toxaphene 8001-50-1, Strobane 8003-03-0 8003-22-3, D&C Yellow 11 8015-12-1, Norlestrin 8015-30-3, Enovid 9000-01-5, Gum arabic 9000-30-0, Guar gum 9000-40-2, Locust bean gum 9002-18-0, Agar 9005-65-6, Polysorbate 80 9011-18-1, Dextran sulfate sodium 10026-24-1 10028-15-6, Ozone, biological studies 10034-93-2, Hydrazine sulfate 10034-96-5, Manganese sulfate monohydrate 10043-67-1, Aluminum potassium sulfate 10048-13-2, Sterigmatocystin 10101-97-0, Nickel sulfate hexahydrate 10101-98-1, Nickel sulfate heptahydrate 10108-64-2, Cadmium chloride 10124-36-4, Cadmium sulfate 10318-26-0, Dibromodulcitol 10326-27-9, Barium chloride dihydrate 10473-70-8, 1-(4-Chlorophenyl)-1-phenyl-2-propynyl carbamate 10588-01-9, Sodium dichromate 10589-74-9, 1-Amyl-1-nitrosourea 10595-95-6 11042-64-1, γ-Oryzanol 11096-82-5, Aroclor 1260 11097-69-1, Aroclor 1254 12001-29-5, Chrysotile ($Mg_3H_2(SiO_4)_2.H_2O$) 12122-67-7, Zineb 12427-38-2 12663-46-6, Cyclochlorotine 13010-07-6, N-Propyl-N'-nitro-N-nitrosoguanidine 13073-35-3, Ethionine 13256-06-9, Dipentylnitrosamine 13256-11-6 13292-46-1, Rifampicin 13366-73-9, Photodieldrin 13463-67-7, Titanium dioxide, biological studies 13483-18-6, Bis-1,2-(chloromethoxy)ethane 13510-49-1, Beryllium sulfate 13552-44-8, 4,4'-Methylenedianiline dihydrochloride 13743-07-2, 1-(2-Hydroxyethyl)-1-nitrosourea 13765-19-0, Calcium chromate 14026-03-0, R-(-)-2-Methyl-N-nitrosopiperidine 14698-29-4, Oxolinic acid 15481-70-6 15805-73-9, Vinyl carbamate 15973-99-6, Di-(N-nitroso)perhydropyrimidine 16071-86-6, C.I. Direct brown 95 16120-70-0, N-Butyl-N-formylhydrazine 16219-98-0 16238-56-5, 7-Bromomethyl-12-methylbenz[a]anthracene 16338-97-9, Diallylnitrosamine 16423-68-0, FD&C red number 3 16561-29-8, 12-O-Tetradecanoylphorbol 13-acetate 16568-02-8, Acetaldehyde methylformylhydrazone 16699-10-8
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (evaluation of sensitivity, specificity and relative predictivity of battery of three in vitro genotoxicity tests to discriminate rodent carcinogens and non-carcinogens)

IT 16813-36-8, 1-Nitroso-5,6-dihydrouracil 17026-81-2, 3-Amino-4-ethoxyacetanilide 17608-59-2, N-Nitrosoephedrine 17673-25-5, Phorbol 17697-53-9 17697-55-1, 1,1'-Azoxypropane 17804-35-2, Benomyl 17924-92-4, Zearalenone 18413-14-4, Ethylhydrazine hydrochloride 18523-69-8, Acetone[4-(5-nitro-2-furyl)-2-thiazolyl]hydrazone 18559-94-9, Salbutamol 18662-53-8, Nitrilotriacetic acid trisodium salt monohydrate 18774-85-1 18883-66-4, Streptozotocin 19010-66-3, Lead dimethyldithiocarbamate 20265-96-7, p-Chloroaniline hydrochloride 20265-97-8, p-Anisidine hydrochloride 20325-40-0, 3,3'-Dimethoxybenzidine dihydrochloride 20570-96-1, Benzylhydrazine dihydrochloride 20917-49-1, N-Nitrosoheptamethyleneimine 20941-65-5,

Ethyl tellurac 21340-68-1, Methyl clofenapate 21416-67-1, ICRF 159 21436-96-4, 2,4-Xylidine hydrochloride 21436-97-5, 2,4,5-Trimethylaniline hydrochloride 21626-89-1, Diftalone 21638-36-8, 4-Methyl-1-[(5-nitrofurfurylidene)amino]-2-imidazolidinone 21739-91-3, Cytembena 21884-44-6, Luteoskyrin 21928-82-5 22248-79-9, Tetrachlorvinphos 22260-51-1, Bromocriptine mesylate 22571-95-5, Symphytine 22966-79-6, Estradiol mustard 23031-25-6, Terbutaline 23135-22-0, Oxamyl 23746-34-1, Bis-2-hydroxyethyldithiocarbamic acid potassium salt 23950-58-5, 3,5-Dichloro-(N-1,1-dimethyl-2-propynyl)benzamide 24358-29-0, 2-Chloro-5-(3,5-dimethylpiperidinosulfonyl)benzoic acid 24382-04-5, Malonaldehyde sodium salt 24554-26-5, N-[4-(5-Nitro-2-furyl)-2-thiazolyl]formamide 24589-77-3, p-Hydrazinobenzoic acid hydrochloride 25013-15-4, Vinyl toluene 25013-16-5, Butylated hydroxyanisole 25812-30-0, Gem fibrozil 25843-45-2, Azoxymethane 26049-68-3, 2-Hydrazino-4-(5-nitro-2-furyl)thiazole 26049-69-4, 2-(2,2-Dimethylhydrazino)-4-(5-nitro-2-furyl)thiazole 26049-70-7, 2-Hydrazino-4-(p-nitrophenyl)thiazole 26049-71-8, 2-Hydrazino-4-(p-aminophenyl)thiazole 26072-78-6, 1,2-Diallylhydrazine dihydrochloride 26148-68-5, 2-Amino-9H-pyrido-(2,3-b)indole 26308-28-1, Ripazepam 26541-51-5, N-Nitrosothiomorpholine 26921-68-6, N-Nitrosomethyl(2-hydroxyethyl)amine 27208-37-3, Cyclopenta[c,d]pyrene 27912-14-7, Levobunolol hydrochloride 28407-37-6, C.I. Direct blue 218 28754-68-9, trans-5-Amino-3-[2-(5-nitro-2-furyl)vinyl]-1,2,4-oxadiazole 29069-24-7, Prednimustine 29611-03-8, Aflatoxicol 29676-95-7, 1-Methyl-1,4-dihydro-7-[2-(5-nitrofur-2-yl)vinyl]-4-oxo-1,8-naphthyridine-3-carboxylate potassium 29929-77-9, N-Nitroso-2,2,4-trimethyl-1,2-dihydroquinoline polymer 29975-16-4, Estazolam 32180-65-7, 2,5-Dimethoxy-4'-aminostilbene 32852-21-4, Formic acid 2-(4-methyl-2-thiazolyl)hydrazide 33229-34-4, HC blue number 2 33372-39-3, 4-Bis(2-hydroxyethyl)amino-2-(5-nitro-2-thienyl)quinazoline 33389-33-2, 1,2-Dihydro-2-(5-nitro-2-thienyl)quinazolin-4(3H)-one 33389-36-5, 4-(2-Hydroxyethylamino)-2-(5-nitro-2-thienyl)quinazoline 33433-82-8, Calcium valproate 33857-26-0, 2,7-Dichlorodibenzo-p-dioxin 33868-17-6, Methylnitrosocyanamide 33979-15-6, Clivorine 34627-78-6, 1'-Acetoxysafrole 36133-88-7, N-[[3-(5-Nitro-2-furyl)-1,2,4-oxadiazol-5-yl]methyl]acetamide 36702-44-0, S-(+)-2-Methyl-N-nitrosopiperidine 36711-31-6 38347-74-9, 3-Nitroso-2-oxazolidinone 38434-77-4, Ethylnitrosocyanamide 38514-71-5, 2-Amino-4-(5-nitro-2-furyl)thiazole 38571-73-2, Tris-1,2,3-(chloromethoxy)propane 38777-13-8, Nitrosobaygon 39148-24-8, Fosetyl Al 39156-41-7, 2,4-Diaminoanisole sulfate 39300-88-4, Tara gum 39801-14-4, Photomirex 40548-68-3, Tetrahydro-2-nitroso-2H-1,2-oxazine 40580-89-0 41286-73-1 41340-25-4, Etodolac 42011-48-3, 2,2,2-Trifluoro-N-[4-(5-nitro-2-furyl)-2-thiazolylacetamide 42579-28-2, 1-Nitrosohydantoin 43033-72-3 50594-66-6, Acifluorfen 50892-23-4 51325-35-0, N,N'-[6-(5-Nitro-2-furyl)-s-triazine-2,4-diyl]bisacetamide 51333-22-3, Budesonide 51410-44-7, 1'-Hydroxyestragole 51542-33-7, N-Nitrosobenzthiazuron 51630-58-1, Fenvalerate 51786-53-9, 2,5-Xylidine hydrochloride 52207-83-7, Allylhydrazine hydrochloride 52214-84-3, Ciprofibrate 52918-63-5, Deltamethrin 53609-64-6, N-Nitrosobis(2-hydroxypropyl)amine 53757-28-1, 4-(5-Nitro-2-furyl)thiazole 54150-69-5, 2,4-Dimethoxyaniline hydrochloride 54749-90-5, Chlorozotocin 54965-24-1, Tamoxifen citrate 55090-44-3, N-Nitroso-N-methyl-N-dodecylamine 55123-66-5, Leupeptin 55556-92-8, N-Nitroso-1,2,3,6-Tetrahydropyridine 55557-00-1, Dinitrosohomopiperazine 55566-30-8, Tetrakis(hydroxymethyl)phosphonium sulfate 55600-34-5, Clophen A 30 55738-54-0, trans-2-[(Dimethylamino)methylimino]-5-[2-(5-nitro-2-furyl)vinyl]-1,3,4-oxadiazole 55984-51-5 56222-35-6, N-Nitroso-3-hydroxypyrrolidine

56654-52-5, 1,3-Dibutyl-1-nitrosourea 56795-65-4 56795-66-5, Propylhydrazine hydrochloride 56894-91-8 57497-29-7 57497-34-4 57527-64-7 57590-20-2 57590-21-3 57590-22-4 58139-48-3, 4-Morpholino-2-(5-nitro-2-thienyl)quinazoline 59820-43-8, HC yellow 4 59865-13-3, Cyclosporin A 60102-37-6, Petasitenine 60391-92-6, Carboxymethylnitrosourea 60599-38-4, N-Nitrosobis(2-oxopropyl)amine 61034-40-0, 1-Nitroso-3,5-dimethyl-4-benzoylpiperazine 63019-65-8 63412-06-6, N-Methyl-N-nitrosobenzamide 63642-17-1 63886-77-1 64005-62-5, N-Nitroso-N-amylurethane 64091-91-4, 4-(Methylnitrosamino)-1-(3-pyridyl)-1-butanone 65089-17-0 65176-75-2, 5,6-Dimethoxysterigmatocystin 65734-38-5, N'-Acetyl-4-(hydroxymethyl)-phenylhydrazine 67730-10-3, 2-Aminodipyrido[1.2-a:3',2'-d]imidazole 67730-11-4, Glu-P-1 68006-83-7 68107-26-6 69112-98-7 69644-85-5 70415-59-7 71752-70-0, 1-(3-Hydroxypropyl)-1-nitrosourea 72254-58-1 73590-58-6, Omeprazole 74920-78-8, N-Ethyl-N-formylhydrazine 75104-43-7, Trp-P-1 acetate 75195-76-5, N'-Nitrosonornicotine-1-N-oxide 75198-31-1, 3-(5-Nitro-2-furyl)imidazo[1,2-a]pyridine 75411-83-5, N-Nitrosomethyl-2-hydroxypropylamine 75881-18-4, 1-Nitroso-3,4,5-trimethylpiperazine 75881-20-8 75881-22-0, N-Nitroso-N-methyldecylamine 75896-33-2 76014-81-8, 4-(Methylnitrosamino)-1-(3-pyridyl)-1-butanol 76180-96-6, IQ 77094-11-2, 2-Amino-3,4-dimethylimidazo[4,5-f]quinoline 77337-54-3 77500-04-0, 2-Amino-3,8-dimethylimidazo[4,5-f]quinoxaline 78134-95-9 81795-07-5 82018-90-4 83335-32-4 86315-52-8, Isomazole 86386-73-4, Fluconazole 86451-37-8 88107-10-2, LY 171883 88133-11-3, Bemitradine 88208-16-6, N-Nitroso-N-allyl-2,3-dihydroxypropylamine 89911-78-4 89911-79-5 91308-69-9 91308-70-2, N-Nitroso-N-allyl-2-hydroxypropylamine 91308-71-3 92177-49-6 92177-50-9 93957-54-1, Fluvastatin 96724-44-6 96724-45-7, 1-(2-Hydroxyethyl)nitroso-3-ethylurea 96806-34-7, 1-Nitroso-1-(2-hydroxyethyl)-3-(2-chloroethyl)urea 96806-35-8, 1-Nitroso-1-(2-hydroxypropyl)-3-(2-chloroethyl)urea 98319-26-7, Finasteride 100643-96-7, Indolidan 110559-84-7 116355-83-0, Fumonisin B1 120109-55-9 122784-89-8, SDZ 200-110 142713-77-7 148940-78-7, IQ monohydrochloride 271241-42-0, PhiP monohydrochloride 863378-86-3 863378-87-4, 3-Diazotyramine hydrochloride 863378-88-5
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (evaluation of sensitivity, specificity and relative predictivity of battery of three in vitro genotoxicity tests to discriminate rodent carcinogens and non-carcinogens)

L8 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:857598 CAPLUS
DOCUMENT NUMBER: 141:332197
TITLE: Method for the enantioselective preparation of sulfoxide derivatives by asymmetric oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands, and its application to the enantioselective preparation of tenatoprazole and omeprazole enantiomers
INVENTOR(S): Cohen, Avraham; Charbit, Suzy; Schutze, Francois; Martinet, Frederic
PATENT ASSIGNEE(S): Sidem Pharma, Luxembourg
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087702	A2	20041014	WO 2004-FR778	20040326
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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FR 2863611	B1	20060324		
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
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PRIORITY APPLN. INFO.:			FR 2003-3914 A	20030328
			FR 2003-14679 A	20031215
			WO 2004-FR778 W	20040326

OTHER SOURCE(S): MARPAT 141:332197

AB The invention relates to a method for the enantioselective preparation of substituted sulfoxide derivs. by asym. oxidation of corresponding sulfides. The method comprises enantioselective oxidation of a sulfide A-CH2-S-B, where A is a variably substituted pyridyl nucleus and B is a heterocyclic group with a benzimidazole or imidazopyridyl nucleus, by an oxidizing agent in the presence of a W- or V-based catalyst and a chiral ligand, followed, where necessary, by salt formation with a base, to give a sulfoxide: A-CH2-SO-B. The method is applicable to the enantioselective preparation of compds. such as the enantiomers of tenatoprazole and other comparable sulfoxides. Oxidants include H2O2, urea-H2O2, cumene hydroperoxide, and tert-BuOOH. Catalysts include WO3, vanadium acetylacetonate, and vanadium sulfate. Chiral ligands include amino alcs., amino ethers, amino acids and esters, and salicylaldehyde imine derivs. of these. For instance, the sulfide 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine was oxidized by 30% H2O2 using WO3 and the chiral amino ether (DHQD)2-PYR (a cinchonan alkaloid) in THF at 4-5° to give (S)-(-)-tenatoprazole in 70% yield and > 90% enantiomeric excess (ee). Recrystn. from MeOH/H2O or DMF/EtOAc increased the ee to > 99%. A similar run using (DHQ)2-PYR as the chiral ligand gave (R)-(+)-tenatoprazole in 99% ee after recrystn. from DMF/EtOAc. Likewise, using (DHQD)2-PYR, (S)-(-)-omeprazole was obtained in a yield of 72% and approx. 90% initial ee.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Method for the enantioselective preparation of sulfoxide derivatives by asymmetric oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands, and its application to the enantioselective preparation of tenatoprazole and omeprazole enantiomers

AB The invention relates to a method for the enantioselective preparation of substituted sulfoxide derivs. by asym. oxidation of corresponding sulfides. The method comprises enantioselective oxidation of a sulfide A-CH2-S-B, where A is a variably substituted pyridyl nucleus and B is a heterocyclic group with a benzimidazole or imidazopyridyl nucleus, by an oxidizing agent in the presence of a W- or V-based catalyst and a chiral ligand, followed, where necessary, by salt formation with a base, to give a sulfoxide: A-CH2-SO-B. The method is applicable to the enantioselective preparation of compds. such as the enantiomers of tenatoprazole and other comparable sulfoxides. Oxidants include H2O2, urea-H2O2, cumene hydroperoxide, and tert-BuOOH. Catalysts include WO3, vanadium acetylacetonate, and vanadium sulfate. Chiral ligands include amino alcs., amino ethers, amino acids and esters, and salicylaldehyde imine derivs. of these. For instance, the sulfide 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine was oxidized by 30% H2O2 using WO3 and the chiral amino ether (DHQD)2-PYR (a cinchonan alkaloid) in THF at 4-5° to give (S)-(-)-tenatoprazole in 70% yield and > 90% enantiomeric excess (ee). Recrystn. from MeOH/H2O or DMF/EtOAc increased the ee to > 99%. A similar run using (DHQ)2-PYR as the chiral ligand gave (R)-(+)-tenatoprazole in 99% ee after recrystn. from DMF/EtOAc. Likewise, using (DHQD)2-PYR, (S)-(-)-omeprazole was obtained in a yield of 72% and approx. 90% initial ee.

ST sulfoxide tenatoprazole omeprazole enantiomer enantioselective prepn; sulfide asym oxidn tungsten vanadium catalyst chiral ligand

IT Alcohols, uses
Ethers, uses
RL: CAT (Catalyst use); USES (Uses)
(amino, catalyst ligands; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)

IT Amino acids, uses
RL: CAT (Catalyst use); USES (Uses)
(catalyst ligands; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)

IT Ligands
RL: CAT (Catalyst use); USES (Uses)
(chiral; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)

IT Alkaloids, uses
RL: CAT (Catalyst use); USES (Uses)
(cinchonan, catalyst ligands; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)

IT Asymmetric synthesis and induction
(enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)

IT Sulfoxides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)
IT Sulfides, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)
IT Amino acids, uses
RL: CAT (Catalyst use); USES (Uses)
(esters, catalyst ligands; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)
IT Oxidation
Oxidation catalysts
(stereoselective; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)
IT 1314-35-8, Tungsten trioxide, uses 13476-99-8 16785-81-2, Vanadium sulfate
RL: CAT (Catalyst use); USES (Uses)
(catalyst component; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)
IT 56-87-1, L-Lysine, uses 63-68-3, L-Methionine, uses 63-91-2, L-Phenylalanine, uses 71-00-1, L-Histidine, uses 72-18-4, L-Valine, uses 348-67-4, D-Methionine 351-50-8, D-Histidine 640-68-6, D-Valine 673-06-3, D-Phenylalanine 923-27-3, D-Lysine 2026-48-4, L-Valinol 4276-09-9, D-Valinol 112245-09-7, (R)-tert-Leucinol 112245-13-3, (S)-tert-Leucinol 126456-43-7, (1S,2R)-(-)-1-Amino-2-indanol 136030-00-7, (1R,2S)-(+)-1-Amino-2-indanol 149725-81-5, (DHQD)2-PYR 149820-65-5, (DHQ)2-PYR 155052-31-6, 2,4-Di-tert-butyl-6-(1-(S)-hydroxymethyl-2-methylpropylimino)methyl]phenol 212378-89-7, (1S,2R)-1-[(2-Hydroxy-3,5-di-tert-butylbenzylidene)amino]indan-2-ol 275374-67-9, (1R,2S)-1-[(2-Hydroxy-3,5-di-tert-butylbenzylidene)amino]indan-2-ol 773892-01-6, 2,4-Di-tert-butyl-6-[1-(R)-hydroxymethyl-2-methylpropylimino)methyl]phenol
RL: CAT (Catalyst use); USES (Uses)
(catalyst ligand; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)
IT 7440-33-7D, Tungsten, compds. 7440-62-2D, Vanadium, compds.
RL: CAT (Catalyst use); USES (Uses)
(enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten catalysts and chiral ligands and application to tenatoprazole and omeprazole enantiomers)

IT 75-91-2, tert-Butyl hydroperoxide 80-15-9, Cumene hydroperoxide
124-43-6, Urea-hydrogen peroxide 7722-84-1, Hydrogen peroxide, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidizing agent; enantioselective preparation of sulfoxides by asym. oxidation
of sulfides with vanadium or tungsten catalysts and
chiral ligands and application to tenatoprazole and omeprazole
enantiomers)
IT 73590-85-9, 5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]-1H-benzimidazole 113713-24-9, 5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]thio]imidazo[4,5-b]pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; enantioselective preparation of sulfoxides by asym. oxidation of sulfides with vanadium or tungsten
catalysts and chiral ligands and application to tenatoprazole and
omeprazole enantiomers)
IT 119141-88-7P, (S)-(-)-Omeprazole 705968-86-1P,
(S)-(-)-Tenatoprazole 705969-00-2P, (R)-(+)-Tenatoprazole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(target compound; enantioselective preparation of sulfoxides by asym. oxidation
of sulfides with vanadium or tungsten catalysts and
chiral ligands and application to tenatoprazole and omeprazole
enantiomers)

L8 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:800852 CAPLUS
DOCUMENT NUMBER: 141:314327
TITLE: Process for preparation of sulfoxides, in particular enantiomers of tenatoprazole and its related derivatives by enantioselective oxidation of sulfides
INVENTOR(S): Schutze, Francois; Charbit, Suzy; Cohen, Avraham; Martinet, Frederic
PATENT ASSIGNEE(S): Negma Gild, Fr.
SOURCE: Fr. Demande, 21 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2852956	A1	20041001	FR 2003-3914	20030328
FR 2852956	B1	20060804		
CA 2520157	A1	20041014	CA 2004-2520157	20040326
WO 2004087702	A2	20041014	WO 2004-FR778	20040326
WO 2004087702	A3	20041111		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1608649		A2	20051228	EP 2004-742382	20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK					
CN 1823065		A	20060823	CN 2004-80008537	20040326
JP 2006523201		T	20061012	JP 2006-505762	20040326
IN 2005DN03962		A	20070824	IN 2005-DN3962	20050905
MX 2005010250		A	20061012	MX 2005-10250	20050923
KR 2006002878		A	20060109	KR 2005-718234	20050927
US 20060281782		A1	20061214	US 2006-551037	20060726
PRIORITY APPLN. INFO.:				FR 2003-3914	A 20030328
				FR 2003-14679	A 20031215
				WO 2004-FR778	W 20040326

OTHER SOURCE(S): MARPAT 141:314327
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to a method of preparation of sulfoxides and their basic salts, of formula A-CH2-S-B, in particular enantiomers of tenatoprazole (I) and derivs., by enantioselective oxidation of a sulfide of formula A-CH2-SO-B with an oxidation agent in the presence of a catalyst containing tungsten or of vanadium and of a chiral ligand, of formula RO-CR1R2-CR3R4-NR5R6,followed if necessary by base treatment [wherein A = substituted pyridinyl; B = benzimidazolyl, imidazopyridyl; R = H, alkyl, hetero/aryl; R1, R2, R3, R4 = independently alkyl, hetero/aryl with provisos; R5, R6 = alkyl; or NR5R6 = heterocyclyl, -N:CHAr; Ar = substituted aryl]. The method provides high enantiomeric excess (e.e.) values (> 90%). Thus, oxidation of sulfide II with H2O2 in the presence of WO3, ligand III in THF gave (S)-(-)-I in > 99% e.e.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The invention is related to a method of preparation of sulfoxides and their basic salts, of formula A-CH2-S-B, in particular enantiomers of tenatoprazole (I) and derivs., by enantioselective oxidation of a sulfide of formula A-CH2-SO-B with an oxidation agent in the presence of a catalyst containing tungsten or of vanadium and of a chiral ligand, of formula RO-CR1R2-CR3R4-NR5R6,followed if necessary by base treatment [wherein A = substituted pyridinyl; B = benzimidazolyl, imidazopyridyl; R = H, alkyl, hetero/aryl; R1, R2, R3, R4 = independently alkyl, hetero/aryl with provisos; R5, R6 = alkyl; or NR5R6 = heterocyclyl, -N:CHAr; Ar = substituted aryl]. The method provides high enantiomeric excess (e.e.) values (> 90%). Thus, oxidation of sulfide II with H2O2 in the presence of WO3, ligand III in THF gave (S)-(-)-I in > 99% e.e.

ST tenatoprazole prepn enantioselective oxidn tungsten vanadium catalyst; sulfoxide prepn enantioselective oxidn hydroquinine ligand

IT 7440-33-7, Tungsten, uses 7440-62-2, Vanadium, uses
RL: CAT (Catalyst use); USES (Uses)
(-based catalyst; preparation of sulfoxides, in particular enantiomers of tenatoprazole and its related derivs., by enantioselective oxidation of sulfides)

IT 1314-34-7, Vanadium trioxide 1314-35-8, Tungsten trioxide, uses 13476-99-8
RL: CAT (Catalyst use); USES (Uses)
(catalyst; preparation of sulfoxides, in particular enantiomers of

tenatoprazole and its related derivs., by enantioselective oxidation of sulfides)

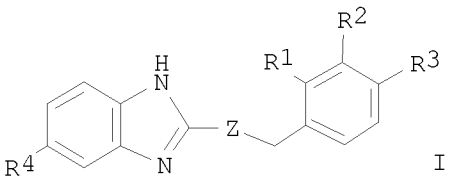
IT 119141-88-7P, Esomeprazole
RL: IMF (Industrial manufacture); PREP (Preparation)
(sulfoxide product; preparation of sulfoxides, in particular enantiomers of tenatoprazole and its related derivs., by enantioselective oxidation of sulfides)

IT 705968-86-1P, (-)-5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl]imidazo[4,5-b]pyridine 705969-00-2P
RL: IMF (Industrial manufacture); PRP (Properties); PREP (Preparation)
(sulfoxide product; preparation of sulfoxides, in particular enantiomers of tenatoprazole and its related derivs., by enantioselective oxidation of sulfides)

L8 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:570519 CAPLUS
DOCUMENT NUMBER: 141:106473
TITLE: Processes for the production of substituted 2-(2-pyridylmethyl) sulfinyl-1H-benzimidazoles
INVENTOR(S): Avrutov, Ilya; Mendelovici, Marioara; Finkelstein, Nina
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S. Ser. No. 66,850.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20040138466	A1	20040715	US 2003-655645		20030904
US 20030036554	A1	20030220	US 2002-66850		20020204
US 7129358	B2	20061031			
CN 1781918	A	20060607	CN 2005-10086094		20020204
CN 1876647	A	20061213	CN 2006-10081920		20020204
EP 1970374	A1	20080917	EP 2008-10970		20020204
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI					
US 20060293363	A1	20061228	US 2006-514964		20060905
US 20080091024	A1	20080417	US 2007-973744		20071009
PRIORITY APPLN. INFO.:			US 2001-266162P	P	20010202
			US 2002-66850	A2	20020204
			US 2002-408163P	P	20020904
			CN 2002-804485	A3	20020204
			EP 2002-706135	A3	20020204
			US 2006-514964	A3	20060905

OTHER SOURCE(S): CASREACT 141:106473; MARPAT 141:106473
GI



I

AB The present invention discloses improved processes for preparing substituted 2-(2-pyridylmethyl)sulfinyl-1H-benzimidazoles, such as I [R1, R2, R4 = H, alkyl, alkoxy; R3 = H, alkyl; Z = SO], via selective oxidation of a thioether compound II (Z = S), with an oxidizing agent selected from the group consisting of tert-Bu hydroperoxide in the presence of a catalyst, vanadium acetylacetonate, oxone and potassium peroxymonosulfate.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

AB The present invention discloses improved processes for preparing substituted 2-(2-pyridylmethyl)sulfinyl-1H-benzimidazoles, such as I [R1, R2, R4 = H, alkyl, alkoxy; R3 = H, alkyl; Z = SO], via selective oxidation of a thioether compound II (Z = S), with an oxidizing agent selected from the group consisting of tert-Bu hydroperoxide in the presence of a catalyst, vanadium acetylacetonate, oxone and potassium peroxymonosulfate.

ST benzimidazole pyridinylmethylsulfinyl prepn; pyridinylmethylthiobenzimidazole selective oxidn tertbutylhydroperoxide vanadium catalyst; oxidn selective pyridinylmethylthiobenzimidazole oxone

IT Sulfoxides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT Oxidation
(selective; of substituted 2-(2-pyridylmethyl)-thio-1H-benzimidazoles in preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT Oxidation catalysts
(selective; preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT 1314-62-1, Vanadium oxide (V2O5), uses
RL: CAT (Catalyst use); USES (Uses)
(bound to silica; preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT 10058-23-8, Potassium peroxymonosulfate 13476-99-8 13718-26-8, Sodium vanadate 37222-66-5, Oxone
RL: CAT (Catalyst use); USES (Uses)
(preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT 73590-58-6P, Omeprazole 102625-70-7P, Pantoprazole 103577-45-3P, Lansoprazole 117976-89-3P, Rabeprazole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT 1643-19-2, TBAB
RL: NUU (Other use, unclassified); USES (Uses)
(preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT 73590-85-9 102625-64-9 103577-40-8 117977-21-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT 75-91-2, tert-Butyl hydroperoxide 7757-83-7, Sodium sulfite
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

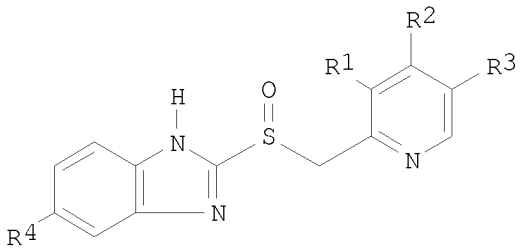
IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 108-88-3, Toluene, uses 141-78-6, Ethyl acetate, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; preparation of substituted 2-(2-pyridylmethyl)-sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:101156 CAPLUS
DOCUMENT NUMBER: 140:146140
TITLE: Preparation of lansoprazole and related compounds
INVENTOR(S): Finkelstein, Nina
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011455	A1	20040205	WO 2003-US23588	20030728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003268034	A1	20040216	AU 2003-268034	20030728
EP 1467987	A1	20041020	EP 2003-748985	20030728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-398686P P 20020726
WO 2003-US23588 W 20030728

OTHER SOURCE(S): CASREACT 140:146140; MARPAT 140:146140
GI



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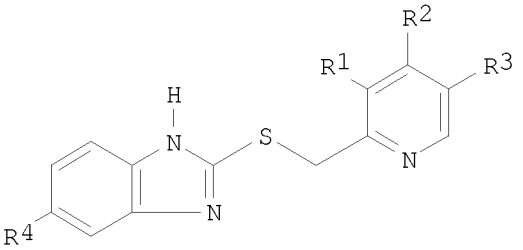


II

AB The present invention provides a process for preparing lansoprazole (LNP) and related compds. I (R1, R2, R4 = H, alkyl, alkoxy; R3 = H, alkyL) having a high yield and a low level of impurities by oxidation of corresponding sulfides II with tert-Bu hydroperoxide (TBHP), catalyzed by a catalyst vanadium oxytrichloride in an organic solvent selected from the group consisting of a C1-C5 alkanol, decane, nonane, toluene and a mixture of the organic solvent and water, preferably in the presence of a base. Thus, oxidation of 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benimidazole with TBPH in isopropanal in the presence of Et2NH and VOCl3 at 10° for 16 h gave 90% lansoprazole.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The present invention provides a process for preparing lansoprazole (LNP) and related compds. I (R1, R2, R4 = H, alkyl, alkoxy; R3 = H, alkyL) having a high yield and a low level of impurities by oxidation of corresponding sulfides II with tert-Bu hydroperoxide (TBHP), catalyzed by a catalyst vanadium oxytrichloride in an organic solvent selected from the group consisting of a C1-C5 alkanol, decane, nonane, toluene and a mixture of the organic solvent and water, preferably in the presence of a base. Thus, oxidation of 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benimidazole with TBPH in isopropanal in the presence of Et2NH and VOCl3 at 10° for 16 h gave 90% lansoprazole.

ST lansoprazole prepn oxidn catalyst vanadium oxytrichloride

IT Oxidation
Oxidation catalysts
(preparation of lansoprazole by oxidation of sulfide derivs. with tert-Bu hydroperoxide in presence of vanadium oxychloride)

IT 7727-18-6, Vanadium oxychloride
RL: CAT (Catalyst use); USES (Uses)
(preparation of lansoprazole)

IT 103577-45-3P, Lansoprazole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of lansoprazole)

L8 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:931335 CAPLUS
DOCUMENT NUMBER: 139:395935
TITLE: New method for the preparation of the anti-ulcer compounds omeprazole, lansoprazole and pantoprazole
INVENTOR(S): Correia, Pedro Brito; Romao, Carlos Crispim; Correia, Luis Brito; Pereira, Maria Florbela; Fernandes, Ana Cristina; Borges, Jose Enrique; Tavares, Regina; Costa, Maria Do Ceu; Teixeira, Fatima
PATENT ASSIGNEE(S): Herbex, Produtos Quimicos Sa, Port.; Saragga, Jose Manuel
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097606	A1	20031127	WO 2000-IB1057	20000728
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000258410	A	20031202	AU 2000-258410	20000728
PRIORITY APPLN. INFO.:			WO 2000-IB1057 A	20000728

OTHER SOURCE(S): CASREACT 139:395935; MARPAT 139:395935
AB The present invention describes a new process for the intermediate preparation of omeprazole, lansoprazole and pantoprazole , and which involves the formation of pyridines N-oxide using a rhenium compound as a catalyst, followed by nitration of the 4-position with nitric acid fuming in presence of a claycop. The chlorination of the 2-Me group of pyridine was achieved by using the POCI3/Et3N, which allowed the preparation of the derivs. 2-chloromethylpyridines in only one step. These derivs. reacted with the mercaptobenzimidazolic derivs. in presence of ultra-sonic radiation, giving the thioethers. The oxidation of these thioethers was done with several oxidizing agents and the required anti-ulcer compds. were obtained after the substitution of nitro group by the corresponding OR groups. Thus, Omeprazole was prepared by oxidation of 2,3,5-colidine with hydrogen peroxide in presence of methyltrioxorhenium catalyst; nitration; chlorination to form 2-chloromethyl-3,5-dimethyl-4-nitropyridine; reaction with 5-methoxy-2-mercaptobenzimidazole; oxidation; and reaction with sodium methoxide.
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 73590-58-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Omeprazole; in intermediate preparation of anti-ulcer compds. omeprazole, lansoprazole and pantoprazole)
IT 7440-62-2, Vanadium, uses 70197-13-6, Methyltrioxorhenium
RL: CAT (Catalyst use); USES (Uses)
(in intermediate preparation of anti-ulcer compds. omeprazole, lansoprazole

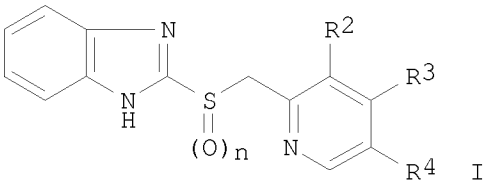
and pantoprazole)
IT 15931-25-6P 22710-07-2P 35392-65-5P 37699-43-7P 74409-42-0P, 2,3,5-Trimethylpyridine N-oxide 86604-79-7P, 2,3,5-Trimethyl-4-nitropyridine N-oxide 142885-91-4P 153476-68-7P, 2-Chloromethyl-3,5-dimethyl-4-nitropyridine 317807-10-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in intermediate preparation of anti-ulcer compds. omeprazole, lansoprazole and pantoprazole)
IT 102625-70-7P, Pantoprazole 103577-45-3P, Lansoprazole
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(in intermediate preparation of anti-ulcer compds. omeprazole, lansoprazole and pantoprazole)

L8 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:855907 CAPLUS
DOCUMENT NUMBER: 139:350735
TITLE: Preparation of optically active substituted pyridinylmethylsulfinylbenzimidazoles and salts
INVENTOR(S): Thennati, Rajamannar; Rehani, Rajeev Budhdev; Soni, Rohit Ravikant; Chhabada, Vijay Chhangamal; Patel, Vijaykumar Muljibhai
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003089408	A2	20031030	WO 2003-IN164	20030421
WO 2003089408	A3	20040205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 194216	A1	20041002	IN 2002-MU299	20020422
IN 2002MU00365	A	20050304	IN 2002-MU365	20020422
AU 2003262375	A1	20031103	AU 2003-262375	20030421

PRIORITY APPLN. INFO.:	IN 2002-MU299	A	20020422
	IN 2002-MU365	A	20020422
	WO 2003-IN164	W	20030421

OTHER SOURCE(S): CASREACT 139:350735; MARPAT 139:350735
GI



I

AB Optically active enantiomers of the title compds. I [R1-R4 = H, (un)substituted alkyl, alkoxy, aryl, aryloxy; n = 1] are prepared by stereoselective oxidation of I [n = 0] with an oxidizing agent in an organic solvent in the presence of a base and a catalyst comprising titanium or vanadium complexed with a chiral monodentate ligand. The process yields alkali or alkaline earth metal salts of 5-methoxy-2-[(S)-(4-methoxy-3,5-dimethyl-2-pyridinylmethyl)sulfinyl]-1H-benzimidazole substantially free of sulfone impurity, optionally after purification in a ketone or nitrile solvent. Thus, omeprazole sulfide is oxidized with cumene hydroperoxide in presence of EtN(CHMe2)2, Me (S)-(+)-mandelate, and Ti(OCHMe2)4 in PhMe, followed by washing with MeCN to give esomeprazole sodium with >985 ee.

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Optically active enantiomers of the title compds. I [R1-R4 = H, (un)substituted alkyl, alkoxy, aryl, aryloxy; n = 1] are prepared by stereoselective oxidation of I [n = 0] with an oxidizing agent in an organic solvent in the presence of a base and a catalyst comprising titanium or vanadium complexed with a chiral monodentate ligand. The process yields alkali or alkaline earth metal salts of 5-methoxy-2-[(S)-(4-methoxy-3,5-dimethyl-2-pyridinylmethyl)sulfinyl]-1H-benzimidazole substantially free of sulfone impurity, optionally after purification in a ketone or nitrile solvent. Thus, omeprazole sulfide is oxidized with cumene hydroperoxide in presence of EtN(CHMe2)2, Me (S)-(+)-mandelate, and Ti(OCHMe2)4 in PhMe, followed by washing with MeCN to give esomeprazole sodium with >985 ee.

IT 161796-78-7P, Esomeprazole sodium
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of optically active substituted pyridinylmethylsulfinylbenzimidazoles and salts)

L8 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:759265 CAPLUS
DOCUMENT NUMBER: 140:357338
TITLE: Preparation of sulfinyl-containing drugs by catalytic oxidation of thioether compounds
INVENTOR(S): Yang, Guangzhong
PATENT ASSIGNEE(S): Institute of Pharmacy, Chinese Academy of Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 12 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1381443	A	20021127	CN 2001-109783	20010420
CN 1215056	C	20050817		

PRIORITY APPLN. INFO.: CN 2001-109783 20010420
OTHER SOURCE(S): CASREACT 140:357338

AB The thioether compds., such as 5-methoxy-2-(3,5-dimethyl-4-methoxy-2-pyridylmethylthio)-1H-benzimidazole, 2-[3-methyl-4--2-pyridylmethylthio]-1H-benzimidazole, 5-difluoromethoxy-2-(3,4-dimethoxy-2-pyridylmethylthio)-1H-benzimidazole, 2-[4-(3-methoxypropoxy)-3-methyl-2-pyridylmethylthio]-1H-benzimidazole, or (diphenylmethyl)thioacetamide, were oxidized to sulfoxide by using tert-Bu hydroperoxide (tert-Bu hypochlorite, NaClO, H2O2, perbenzoic acid, or 3-chloroperbenzoic acid) in nonprotic solvent (such as dichloromethane, chloroform, CCl4, acetone, Et acetate, etc) in the presence of catalyst (0.5-10%) at 0-25°. The catalyst is titanium tetraisopropoxide, bis(pentane-2,4-dionato)vanadium oxide, bis(pentane-2,4-dionato)copper(II), bis(pentane-2,4-dionato)cobalt(II), tris(pentane-2,4-dionato)iron(III), bis(pentane-2,4-dionato)manganese(II), or tris(pentane-2,4-dionato)chromium(III).

AB The thioether compds., such as 5-methoxy-2-(3,5-dimethyl-4-methoxy-2-pyridylmethylthio)-1H-benzimidazole, 2-[3-methyl-4--2-pyridylmethylthio]-1H-benzimidazole, 5-difluoromethoxy-2-(3,4-dimethoxy-2-pyridylmethylthio)-1H-benzimidazole, 2-[4-(3-methoxypropoxy)-3-methyl-2-pyridylmethylthio]-1H-benzimidazole, or (diphenylmethyl)thioacetamide, were oxidized to sulfoxide by using tert-Bu hydroperoxide (tert-Bu hypochlorite, NaClO, H2O2, perbenzoic acid, or 3-chloroperbenzoic acid) in nonprotic solvent (such as dichloromethane, chloroform, CCl4, acetone, Et acetate, etc) in the presence of catalyst (0.5-10%) at 0-25°. The catalyst is titanium tetraisopropoxide, bis(pentane-2,4-dionato)vanadium oxide, bis(pentane-2,4-dionato)copper(II), bis(pentane-2,4-dionato)cobalt(II), tris(pentane-2,4-dionato)iron(III), bis(pentane-2,4-dionato)manganese(II), or tris(pentane-2,4-dionato)chromium(III).

IT Oxidation
Oxidation catalysts
(preparation of sulfoxides by oxidation of thioethers in presence of tert-Bu hydroperoxide and bis(acetylacetonato)vanadium oxide)

IT Sulfoxides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of sulfoxides by oxidation of thioethers in presence of tert-Bu hydroperoxide and bis(acetylacetonato)vanadium oxide)

IT Thioethers
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sulfoxides by oxidation of thioethers in presence of tert-Bu hydroperoxide and bis(acetylacetonato)vanadium oxide)

IT 546-68-9, Titanium tetraisopropoxide 3153-26-2 14024-18-1 14024-58-9, Bis(acetylacetonato)manganese(II) 19538-51-3 21679-31-2, Tris(acetylacetonato)chromium(III)
RL: CAT (Catalyst use); USES (Uses)
(preparation of sulfoxides by oxidation of thioethers in presence of tert-Bu hydroperoxide and bis(acetylacetonato)vanadium oxide)

IT 934-72-5P 940-12-5P 63547-24-0P 68693-11-8P 73590-58-6P 103577-45-3P 682807-37-0P 682807-38-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of sulfoxides by oxidation of thioethers in presence of tert-Bu hydroperoxide and bis(acetylacetonato)vanadium oxide)

IT 75-91-2, tert-Butyl hydroperoxide 93-59-4, Perbenzoic acid 507-40-4,

tert-Butyl hypochlorite 623-13-2 701-57-5 937-14-4, m-Chloroperbenzoic acid 7681-52-9, Sodium hypochlorite 7722-84-1, Hydrogen peroxide, reactions 63547-22-8 68524-30-1 73590-85-9 102625-64-9 103577-40-8 117977-21-6 206983-14-4 449813-31-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sulfoxides by oxidation of thioethers in presence of tert-Bu hydroperoxide and bis(acetylacetonato)vanadium oxide)

L8 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:114544 CAPLUS
DOCUMENT NUMBER: 138:368576
TITLE: WO3-30% H2O2-cinchona alkaloids: a new heterogeneous catalytic system for the asymmetric oxidation of sulfides and the kinetic resolution of racemic sulfoxides
AUTHOR(S): Thakur, Vinay V.; Sudalai, A.
CORPORATE SOURCE: Process Development Division, National Chemical Laboratory, Pune, 411008, India
SOURCE: Tetrahedron: Asymmetry (2003), 14(4), 407-410
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:368576
AB WO3-catalyzed asym. oxidation of thioethers and kinetic resolution of sulfoxides
with 30% aqueous H2O2 in the presence of cinchona alkaloids under heterogeneous conditions affords chiral sulfoxides in high yields with moderate to good enantioselectivities. For example, the oxidation of 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-1H-Benzimidazole with hydrogen peroxide in the presence of tungsten oxide (WO3) and (DHQD)2-PYR gave 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-Benzimidazole [(R)-(+)-lansoprazole].
OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB WO3-catalyzed asym. oxidation of thioethers and kinetic resolution of sulfoxides
with 30% aqueous H2O2 in the presence of cinchona alkaloids under heterogeneous conditions affords chiral sulfoxides in high yields with moderate to good enantioselectivities. For example, the oxidation of 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-1H-Benzimidazole with hydrogen peroxide in the presence of tungsten oxide (WO3) and (DHQD)2-PYR gave 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-Benzimidazole [(R)-(+)-lansoprazole].
ST quinine tungsten oxide hydrogen peroxide sulfide oxidn sulfoxide resoln; alkaloid cinchonan tungsten oxide hydrogen peroxide oxidn resoln; cinchonanol tungsten oxide hydrogen peroxide sulfide oxidn sulfoxide resoln; lansoprazole prepn cinchonan tungsten oxide hydrogen peroxide pyridinylmethylthio benzimidazole; cinchonan tungsten oxide hydrogen peroxide sulfide oxidn sulfoxide resoln; PYR DHQD tungsten oxide hydrogen peroxide sulfide oxidn resoln; DHQD PHAL tungsten oxide hydrogen peroxide sulfide oxidn resoln; dihydroquinidine tungsten oxide hydrogen peroxide sulfide oxidn sulfoxide resoln; sulfide aryl tungsten oxide hydrogen peroxide sulfide oxidn; thioether tungsten oxide hydrogen peroxide sulfide oxidn; sulfoxide prepn

tungsten oxide hydrogen peroxide sulfide oxidn
IT Thioethers
RL: RCT (Reactant); RACT (Reactant or reagent)
(aryl; tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)
IT Sulfoxides
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(aryl; tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)
IT Alkaloids, uses
RL: CAT (Catalyst use); USES (Uses)
(cinchonan; tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)
IT Resolution (separation)
(kinetic; tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)
IT Oxidation
Oxidizing agents
(stereoselective; tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)
IT Aromatic compounds
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(sulfoxides; tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)
IT Stereoselective synthesis
(tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)
IT 130-95-0, (-)-Quinine 1314-35-8, Tungsten oxide (WO3), uses 1435-55-8, Dihydroquinidine 69221-14-3, N-Benzylcinchoninium chloride 140853-10-7 149725-81-5, (DHQD)2-PYR
RL: CAT (Catalyst use); USES (Uses)
(tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)
IT 100-68-5 622-38-8, (Ethylthio)benzene 622-63-9, 1-(Ethylthio)-4-methylbenzene 623-13-2, 1-Methyl-4-(methylthio)benzene 831-91-4, [(Phenylmethyl)thio]benzene 833-82-9, [(Phenylmethyl)sulfinyl]benzene 874-79-3, (Propylthio)benzene 934-72-5, 1-Methyl-4-(methylsulfinyl)benzene 1126-80-3, (Butylthio)benzene 1193-82-4, (Methylsulfinyl)benzene 3324-82-1, (Cyclohexylsulfinyl)benzene 4170-69-8 4170-80-3, (Ethylsulfinyl)benzene 5023-60-9, 1-Methyl-4-[(phenylmethyl)thio]benzene 6378-07-0, 1-(Ethylsulfinyl)-4-methylbenzene 7570-92-5, (Cyclohexylthio)benzene 7722-84-1, Hydrogen peroxide (H2O2), reactions 10381-70-1, 1-Methyl-4-[(phenylmethyl)sulfinyl]benzene 13153-10-1, (Butylsulfinyl)benzene 14479-85-7 50337-53-6, 1-Methyl-4-[(1-methylethyl)sulfinyl]benzene 103577-40-8, 2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-1H-Benzimidazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated

heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)

IT 599-70-2P, (Ethylsulfonyl)benzene 1517-74-4P, 1-Methyl-4-[(R)-(1-methylethyl)sulfinyl]benzene 1519-39-7P, 1-Methyl-4-[(R)-methylsulfinyl]benzene 1519-40-0P, 1-[(R)-Ethylsulfinyl]-4-methylbenzene 3112-85-4P, (Methylsulfonyl)benzene 3112-88-7P, [(Phenylmethyl)sulfonyl]benzene 3185-99-7P, 1-Methyl-4-(methylsulfonyl)benzene 4238-09-9P, [(1-Methylethyl)sulfonyl]benzene 4820-07-9P, 1-Methyl-4-[(R)-(phenylmethyl)sulfinyl]benzene 4850-71-9P 5056-07-5P, 1-Methyl-4-[(S)-methylsulfinyl]benzene 5395-20-0P, 1-Methyl-4-[(phenylmethyl)sulfonyl]benzene 6947-57-5P, (Cyclohexylsulfonyl)benzene 7569-34-8P, 1-(Ethylsulfonyl)-4-methylbenzene 16823-62-4P, (Butylsulfonyl)benzene 18453-46-8P 20246-02-0P, [(R)-(Phenylmethyl)sulfinyl]benzene 34044-66-1P 51207-25-1P, [(R)-Ethylsulfinyl]benzene 51751-71-4P, 1-Methyl-4-[(1-methylethyl)sulfonyl]benzene 54234-79-6P, [(R)-Propylsulfinyl]benzene 67529-47-9P, [(R)-Butylsulfinyl]benzene 114578-82-4P, [(R)-Cyclohexylsulfinyl]benzene 138530-94-6P, (R)-(+)-Lansoprazole
RL: SPN (Synthetic preparation); PREP (Preparation)
(tungsten oxide-hydrogen peroxide-cinchona alkaloid-mediated heterogeneous asym. oxidation of sulfides and kinetic resolution of racemic sulfoxides)

L8 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:905787 CAPLUS
DOCUMENT NUMBER: 138:8332
TITLE: Emulsion and dispersion formulations containing phospholipids and plant extracts
INVENTOR(S): Coote, Wayne John; Wayne, Miles David; Regtop, Hubertus Leonardus; Biffin, John Raymond
PATENT ASSIGNEE(S): Jupitar Pty Ltd, Australia
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094221	A1	20021128	WO 2002-AU605	20020517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002029255	A	20021121	AU 2002-29255	20020328
CA 2447170	A1	20021128	CA 2002-2447170	20020517
AU 2002308396	A1	20021203	AU 2002-308396	20020517
EP 1399130	A1	20040324	EP 2002-771588	20020517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040167034	A1	20040826	US 2004-478155	20040413
PRIORITY APPLN. INFO.:			AU 2001-5100 A	20010518

WO 2002-AU605 W 20020517

OTHER SOURCE(S): MARPAT 138:8332

AB A process of preparing an emulsion of a solubilized compound, which is soluble in an aqueous or a nonaq. solvent, comprises adding a complexing agent to at least one solvent containing the compound, adding an emulsifier to the solvent containing the compound and the complexing agent and forming an emulsion. The present invention also provides a process of preparing a dispersion of a compound which is insol. in a physiol. acceptable aqueous or nonaq. solvent but only soluble in a physiol. unacceptable solvent. The process comprises adding the compound to an acceptable solvent, adding a complexing agent to the compound plus solvent, further adding an emulsifier to the compound plus solvent plus complexing agent, and forming a dispersion of the compound in the acceptable solvent. Soy phytosterols (95%) were added to the 18.3-kg liquid lecithin, and 0.73-kg Polysorbate 80 or 0.73-kg Cremophor EL was added and 0.145-kg fumed silica was then added. A formulation consisted of 16.67 kg silymarin (70:1), 6.67 kg Bupleurumfalcatum (5:1) and 6.67 kg Schisandra chinensis. This mixture was then added to 45.67 kg of the above phytosterol base and converted to tablets.

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 51-17-2D, Benzimidazole, derivs. 56-81-5, Glycerol, biological studies 58-56-0, Pyridoxine hydrochloride 58-95-7, Tocopherol acetate 59-02-9 59-30-3, Folic acid, biological studies 64-17-5, Ethanol, biological studies 67-63-0, Isopropanol, biological studies 67-64-1, Acetone, biological studies 68-19-9, Cyanocobalamine 71-23-8, 1-Propanol, biological studies 303-98-0, Coenzyme Q10 616-45-5, Pyrrolidone 917-69-1, Cobaltic acetate 1066-30-4, Chromic acetate 1404-90-6, Vancomycin 3416-24-8, Glucosamine 7439-98-7, Molybdenum, biological studies 7440-48-4, Cobalt, biological studies 7440-62-2, Vanadium, biological studies 7631-95-0, Sodium molybdate 9002-89-5, Poly(vinyl alcohol) 9003-39-8, Polyvinylpyrrolidone 9004-10-8, Insulin, biological studies 9007-28-7, Chondroitin sulfate 13718-26-8, Sodium vanadate 14769-73-4, Levamisole 26787-78-0, Amoxicillin 40596-69-8, Methoprene 51013-18-4, Methylpyrrolidone 57808-65-8, Closantel 58001-44-8, Clavulanic acid 61336-70-7, Amoxicillin trihydrate 65666-07-1, Silymarin 73590-58-6, Omeprazole 174882-69-0, Pycnogenol 476494-13-0, Phaseolamin 2250
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(emulsion and dispersion formulations containing phospholipids and plant exts.)

L8 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:615602 CAPLUS

DOCUMENT NUMBER: 137:169521

TITLE: Processes for the production of substituted 2-(2-pyridinylmethyl) sulfinyl-1H-benzimidazoles using tert-butyl hydroperoxide or oxone

INVENTOR(S): Avrutov, Ilya; Mendelovici, Marioara

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceutical USA, Inc.

SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062786	A1	20020815	WO 2002-US3225	20020204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2436467	A1	20020815	CA 2002-2436467	20020204
AU 2002240242	A1	20020819	AU 2002-240242	20020204
EP 1363901	A1	20031126	EP 2002-706135	20020204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003003144	A2	20040301	HU 2003-3144	20020204
HU 2003003144	A3	20070828		
CN 1489585	A	20040414	CN 2002-804485	20020204
CN 100347167	C	20071107		
ZA 2003005652	A	20040722	ZA 2003-5652	20020204
JP 2004524303	T	20040812	JP 2002-563139	20020204
CN 1781918	A	20060607	CN 2005-10086094	20020204
CN 1876647	A	20061213	CN 2006-10081920	20020204
EP 1970374	A1	20080917	EP 2008-10970	20020204
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
IN 2003MN00726	A	20050429	IN 2003-MN726	20030724
MX 2003006904	A	20041206	MX 2003-6904	20030731
NO 2003003433	A	20030925	NO 2003-3433	20030801
IN 2006MN00528	A	20070608	IN 2006-MN528	20060509
US 20080091024	A1	20080417	US 2007-973744	20071009
PRIORITY APPLN. INFO.:			US 2001-266162P	P 20010202
			CN 2002-804485	A3 20020204
			EP 2002-706135	A3 20020204
			US 2002-66850	A3 20020204
			WO 2002-US3225	W 20020204
			IN 2003-MN726	A3 20030724
			US 2006-514964	A3 20060905

OTHER SOURCE(S): CASREACT 137:169521; MARPAT 137:169521

AB RZR1 (I; Z = SO) [R = (un)substituted 1H-benzimidazol-2-yl; R1 = (un)substituted 2-pyridinyl] were prepared by selective oxidation of I (Z = S) with tert-Bu hydroperoxide or oxone. Oxidation with tert-Bu hydroperoxide were performed in the presence of VO(acac)2, silica bound V2O5 and NaVO3.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ST benzimidazole pyridinylmethylsulfinyl prepn; pyridinylmethylthiobenzimidazole selective oxidn tertbutyl hydroperoxide vanadium catalyst; oxidn selective pyridinylmethylthiobenzimidazole oxone

IT Oxidation
(processes for the production of substituted 2-(2-pyridinylmethyl) sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT Sulfoxides
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(processes for the production of substituted 2-(2-pyridinylmethyl) sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT 1314-62-1D, Vanadium oxide (V2O5), bound to silica 3153-26-2 13718-26-8, Sodium vanadate
RL: CAT (Catalyst use); USES (Uses)
(processes for the production of substituted 2-(2-pyridinylmethyl) sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

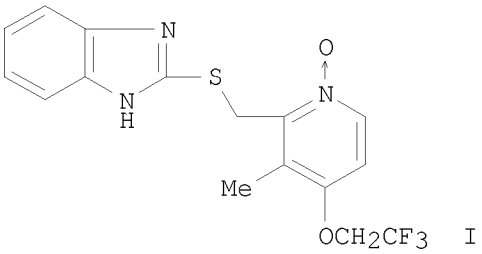
IT 73590-58-6P, Omeprazole 102625-70-7P, Pantoprazole 103577-45-3P, Lansoprazole 117976-89-3P, Rabeprazole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(processes for the production of substituted 2-(2-pyridinylmethyl) sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

IT 75-91-2, tert-Butyl hydroperoxide 37222-66-5, Oxone 73590-85-9, 2-[[(3,5-Dimethyl-4-methoxy-2-pyridyl)methyl]thio]-5-methoxy-1H-benzimidazole 102625-64-9, 5-(Difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridyl)methyl]thio]-1H-benzimidazole 103577-40-8, 2-[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methylthio]-1H-benzimidazole 117977-21-6, 2-[[[3-Methyl-4-(3-methoxypropoxy)-2-pyridyl]methyl]thio]-1H-benzimidazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(processes for the production of substituted 2-(2-pyridinylmethyl) sulfinyl-1H-benzimidazoles via oxidation with oxone or with tert-Bu hydroperoxide in the presence of vanadium catalyst)

L8 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:557336 CAPLUS
DOCUMENT NUMBER: 122:290859
ORIGINAL REFERENCE NO.: 122:53035a,53038a
TITLE: Process and catalysts for the preparation of 2-[[(1H-benzimidazol-2-yl)thio]methyl]-3-methyl-4-(2,2,2-trifluoroethoxy)pyridinium N-oxide as an intermediate for lansoprazole bulk manufacture
INVENTOR(S): Monserrat Vidal, Carlos; Serra, Marcia, Xavier
PATENT ASSIGNEE(S): Laboratorios S.A.L.V.A.T., S.A., Spain
SOURCE: Span., 13 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2063705	A1	19950101	ES 1993-1312	19930614
ES 2063705	B1	19950716		
PRIORITY APPLN. INFO.:			ES 1993-1312	19930614

OTHER SOURCE(S): CASREACT 122:290859
GI



I

AB The title compound, I, is prepared from 2,3-dimethyl-4-nitropyridinium N-oxide in 3 steps and is used as an intermediate for the industrial-scale preparation of lansoprazole.

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

IT Oxidation catalysts
(vanadium compds. in preparation of 2-[[(1H-benzimidazol-2-yl)thio]methyl]-3-methyl-4-(2,2,2-trifluoroethoxy)pyridinium N-oxide)

IT 103577-45-3P, Lansoprazole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process and catalysts for the preparation of 2-[[(1H-benzimidazol-2-yl)thio]methyl]-3-methyl-4-(2,2,2-trifluoroethoxy)pyridinium N-oxide as an intermediate for lansoprazole bulk manufacture)

IT 75-89-8, 2,2,2-Trifluoroethanol 75-91-2, tert-Butyl hydroperoxide 108-77-0, Cyanuric chloride 583-39-1, 2-Mercaptobenzimidazole 1314-62-1, Vanadium pentoxide, reactions 68707-69-7, Pyridine, 2,3-Dimethyl-4-nitro- 103577-61-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(process and catalysts for the preparation of 2-[[(1H-benzimidazol-2-yl)thio]methyl]-3-methyl-4-(2,2,2-trifluoroethoxy)pyridinium N-oxide as an intermediate for lansoprazole bulk manufacture)

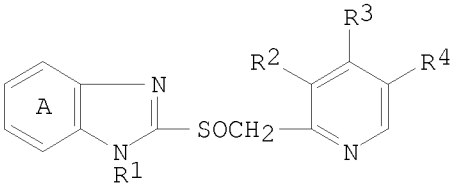
L8 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:439369 CAPLUS
DOCUMENT NUMBER: 111:39369
ORIGINAL REFERENCE NO.: 111:6705a,6708a
TITLE: Production of 2-(2-pyridylmethylsulfinyl)benzimidazole as ulcer inhibitors via S-oxidation using hydrogen peroxide and vanadium catalysts
INVENTOR(S): Kato, Masayasu; Toyoshima, Yoshio; Iwano, Norio
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 302720	A1	19890208	EP 1988-307191	19880803
EP 302720	B1	19921111		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE						
DK 8804281	A	19890205	DK 1988-4281			19880801
DK 171989	B1	19970908				
JP 01131176	A	19890524	JP 1988-193657			19880802
JP 06086444	B	19941102				
HU 49346	A2	19890928	HU 1988-4076			19880803
HU 199828	B	19900328				
CA 1263119	A1	19891121	CA 1988-573673			19880803
AT 82283	T	19921115	AT 1988-307191			19880803
ES 2052728	T3	19940716	ES 1988-307191			19880803
US 5578732	A	19961126	US 1995-430178			19950427
PRIORITY APPLN. INFO.:			JP 1987-194809	A		19870804
			EP 1988-307191	A		19880803
			US 1988-222424	B1		19910913
			US 1991-759651	B1		19910913
			US 1993-68320	B1		19930528

OTHER SOURCE(S): MARPAT 111:39369

GI



I

AB The title compds. [I; R1 = H, protecting group; R2-R4 = H, (fluoro)alkyl, alkoxy; the A ring may be substituted], known antiulcer agents, were prepared by oxidation of the corresponding sulfides with H2O2 in the presence of vanadium compds. 2-[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyrid-2-yl]methylthio]benzimidazole in CH2Cl2 was treated with a mixture of H2O2 and V2O5 in Me3COH. The mixture was stirred 1 h at room temperature to give 93.2% of the corresponding sulfinyl compound

OS.CITING REF COUNT: 28 THERE ARE 28 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)

TI Production of 2-(2-pyridylmethylsulfinyl)benzimidazole as ulcer inhibitors via S-oxidation using hydrogen peroxide and vanadium catalysts

AB The title compds. [I; R1 = H, protecting group; R2-R4 = H, (fluoro)alkyl, alkoxy; the A ring may be substituted], known antiulcer agents, were prepared by oxidation of the corresponding sulfides with H2O2 in the presence of vanadium compds. 2-[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyrid-2-yl]methylthio]benzimidazole in CH2Cl2 was treated with a mixture of H2O2 and V2O5 in Me3COH. The mixture was stirred 1 h at room temperature to give 93.2% of the corresponding sulfinyl compound

IT Oxidation catalysts
(vanadium compds., for pyridylmethylthiobenzimidazoles by hydrogen peroxide)

IT 103577-40-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(S-oxidation of, with hydrogen peroxide and vanadium catalyst)

IT 1314-62-1, Vanadium pentoxide, uses and miscellaneous
13718-26-8, Sodium metavanadate
RL: CAT (Catalyst use); USES (Uses)
(catalyst, for oxidation of pyridylmethylthiobenzimidazoles by hydrogen

peroxide)
IT 73590-58-6P 73590-59-7P 86604-64-0P
86604-66-2P 103312-94-3P 103577-45-3P
103577-47-5P 103922-29-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as ulcer inhibitor)

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